=> Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736.str _{/N}-*1 41420 **%**9 *10 76 17-7482 /√.*12 *11 18NHA 7487383 77 *14 ⁽±13 G_1 / G_3 20 /81 G2 *1516

```
chain nodes :
20 71 72 73 74 75 76 77 81
ring nodes :
1 2 3 4 5 6 7 8
28 29 30 31 32 39
                        9 10 13 14 15 16 17 18 21 22 23 24 25 26 27
                       40 41 42 43 44 45 46 47 48 49 50 51 52 53 60
61 62 63 64
ring/chain nodes :
82 83
chain bonds :
20-71 71-81 72-74 73-75 73-83 74-76 74-82 75-77
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
16-17 \quad 17-18 \quad 21-22 \quad 21-26 \quad 22-23 \quad 23-24 \quad 24-25 \quad 25-26 \quad 27-28 \quad 27-32 \quad 28-29 \quad 29-30
30-31 31-32 39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47 47-48
49-50 49-53 50-51 51-52 52-53 60-61 60-64 61-62 62-63 63-64
exact/norm bonds :
1-2 \quad 2-3 \quad 3-4 \quad 6-7 \quad 7-8 \quad 8-9 \quad 20-71 \quad 71-81 \quad 72-74 \quad 73-75 \quad 73-83 \quad 74-76 \quad 74-82
75-77
exact bonds :
1-5 4-5 6-10 9-10 39-40 39-43 40-41 41-42 42-43
                                                          44-45 44-48 45-46 46-47
 47-48 49-50 49-53 50-51 51-52 52-53
                                           60-61
                                                  60-64
                                                          61-62
                                                                 62-63
                                                                        63-64
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26
27-28 27-32 28-29 29-30 30-31
                                   31-32
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :
```

```
G1:[*1],[*2]
G2: [*3-*4], [*5-*6], [*7-*8], [*9-*10], [*11-*12], [*13-*14], [*15-*16]
G3: [*17], [*18]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 60:Atom 61:Atom
62:Atom 63:Atom 64:Atom 71:CLASS 72:CLASS 73:CLASS 74:CLASS 75:CLASS
76:CLASS 77:CLASS 81:CLASS 82:CLASS 83:CLASS
L1
       STRUCTURE UPLOADED
=> d 11
L1 HAS NO ANSWERS
L1
               STR
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
\Rightarrow s 11 sss sam
SAMPLE SEARCH INITIATED 07:04:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7035 TO ITERATE
14.2% PROCESSED
                   1000 ITERATIONS
                                                              0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                       BATCH
                               **COMPLETE**
PROJECTED ITERATIONS:
                           135673 TO
                                      145727
PROJECTED ANSWERS:
                                0 TO
L2
             0 SEA SSS SAM L1
=> s 11 sss ful
FULL SEARCH INITIATED 07:05:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 138334 TO ITERATE
100.0% PROCESSED 138334 ITERATIONS
                                                              0 ANSWERS
SEARCH TIME: 00.00.02
L3
             0 SEA SSS FUL L1
```

Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (a).str

```
chain nodes :
20 71 72 73 74 75 76 77 81
ring nodes :
1 \quad 2 \quad 3 \quad 4 \quad 5 \quad 6 \quad 7 \quad 8 \quad 9 \quad 10 \quad 13 \quad 14 \quad 15 \quad 16 \quad 17 \quad 18 \quad 21 \quad 22 \quad 23 \quad 24 \quad 25 \quad 26 \quad 27
61 62 63 64
ring/chain nodes :
82 83
chain bonds :
20-71 71-81 72-74 73-75 73-83 74-76 74-82 75-77
ring bonds :
1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 6-7 \quad 6-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 13-14 \quad 13-18 \quad 14-15 \quad 15-16
16-17 \quad 17-18 \quad 21-22 \quad 21-26 \quad 22-23 \quad 23-24 \quad 24-25 \quad 25-26 \quad 27-28 \quad 27-32 \quad 28-29 \quad 29-30 \quad 28-29 \quad 29-30 \quad 29-3
30-31 31-32 39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47 47-48
49-50 49-53 50-51 51-52 52-53 60-61 60-64 61-62 62-63 63-64
exact/norm bonds :
1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 6-7 \quad 6-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 20-71 \quad 71-81 \quad 72-74 \quad 73-75
73-83 74-76 74-82 75-77
exact bonds :
39-40 39-43 40-41 41-42 42-43 44-45 44-48 45-46 46-47 47-48 49-50 49-53
50-51 51-52 52-53 60-61 60-64 61-62
                                                                                                                                                        62-63 63-64
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18
                                                                                                                                                         21-22 21-26 22-23 23-24 24-25 25-26
27-28 27-32 28-29 29-30 30-31 31-32
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :
```

```
G1:[*1],[*2]
```

G2: [*3-*4], [*5-*6], [*7-*8], [*9-*10], [*11-*12], [*13-*14], [*15-*16]

G3:[*17],[*18]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 60:Atom 61:Atom 62:Atom 63:Atom 64:Atom 71:CLASS 72:CLASS 73:CLASS 74:CLASS 75:CLASS 76:CLASS 77:CLASS 81:CLASS 82:CLASS 83:CLASS

STRUCTURE UPLOADED L4

=> d 14

L4 HAS NO ANSWERS

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 14 sss sam

SAMPLE SEARCH INITIATED 07:07:05 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 7035 TO ITERATE

14.2% PROCESSED 1000 ITERATIONS 37 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

135673 TO 145727 PROJECTED ITERATIONS: PROJECTED ANSWERS: 4238 TO 6172

37 SEA SSS SAM L4 L5

=> =>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

SCREEN CREATED L6

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L7 SCREEN CREATED

=>

Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (b).str

```
chain nodes :

    20
    71
    72
    73
    74
    75
    76
    77
    81

    96
    97
    98
    99
    100
    101
    102
    103

                                                                                                                         84 85
                                                                                                                                                  86
                                                                                                                                                              87 88
                                                                                                                                                                                            89
                                                                                                                                                                                                         90
                                                                                                                                                                                                                       91
                                                                                                                                                                                                                                  92
                                                                                                                                                                                                                                                93
                                                                                                                                                                                                                                                              94
                                                                                                                                                                                                                                                                            95
ring nodes :
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18 21 22 23 24 25 26 27
28 29 30 31 32 39 40 41 42 43 44 45 46 47 48 49 50 51
                                                                                                                                                                                                                                              52 53 60
61 62 63 64
ring/chain nodes :
82 83
chain bonds :
13-86 15-84 16-85
                                                                   18-87 20-71 21-91 23-88 25-89 26-90 27-95 30-92 31-93
32-94 41-96 42-97
                                                                    46-98
                                                                                            48-99
                                                                                                                    52-100 53-101 61-102 64-103 71-81 72-74
73-75 73-83 74-76
                                                                   74-82
                                                                                              75-77
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
16-17 \quad 17-18 \quad 21-22 \quad 21-26 \quad 22-23 \quad 23-24 \quad 24-25 \quad 25-26 \quad 27-28 \quad 27-32 \quad 28-29 \quad 29-30 \quad 27-32 \quad 28-29 \quad 29-30 \quad 29-3
30-31 31-32 39-40 39-43 40-41 41-42
                                                                                                                                          42-43 44-45
                                                                                                                                                                                          44-48 45-46 46-47 47-48
49-50 49-53 50-51
                                                                   51-52 52-53
                                                                                                                   60-61
                                                                                                                                             60-64 61-62
                                                                                                                                                                                            62-63
                                                                                                                                                                                                                 63-64
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 20-71 71-81 72-74 73-75
73-83 74-76 74-82 75-77
exact bonds :
13-86 15-84 16-85
                                                                 18-87
                                                                                             21-91 23-88
                                                                                                                                          25-89 26-90 27-95 30-92 31-93 32-94
39-40 39-43 40-41 41-42
                                                                                           41-96 42-43 42-97 44-45 44-48 45-46 46-47 46-98
47-48 48-99 49-50 49-53 50-51 51-52 52-53 52-100 53-101 60-61 60-64
61-62 61-102 62-63 63-64 64-103
normalized bonds :
```

```
13-14 13-18 14-15 15-16 16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26
27-28 27-32 28-29 29-30 30-31 31-32
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :
G1:[*1],[*2]
G2: [*3-*4], [*5-*6], [*7-*8], [*9-*10], [*11-*12], [*13-*14], [*15-*16]
G3:[*17],[*18]
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 60:Atom
62:Atom 63:Atom 64:Atom 71:CLASS 72:CLASS 73:CLASS 74:CLASS 75:CLASS
76:CLASS 77:CLASS 81:CLASS 82:CLASS 83:CLASS 84:CLASS 85:CLASS 86:CLASS
87:CLASS 88:CLASS 89:CLASS 90:CLASS 91:CLASS 92:CLASS 93:CLASS 94:CLASS
95:CLASS 96:CLASS 97:CLASS 98:CLASS 99:CLASS 100:CLASS 101:CLASS 102:CLASS
103:CLASS
T.8
       STRUCTURE UPLOADED
=> que L8 AND L6 NOT L7
L9
   QUE L8 AND L6 NOT L7
=> d 19
L9 HAS NO ANSWERS
1.6
               SCR 1839
L7
               SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
1.8
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
               QUE L8 AND L6 NOT L7
=> s 19 sss sam
SAMPLE SEARCH INITIATED 07:12:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6918 TO ITERATE
14.5% PROCESSED
                  1000 ITERATIONS
                                                           14 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS:
                      ONLINE **COMPLETE**
                              **COMPLETE**
                       BATCH
```

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 133375 TO 143345
PROJECTED ANSWERS: 1347 TO 2527

L10

14 SEA SSS SAM L8 AND L6 NOT L7

=> =>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

L11 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L12 SCREEN CREATED

=>

chain nodes : 20 71 72 73 74 75 76 77 81 84 85 86 87 96 97 98 99 100 101 102 103 104 ring nodes : 1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18 21 22 23 25 28 29 30 31 32 39 40 41 42 43 44 45 46 47 48 49 50 51 52 53 60 62 63 64

```
ring/chain nodes:
82 83
chain bonds :
13-86 15-84 16-85 18-87 20-71 21-91 23-88 25-89 26-90 27-95 30-92 31-93
32-94 41-96 42-97 46-98 48-99 52-100 53-101 61-102 64-103 71-81 72-74
73-75 73-83 74-76 74-82 75-77
ring bonds:
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16
16-17 17-18 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29 29-30
30-31 31-32 39-40 39-43 40-41 41-42 42-43 44-45
                                                   44-48
                                                         45-46
                                                                46-47 47-48
49-50 49-53 50-51 51-52 52-53 60-61 60-64 61-62
                                                   62-63
                                                         63-64
exact/norm bonds :
1-2 \quad 1-5 \quad 2-3 \quad 3-4 \quad 4-5 \quad 6-7 \quad 6-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 20-71 \quad 71-81 \quad 72-74 \quad 73-75
73-83 74-76 74-82 75-77
exact bonds :
13-86 15-84 16-85 18-87 21-91 23-88 25-89 26-90 27-95 30-92 31-93 32-94
39-40 39-43 40-41 41-42 41-96 42-43
                                             44-45 44-48 45-46 46-47 46-98
                                      42-97
47-48 48-99 49-50 49-53 50-51 51-52 52-53 52-100 53-101 60-61 60-64
61-62 61-102 62-63 63-64 64-103
normalized bonds :
isolated ring systems :
containing 1 : 6 : 13 : 21 : 27 : 39 : 44 : 49 : 60 :
G1:[*1],[*2]
G2: [*3-*4], [*5-*6], [*7-*8], [*9-*10], [*11-*12], [*13-*14], [*15-*16]
G3: [*17], [*18]
G4:[*19],[*20]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 20:CLASS 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 60:Atom 61:Atom
62:Atom 63:Atom 64:Atom 71:CLASS 72:CLASS 73:CLASS 74:CLASS 75:CLASS
76:CLASS 77:CLASS 81:CLASS 82:CLASS 83:CLASS 84:CLASS 85:CLASS 86:CLASS
87:CLASS 88:CLASS 89:CLASS 90:CLASS 91:CLASS 92:CLASS 93:CLASS 94:CLASS
95:CLASS 96:CLASS 97:CLASS 98:CLASS 99:CLASS 100:CLASS 101:CLASS 102:CLASS
103:CLASS 104:Atom 106:CLASS
Generic attributes :
104:
Saturation
                  : Unsaturated
106:
Saturation
                    : Saturated
Element Count :
Node 106: Limited
   C.C1-8
```

L13 STRUCTURE UPLOADED

=> que L13 AND L11 NOT L12

L14 OUE L13 AND L11 NOT L12

=> d 114

L14 HAS NO ANSWERS

SCR 1839

L12 SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

L13

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation. QUE L13 AND L11 NOT L12 L14

 \Rightarrow s 114 sss sam

SAMPLE SEARCH INITIATED 07:21:18 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 6918 TO ITERATE

1000 ITERATIONS 14.5% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

133375 TO 143345

PROJECTED ANSWERS:

772 TO 1718

L15 9 SEA SSS SAM L13 AND L11 NOT L12

=> => s 114 sss ful

FULL SEARCH INITIATED 07:22:35 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 135685 TO ITERATE

100.0% PROCESSED 135685 ITERATIONS

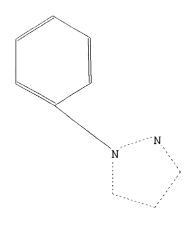
1072 ANSWERS

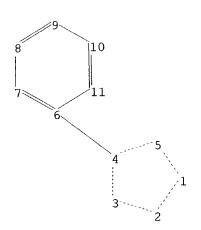
9 ANSWERS

SEARCH TIME: 00.00.03

L16 1072 SEA SSS FUL L13 AND L11 NOT L12

Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (sub1).str





ring nodes : 1 2 3 4 5 6 7 8 9 10 11

chain bonds :

4 - 6

ring bonds :

1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6

normalized bonds :

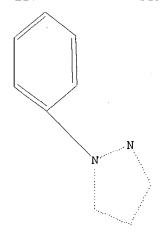
6-7 6-11 7-8 8-9 9-10 10-11

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom

L17 STRUCTURE UPLOADED

=> d 117L17 HAS NO ANSWERS L17 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 117 sub=116 sss sam
SAMPLE SUBSET SEARCH INITIATED 07:24:10 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 21 TO ITERATE

100.0% PROCESSED 21 ITERATIONS

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 146 TO 694
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 146 TO 694

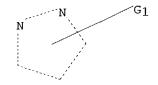
L18 21 SEA SUB=L16 SSS SAM L17

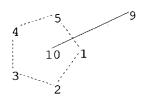
=> s 117 sub=116 sss ful FULL SUBSET SEARCH INITIATED 07:24:17 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 456 TO ITERATE

100.0% PROCESSED 456 ITERATIONS 452 ANSWERS SEARCH TIME: 00.00.01

L19 452 SEA SUB=L16 SSS FUL L17

Uploading C:\Program Files\Common Files\System\Mapi\1033\NT\09773736 (sub2).str Hy* 6^{*1}





21 ANSWERS

chain nodes :
6 9
ring nodes :
1 2 3 4 5
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5

G1:N,[*1]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:CLASS 10:CLASS

Generic attributes :

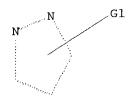
6:

Type of Ring System : Polycyclic

L20 STRUCTURE UPLOADED

=> d 120 L20 HAS NO ANSWERS L20 STR

Hy 1



G1 N, [@1]

Structure attributes must be viewed using STN Express query preparation.

=> s 120 sub=116 sss sam SAMPLE SUBSET SEARCH INITIATED 07:26:44 FILE 'REGISTRY' SAMPLE SUBSET SCREEN SEARCH COMPLETED - 51 TO ITERATE

100.0% PROCESSED 51 ITERATIONS 15 ANSWERS SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 592 TO 1448
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 68 TO 532

L21 15 SEA SUB=L16 SSS SAM L20

=> s 120 sub=116 sss ful FULL SUBSET SEARCH INITIATED 07:26:50 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 1072 TO ITERATE

350 ANSWERS

100.0% PROCESSED 1072 ITERATIONS

SEARCH TIME: 00.00.01

L22 350 SEA SUB=L16 SSS FUL L20

=> s 119 or 122

L23 767 L19 OR L22

=> s 116 not 123

L24 305 L16 NOT L23

=> => s 124

L25 88 L24

=> d 125 1-88 bib,ab,hitstr

```
L25
     ANSWER 1 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2004:311011 CAPLUS
DN
     140:321649
     Preparation of pyrazolyl glycoside derivatives as inhibitors of
ΤT
     1,5-anhydroglucitol/fructose/mannose transporters
IN
     Fujikura, Hideki; Kikuchi, Norihiko; Tazawa, Shiqeki; Yamato, Tokuhisa;
     Isaji, Masayuki
PA
     Kissei Pharmaceutical Co., Ltd., Japan
     PCT Int. Appl., 159 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
     PATENT NO.
                         KIND
                                                  APPLICATION NO.
                                                                     DATE
     _____
                                                  -----
PI
     WO 2004031203
                                20040415
                                                 WO 2003-JP12477 20030930
                          A1
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, YL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
               NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI JP 2002-293090
                         Α
                                20021004
     JP 2002-330694
                                20021114
                          Α
     JP 2002-378959
                                20021227
                          Α
AΒ
     The title compds. [I; R = each (un)substituted C3-8 cycloalkyl, C6-10
     aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; R1 = H, each
     (un) substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl,
     C6-10 aryl, C2-9 heterocycloalkyl, or C1-9 heteroaryl; one of Q0 and T0 =
     \alpha\text{--} or \beta\text{--}\text{D--glucopyranosyloxy} or \text{--mannopyranosyloxy} or
     \beta-D-deoxyglucopyranosyloxy- and the other = (CH2)nAr; wherein Ar =
     each (un)substituted C6-10 aryl or C1-9 heteroaryl; n = an integer of 0-2]
     or pharmacol. acceptable salts or prodrugs thereof are prepared Also
     disclosed are medicinal composition containing the compound I, medicinal use
thereof,
     and intermediates in producing the same. These compds. exerts an
     excellent effect of inhibiting human 1,5-anhydroglucitol/fructose/mannose
     transporters and inhibit reabsorption or cellular uptake of glucose,
     fructose, and mannose in kidney or absorption of these saccharide small
     intestine and inhibit the increase in blood sugar. Therefore, they are
     useful as preventives, progress inhibitors or remedies for a disease
     caused by the over intake of at least one saccharide selected from among
     glucose, fructose, and mannose or a disease caused by hyperglycemia
     (diabetic complication, diabetes, or diabetic nephropathy).
     glycosidation of 1-isopropyl-5-(4-methoxyphenyl)-4-[(4-
     methoxyphenyl)methyl]-1,2-dihydro-3H-pyrazol-3-one by acetobromo-\alpha-D-
     glucose in the presence of benzyltributylammonium bromide in a mixture of
     CH2Cl2 and 5 N aqueous NaOH at room temperature for 1.5 h followed by
treatment of
     the product with NaOMe in MeOH gave 3-(\beta-D-glucopyranosyloxy)-1-
     isopropyl-5-(4-methoxyphenyl)-4-[(4-methoxyphenyl)methyl]-1H-pyrazole
     (II). II in vitro inhibited the uptake of [14C]methyl
     \alpha\text{-D-glucopyranoside} in COS-7 cells transfected with human
```

SMINT/PME18S-FL expression plasmid with IC50 of 92 nM.

IT 678994-67-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl glycoside derivs. as inhibitors of 1,5-anhydroglucitol/fructose/mannose transporters and preventives, progress inhibitors or remedies for diabetic complication, diabetes, or diabetic nephropathy)

RN 678994-67-7 CAPLUS

CN Benzamide, 4-[4-[(2,4-dimethoxyphenyl)methyl]-3-(β-Dglucopyranosyloxy)-1-(1-methylethyl)-1H-pyrazol-5-yl]-N-methyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L25
     ANSWER 2 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
     2004:290461 CAPLUS
ΑN
DN
     140:303664
TI
     Process of making phenylpyrazoles useful as selective 5HT2A modulators and
     intermediates thereof
     Horns, Stefan; Ray, Max; Teegarden, Bradley; Drouet, Keith; Feichtinger,
IN
     Konrad; Elwell, Katie
PA
     Arena Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 70 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                        KIND
                                                APPLICATION NO.
                                                                   DATE
                                                ______
                                                                    _____
PΙ
     WO 2004028450
                          A2
                               20040408
                                                WO 2003-US29736 20030922
          W: AE, AG, AL, AM, AT, AU,
                                         AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
              GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-413299P
                         Ρ
                               20020924
     CASREACT 140:303664; MARPAT 140:303664
     The present invention relates to a process for making certain selective
AΒ
     5HT2A modulators of formula (I; wherein R1 = C1-2 alkyl; R2 = C1, Br;
     R3-R7 = H, halo, haloalkyl; provided that at least one is not H) by
     hydrolyzing a compound of formula (II; R1, R2, = same as above; R = R10CO;
     wherein R10 = C1-6 alkyl) and carbamoylation of the resulting amine II (R
     = H) and the intermediates thereof. The compds. I are useful in the
     prophylaxis or treatment of 5HT2A mediated diseases, such as, 5HT2A
     mediated platelet aggregation, asthma, agitation, degenerative diseases of
     the CNS and the like (no data). Thus, 3-acetamidoacetophenone was
     condensed with N,N-dimethylformamide di-Me acetal in ethanol under
     refluxing for 9 h to give 80% 3-dimethylamino-1-(3-acetamidophenyl)-2-
     propen-1-one which was cyclocondensed with methylhydrazine in a mixture of
     MeOH and 37% aqueous HCl solution at 0 to -10^{\circ} for 45-75 min and
     10-15° for 2.5-3 h and then treated with aqueous NH3 to give 86%
     5-(3-acetamidophenyl)-1-methylpyrazole (III). III was brominated by
     N-bromosuccinimide in DMF at 20-30^{\circ} for 40-80 min and 50-60^{\circ}
     for 30-60 min and treated with water at 50-60° over 30-60 min to
     give 5-(3-acetamidophenyl)-4-bromo-1-methylpyrazole which was hydrolyzed
     in a mixture of 30% aqueous NaOH solution and ethanol under reflux for 17 h to
give
     61% 5-(3-aminophenyl)-4-bromo-1-methylpyrazole (IV). IV underwent
     carbamoylation with 4-chlorophenyl isocyanate in CH2Cl2 at 20-25°
     for .apprx.5 h to give 77% N-(4-chlorophenyl)-N'-[3-(1-methyl-4-bromo-1H-
     pyrazol-5-yl)phenyl]urea.
     676463-88-0P, 5-(3-Acetamidophenyl)-1-methyl-1H-pyrazole
     676463-91-5P, 5-(3-Acetamidophenyl)-4-bromo-1-methylpyrazole
     676463-94-8P, 5-(3-Acetylaminophenyl)-4-chloro-1-methyl-1H-
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
```

preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; method for preparation of phenylpyrazoles as selective 5HT2A
 modulators for treating 5HT2A mediated diseases and intermediates
 thereof)

RN 676463-88-0 CAPLUS

CN Acetamide, N-[3-(1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 676463-91-5 CAPLUS
CN Acetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

Me N N NHAC

Br

RN 676463-94-8 CAPLUS

CN Acetamide, N-[3-(4-chloro-1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

IT **676464-06-5P**, 5-(3-Acetamidophenyl)-4-bromo-1-methylpyrazole

hydrobromide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; method for preparation of phenylpyrazoles as selective 5HT2A modulators for treating 5HT2A mediated diseases and intermediates thereof)

RN 676464-06-5 CAPLUS

CN Acetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

- L25 ANSWER 3 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN AN 2004:80450 CAPLUS DN 140:145835 Preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as ΤI modulators of the glucocorticoid receptor Vaccaro, Wayne; Yang, Bingwei Vera; Kim, Soong-hoon; Huynh, Tram; IN Tortolani, David R.; Leavitt, Kenneth J.; Li, Wenying; Doweyko, Arthur M.; Chen, Xiao-tao; Doweyko, Lidia PABristol-Myers Squibb Company, USA; et al. SO PCT Int. Appl., 265 pp. CODEN: PIXXD2 DTPatent English LΑ FAN.CNT 1 DATE PATENT NO. KIND APPLICATION NO. DATE 2004009017 A2 20040129 W0 2003-US22300 20030717
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, Ib, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, PH PΙ WO 2004009017 KG, KZ, MD, RU RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRAI US 2002-396877P 20020718 Ρ OS MARPAT 140:145835 Title compds. I [R-R4 = H, alk(en/yn)yl, alkoxy, aryl, etc.; Z =AΒ carboxamido, alkylamino, etc.] are prepared For instance, 2-amino-4,5-dimethylthiazole is coupled to the acid derived from the cycloaddn. of methacrylic acid and anthracene (CH3CN, EDCI, Et3N, HOAt, 18 h) to give II. I are glucocorticoid receptor modulators which are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders. IT 651035-91-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of glucocorticoid receptor) RN651035-91-5 CAPLUS 9,10-Ethanoanthracene-11-carboxamide, N-[4-(4-bromo-1-methyl-1H-pyrazol-3-CNyl)phenyl]-9,10-dihydro- (9CI) (CA INDEX NAME)
- C-NH Me

```
L25
     ANSWER 4 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2003:1006988 CAPLUS
DN
     140:59632
TΙ
     Preparation of benzofused heteroaryl amide derivatives of thienopyridines
     as tyrosine kinase inhibitors useful against hyperproliferative disorders
     Romines, William Henry, III; Kania, Robert Steven; Lou, Jihong; Collins,
IN
     Michael Raymond; Cripps, Stephan James; He, Mingying; Zhou, Ru; Palmer,
     Cynthia Louise; Deal, Judith Gail
PA
     Pfizer Inc., USA
SO
     PCT Int. Appl., 194 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                        KIND //
                               DATE
                                                APPLICATION NO.
                                                                   DATE
     PATENT NO.
                              20031224
                                                                   20030604
PI
     WO 2003106462
                         Α1
                                               WO 2003-IB2393
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MI, NO, NZ, OM, DE, CE, CG, CK, CI, TI, TWO TEN
              PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
              NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                               20040115
                                                US 2003-460010
     US 2004009965
                         A1
                                                                   20030611
PRAI US 2002-389110P
                               20020614
     MARPAT 140:59632
OS
AΒ
     The invention relates to benzofused heteroaryl amide derivs. of
     thienopyridines (shown as I; variables defined below; e.g. II) and to
     prodrugs or metabolites thereof, or pharmaceutically acceptable salts or
     solvates of said compds., prodrugs, and metabolites. The invention also
     relates to pharmaceutical compns. containing I and to methods of treating
     hyperproliferative disorders in a mammal by administering I. Inhibitory
     activities of >200 examples of I are tabulated for a number of tyrosine
     kinases. Also, pharmacokinetics of 19 examples of I in mice and metabolism in
     human liver microsomes were analyzed. Although the methods of preparation are
     not claimed, 140 example prepns. are included. For example, II was prepared
     in 5 steps starting from 3-methoxybenzenethiol and bromoacetaldehyde di-Et
     acetal and involving intermediates 1-[(2,2-diethoxyethyl)sulfanyl]-3-
     methoxybenzene, 6-methoxy-2-methylbenzo[b]thiophene, 6-methoxy-2-
     methylbenzo[b]thiophene-3-carboxylic acid methylamide, and
     6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide; the
     last step comprises reaction of 7-chloro-2-(1-methyl-1H-imidazol-2-
     yl)thieno[3,2-b]pyridine and 6-hydroxy-2-methylbenzo[b]thiophene-3-
     carboxylic acid methylamide (40 %). For I: Y is NH, O, S, or CH2; Z is O,
     S, or N; R14 is a C1-C6 alkyl, C1-C6 alkylamino, C1-C6 alkylhydroxy,
     C3-C10 cycloalkylamino, or methylureido group; R15 and R17 = H, halo, or a
     C1-C6 alkyl group (un)substituted by ≥1 R5 groups. R16 is H or a
     C1-C6 alkyl group when Z is N, and R16 is absent when Z is O or S; R11 is
     H, C1-C6 alkyl, C3-C10 cycloalkyl, C(O)NR12R3, C(O)(C6-C10 aryl),
      (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tNR12R13,
     SO2NR12R13 or CO2R12. Each R5 = halo, cyano, nitro, trifluoromethoxy,
     trifluoromethyl, azido, C(O)R8, C(O)OR8, OC(O)R8, OC(O)OR8, NR6C(O)R7,
     C(O)NR6R7, NR6R7, OR9, SO2NR6R7, C1-C6 alkyl, C3-C10 cycloalkyl, C1-C6
```

alkylamino, (CH2)jO(CH2)qNR6R7, (CH2)tO(CH2)qOR9, (CH2)tOR9, S(O)j(C1-C6 alkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), C(0)(CH2)t(C6-C10 aryl), (CH2)tO(CH2)j(C6-C10 aryl), (CH2)tO(CH2)q(5 to 10)membered heterocyclic), C(O)(CH2)t(5 to 10 membered heterocyclic), (CH2) jNR7 (CH2) qN R6R7, (CH2) jNR7CH2C(O) NR6R7, (CH2) jNR7 (CH2) qNR9C(O) R8, (CH2) jNR7(CH2) tO(CH2) qOR9, (CH2) jNR7(CH2) qS(O) j(C1-C6 alky1), (CH2) jNR7(CH2)tR6, SO2(CH2)t(C6-C10 aryl), and SO2(CH2)t(5 to 10 membered heterocyclic). Each R6 and R7 = H, OH, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, (CH2)tCN(CH2)tOR9, (CH2)tCN(CH2)tR9 and (CH2)tOR9; each R8 = H, C1-C10 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), and (CH2)t(5)to 10 membered heterocyclic); t = 0-6; j = 0-2; q = 2-6; each R9 and R10 = H, OR6, C1-C6 alkyl, and C3-C10 cycloalkyl. Each R12 and R13 = H, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C3-C10 cycloalkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, and (CH2)tOR9; addnl. details including provisos are given in the claims.

IT 638221-65-5P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

RN 638221-65-5 CAPLUS

3-Benzofurancarboxamide, 2-methyl-N-[3-(1H-pyrazol-3-yl)phenyl]-6-(thieno[3,2-b]pyridin-7-yloxy)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:868109 CAPLUS

DΝ 139:350729

Preparation of 4,4-difluoro-3-butenyl-substituted heterocycles and their TIinsecticidal and acaricidal compositions

IN Manabe, Hiroshi; Takahashi, Nobuyoshi; Endo, Yasuhiro; Sasama, Yasuhiro; Ishii, Naoki

PA Otsuka Chemical Holdings Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 63 pp.

CODEN: JKXXAF

DTPatent

LA Japanese

FAN.CNT 1

PΙ

OS

PATENT NO. /ĎATE KIND/ A2 20031106 JP 2003313169 PRAI JP 2002-117310 20020419

APPLICATION NO. DATE JP 2002-117310 20020419

MARPAT 139:350729 QCH2CH2CH:CF2 [Q = (un)substituted N-containing heterocyclyl; Q is bonded to AΒ the C via the N; Q ≠ phthalimido], which are not toxic to mammals, are prepared Thus, refluxing 4-(2,4-dichlorophenyl)-1,3-thiazolin-2-one with 4-bromo-1,1-difluoro-1-butene and K2CO3 in MeCN overnight gave the corresponding thiazolinone derivative, which showed 100% insecticidal activity against Nephotettix cincticeps.

IT618433-45-7P 618433-57-1P

> RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of difluorobutenyl-substituted heterocycles as insecticides and acaricides)

618433-45-7 CAPLUS RN

1H-Pyrazole-5-carboxylic acid, 1-(4,4-difluoro-3-butenyl)-3-[4-CN [(ethylamino)carbonyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$F_2C = CH - CH_2 - CH_2$$

$$EtO - C$$

$$0$$

$$C - NHEt$$

$$0$$

618433-57-1 CAPLUS RN

CN 1H-Pyrazole-5-carboxylic acid, 3-[3-(acetylamino)phenyl]-1-(4,4-difluoro-3butenyl)-, ethyl ester (9CI) (CA INDEX NAME)

09/773,736

L25 ANSWER 6 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

ΆN 2003:865842 CAPLUS

DN140:42078

TISynthesis of multi-substituted pyrazoles utilizing the N-alkylated 3-hydroxy-3-propargyl- or allenylisoindolines

Choi, Yong Hyun; Kim, Kyung Soon; Lee, Sangku; Jeong, Tae-Sook; Lee, ΑU

Hee-Yoon; Kim, Yong Hae; Lee, Woo Song
Department of Chemistry and School of Molecular Science (BK21), Korea CS Advanced Inst /of Science and Technology, Daejeon, 307-701, S. Korea

Heterocycles (2003), 60/(11), 2499-2510 SO

CODEN: HTCYAM; ISSN: 0385-5414

Japan Institute of Heterocyclic Chemistry PB

DTJournal

LΑ English

AΒ N-Alkyl-substituted phthalimides I (R1 = Me, Et, Me2CH, Me3C) were easily converted to di-, tri-, and tetra-substituted pyrazoles II (R2 = H, Me, Ph, 4-FC6H4, 4-O2NC6H4; R3 = H, Me) via a one-pot addition-ring opening-cyclocondensation process. The structure and regiochem. of II were confirmed by X-ray crystallog. anal. and 1H-nOe expts.

ΙT 637010-59-4P 637010-60-7P 637010-61-8P 637010-62-9P 637010-63-0P 637010-67-4P 637010-68-5P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of polysubstituted carbamoylphenyl pyrazoles via one-pot ring-opening/ cyclocondensation of N-alkyl phthalimides with Grignard reagents and hydrazines)

RN 637010-59-4 CAPLUS

CN Benzamide, N-methyl-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

637010-60-7 CAPLUS RN

CN Benzamide, N-ethyl-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN637010-61-8 CAPLUS

CN Benzamide, N-(1-methylethyl)-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 637010-62-9 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-2-(5-methyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 637010-63-0 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-2-(1,5-dimethyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 637010-67-4 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-2-(4,5-dimethyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 637010-68-5 CAPLUS
CN Benzamide, N-(1,1-dimethylethyl)-2-(1,4,5-trimethyl-1H-pyrazol-3-yl)(9CI) (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 7 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

2003:826823 CAPLUS ΑN

DN139:317441

TΙ 2-(3-Hydroxyanilino)-2-oxoacetamide derivatives and interleukin 12 production inhibitors containing them

Sato, Masakazu; Matsunaga, Yuiko; Ushiki, Yasunobu; Ito, Nobumasa; IN Nishimura, Koji

PATaisho Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DTPatent

Japanese LΑ

FAN.CNT 1

PI

PATENT NO. KIND DATE ------JP 2003300875 A2 20031021 PRAI JP 2002-106023 20020409 MARPAT 139:317441

APPLICATION NO. DATE JP 2002-106023 20020409

OS 3-(HOC6H4)NHCOCONHR [I; $\Re = (u\acute{n})$ substituted Ph, (un) substituted naphthyl, AΒ (un) substituted pyridyl, quinolinyl, (alkyl) benzothiazolyl, (un) substituted thienyl, (un) substituted pyrazolyl; substituents are given] and their pharmaceutically acceptable salts and interleukin 12 production inhibitors containing I or their salts are claimed. I [R = C6H3(OMe)2-3,4] at 30 µm showed 89.7% inhibition on INF-γ-stimulated production of interleukin 12 by human peripheral blood monocytes.

IT614721-58-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of 3-hydroxyanilide derivs. [N-(hetero)aryl-N'-(hydroxyphenyl)oxalamides] as 12 production inhibitors)

614721-58-3 CAPLUS RN

CN Ethanediamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-N'-(3hydroxyphenyl) - (9CI) (CA INDEX NAME)

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L25
     ANSWER 8 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
     2003:777523 CAPLUS
ΑN
DN
     139:307756
     4,5-Dihydro-1H-pyrazole derivatives useful as mitotic kinesin inhibitors,
ΤI
     and their pharmaceutical compositions and use in the treatment of cancer
     Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Culberson, J.
IN
     Christopher; Hartman, George D.; Mariano, Brenda J.; Torrent, Maricel
PA
     Merck & Co., Inc., USA
     PCT Int. Appl., 159 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                               /DÁTE
                                                APPLICATION NO. DATE
                        KIND
                        ____
     WO 2003079973
                         A2
                               20031002
PΙ
                                                WO 2003-US6403
                                                                   20030304
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
              PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
              GW, ML, MR, NE, SN, TD, TG
PRAI US 2002-362922P
                         Р
                               20020308
     MARPAT 139:307756
     The invention relates to dihydropyrazole compds. that are useful for
AB
     treating cellular proliferative diseases, for treating disorders associated
     with KSP kinesin activity, and for inhibiting KSP kinesin. The invention
     also related to compns. which comprise these compds., and methods of using
     them to treat cancer in mammals. The compds. are disclosed as pyrazole
     derivs. I [R1 = various (un) substituted acyl and thioacyl, sulfonyl,
     alkyl, (hetero)aryl, etc.; R2 = (un)substituted alk(en/yn)yl, aryl,
     perfluoroalkyl, (hetero)aralkyl, cycloalkyl, or heterocyclyl; R3, R4, R5,
     R6 = H, (un)substituted alk(en/yn)yl, cycloalkyl, (hetero)aralkyl, or
     heterocyclyl; or R3R4 or R5R6 (when W and Z are bonds) = atoms to form
     (CH2)1-5 with one optional replacement of a CH2 by O, S, SO, SO2, NHCO or
     NH or derivs.; Y, W, Z = bond, CO, C:S, S, SO, SO2, CH(OH), or O] and
     their pharmaceutically acceptable salts or stereoisomers. Approx. 65
     compds. I are prepared and claimed by name, and another 150 compds. are
     claimed. For instance, 2,5-difluoroacetophenone was lithiated and coupled
     with 3-(benzyloxy)benzaldehyde, followed by dehydration with
     trifluoroacetic anhydride, to give chalcone derivative II. This compound was
     debenzylated with BBr3, then cyclized with hydrazine and acetylated in
     situ with AcOH, to give title compound III. In a kinesin ATPase in vitro
     assay, using human KSP motor domain construct and microtubules from bovine
     brain tubulin, the example compds. had IC50 \leq 50 \muM.
IT
     609813-18-5P, 3-(2,5-Difluorophenyl)-N,N-dimethyl-5-[3-
     (acetylamino)phenyl]-4,5-dihydro-1H-pyrazole-1-carboxamide
     609813-77-6P, 3-(2,5-Difluorophenyl)-N,N-dimethyl-5-(3-
     aminopropyl)-5-[3-(acetylamino)phenyl]-4,5-dihydro-1H-pyrazole-1-
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
```

(Uses)

(drug candidate; preparation of dihydropyrazole derivs. as mitotic kinesin inhibitors for use as anticancer agents)

RN 609813-18-5 CAPLUS

CN

1H-Pyrazole-1-carboxamide, 5-[3-(acetylamino)phenyl]-3-(2,5-difluorophenyl)-4,5-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 609813-77-6 CAPLUS

CN 1H-Pyrazole-1-carboxamide, 5-[3-(acetylamino)phenyl]-5-(3-aminopropyl)-3-(2,5-difluorophenyl)-4,5-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

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L25
      ANSWER 9 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
      2003:656795 CAPLUS
ΑN
DN
      139:197770
      Preparation of lipopeptides having antimicrobial activity
TI
IN
      Mizuno, Hiroaki; Matsuda, Hiroshi; Toda, Ayako; Matsuya, Takahiro;
      Barrett, David; Matsuda, Keiji
      Fujisawa Pharmaceutical Co., Ltd., Japan
PA
SO
      PCT Int. Appl., 270 pp.
      CODEN: PIXXD2
DT
      Patent
      English
LΑ
FAN.CNT 1
      PATENT NO.
                                  DATE
                          KIND
                                                    APPLICATION NO.
                                                                         DATE
                                                    -----
PI
      WO 2003068807
                           A2
                                 20030821
                                                    WO 2003-JP1107
                                                                         20030204
      WO 2003068807
                           A3
                                  20040415
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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               TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
               CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI AU 2002-441
                           Α
                                  20020211
      MARPAT 139:197770
      The invention relates to new lipopeptides I [R1 = H, acyl; R2 = carbamoyl,
AB
      (protected) aminoalkyl or guanidinoalkyl, hydroxy-substituted
      alkylaminoalkyl; R3 = = H, OH; R4 = aminoalkyl, alkylcarbamoylalkyl,
      carboxyalkyl, etc.; R5 = OH or protected hydroxyl or their salts which
      have antimicrobial activities (especially antifungal activity) and inhibitory
      activity on \beta-1,3-glucan synthase and to a process for their
      synthesis. Pharmaceutical compns. containing I are used for prophylactic
      and/or therapeutic treatment of infectious diseases in a human being or an
      animal. Thus, cyclic peptide II.2HCl [R = p-[4-[(4-methoxybutoxy)methyl]-
      1-piperidinyl]phenyl] was prepared by N-acylation of I (R1 = H) and showed
      MIC < 0.2 \mug/mL against Candida albicans.
IT
      583056-09-1P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
          (preparation of lipopeptides having antimicrobial activity)
RN
      583056-09-1 CAPLUS
CN
      Echinocandin C, 1-[(4R)-N2-[4-[5-[4-(hexyloxy)phenyl]-4H-pyrazol-3-
      yl]benzoyl]-4-hydroxy-L-ornithine]-4-[4-[3-(2-aminoethoxy)-4-
      hydroxyphenyl]-L-threonine]-5-[(3R)-3-hydroxy-L-ornithine]-,
      dihydrochloride (9CI)
                                (CA INDEX NAME)
```

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

●2 HC1

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L25
     ANSWER 10 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
      2003:656748 CAPLUS
DN
      139:197385
      Preparation of N-quinolinyl and -isoquinolinyl amides for therapeutic use
TΙ
      as vanilloid receptor modulators
      Rami, Harshad Kantilal; Thompson, Mervyn; MacDonald, Gregor James;
IN
      Westaway, Susan Marie; Mitchell, Darren Jason
PA
      Glaxo Group Limited, UK
SO
      PCT Int. Appl., 125 pp.
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
      PATENT NO.
                         KIND
                               DATE
                                                 APPLICATION NO.
                                                                     DATE
                         ___
                                                 _____
PΙ
     WO 2003068749
                          Α1
                                20030821
                                                 WO 2003-GB608
                                                                     20030213
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PI, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
               TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
               CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
               NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
              ML, MR, NE, SN, TD, TG
PRAI GB 2002-3673
                          Α
                                20020215
     GB 2002-3677
                          Α
                                20020215
     GB 2002-3680
                          Α
                                20020215
      GB 2002-9003
                          Α
                                20020419
      GB 2002-9032
                                20020419
                          Α
      GB 2002-9035
                                20020419
                          Α
     GB 2002-21318
                          Α
                                20020913
     MARPAT 139:197385
OS
     N-quinolinyl and -isoquinolinyl amides, such as I [R = substituted or
AB
     unsubstituted Ph, heteroaryl or heterocyclyl; R1, R2 = CN, NO2, OH, alkyl,
     halo, alkoxy, cycloalkyl, arylalkyl, alkylamino, alkylsulfonyl, sulfamoyl,
      etc.; q, r = 0-3; X = N, CO, NR8, CR1, CHR1, C(R1)2; Y = CR1, CHR1, C(R1)2,
     N, NR8, CO; R8 = H, alkyl, hydroxyalkyl, cycloalkyl, arylalkyl, acyl,
      alkylsulfonyl, etc.], were prepared for use in pharmaceutical compns. for
      treatment or prophylaxis of disorders in which antagonism of the vanilloid
      (VR1) receptor is beneficial. Thus, N-(1-methyl-1,2,3,4-
      tetrahydroquinolin-7-yl)-1,1'-biphenyl-4-carboxamide (II) was prepared by an
      amidation reaction of 7-amino-1-methyl-1,2,3,4-tetrahydroquinoline with
      4-biphenylcarboxylic acid using 1-(3-dimethylaminopropyl)-3-
      ethylcarbodiimide hydrochloride in CH2C12. The prepared amides were tested
      for VR1 antagonist activity using a FLIPR based calcium assay using
      astrocytoma 1321N1 cells expressing human VR1 and were tested for
      FCA-induced hyperalgesia in the guinea pig.
      582323-71-5P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of N-quinolinyl and -isoquinolinyl amides for therapeutic use
         as vanilloid receptor antagonists)
```

RN 582323-71-5 CAPLUS
CN Benzamide, 4-(1-methyl-1H-pyrazol-4-yl)-N-(1,2,3,4-tetrahydro-1-methyl-7-

quinolinyl) - (9CI) (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 11 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2003:656742 CAPLUS
DN
     139:197375
ΤI
     Preparation of piperidinyl alcohols as chemokine receptor modulators for
     treatment of diseases such as asthma
     Alcaraz, Lilian; Furber, Mark; Purdie, Mark; Springthorpe, Brian
IN
     Astrazeneca A.B., Swed.
PA
SO
     PCT Int. Appl., 166 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                                                                  DATE
                                               APPLICATION NO.
     PATENT NO.
                        KIND
                              DATE
                              20030821
                                               WO 2003-SE258
                                                                  20030217
     WO 2003068743
                         Α1
PI
                                       AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
         W: AE, AG, AL, AM, AT, AU,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
              RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
              NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
              ML, MR, NE, SN, TD, TG
PRAI SE 2002-465
                              20020218
                         Α
     SE 2002-2673
                              20020909
                         Α
OS
     CASREACT 139:197375; MARPAT 139:197375
     The invention provides piperidinyl alcs. (shown as I; variables defined
     below; e.g. N-[(2R)-3-[4-(3,4-dichlorophenoxy)piperidin-1-y1]-2-
     hydroxypropyl]-2-(methylsulfonyl)benzamide) for use as modulators of
     chemokine receptor (especially CCR3) activity for use in, for example, treating
     asthma. For I: X is CH2, O, S(O)2 or NR10; Y is a bond, CH2, NR35, CH2NH,
     CH2NHC(O), CH(OH), CH(NHCOR33), CH(NHSO2R34), CH2O or CH2S; Z is C(O), or
     when Y is a bond Z can also be S(0)2; R1 is (un)substituted aryl,
     (un) substituted heterocyclyl or C4-6 cycloalkyl fused to a benzene ring;
     addnl. details are given in the claims. Percent inhibition at 3 nM
     eotaxin of eotaxin-mediated human eosinophil chemotaxis is tabulated for
     16 examples of I, e.g. 106 % for N-[(2R)-3-[4-(3,4-
     dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-
     dihydroisoquinoline-4-carboxamide. Histamine H1 receptor binding activity
     was determined for the same compds., e.g. pKi = 8.4 for N-[(2R)-3-[4-(3,4-
     dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-1-oxo-1,2-
     dihydroisoguinoline-4-carboxamide. 49 Example prepns. of intermediates
     and 234 of I are included. For example, to prepare N-[(2R)-3-[4-(3,4-
     Dichlorophenoxy)piperidin-1-yl]-2-hydroxypropyl]-2-
     (methylsulfonyl)benzamide (0.055 g), a mixture of 2-(methylsulfonyl)benzoic
     acid (0.063 \text{ g}), (2R)-1-amino-3-[4-(3,4-dichlorophenoxy)piperidin-1-
     yl]propan-2-ol (0.1 g) and N,N-diisopropylethylamine (0.1 mL) in dry DMF
     (3 mL) was cooled to 0° with stirring; 2-(1H-9-azabenzotriazol-1-
     y1)-1,1,3,3-tetramethyluronium hexafluorophosphate (0.13 g) was added and
     the mixture was stirred at 0° for 1-2 h. The invention also provides
     a process for making 4-(3,4-dichlorophenoxy)piperidine, which is useful as
     an intermediate for making certain compds. of the invention. The process
     comprises (a) reacting 4-hydroxypiperidine with a suitable base in a
     suitable solvent at room temperature; and (b) heating the mixture so produced
```

and

1,2-dichloro-4-fluorobenzene at $50-90^{\circ}$, or at reflux of the solvent used.

TT 583881-54-3P, N-[(2R)-3-[4-(3,4-Dichlorophenoxy)piperidin-1-y1]-2hydroxypropyl]-4-(1H-pyrazol-3-y1)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of piperidinyl alcs. as chemokine receptor modulators for treatment of diseases such as asthma)

RN 583881-54-3 CAPLUS

CN Benzamide, N-[(2R)-3-[4-(3,4-dichlorophenoxy)-1-piperidinyl]-2-hydroxypropyl]-4-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/773,736

ANSWER 12 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

ΑN 2003:651482 CAPLUS

DN 140:111332

Syntheses, spectral characterization and antimicrobial evaluation of some TΙ new 2-pyrazolines

ΑU Nagar, D. N.; Tushar, Mehta; Mehta; Shah, V. H.

Chemical Research Laboratory, Department of Chemistry, Saurashtra University, Rajkot, 360 005, India Oriental Journal of Chemistry (2002), 18(3), 525-528 CS

SO CODEN: OJCHEG; ISSN: 0970-020X

PВ Oriental Scientific Publishing Co.

DΤ Journal

English LΑ

New 5-aryl-3-(p-benzylaminophenyl)-1-H-pyrazolines, e.g. I, were prepared by AB the cyclocondensation of 3-aryl-1-(p-benzoylaminophenyl)-2-propene-1-ones, e.g. II, with hydrazine hydrate. The structure of compds. I were confirmed by elemental anal., IR, PMR and mass spectral data. Products I were screened for in vitro antibacterial and antifungal activities. Products I were evaluated for antibacterial activity against Bacillus subtilis, Bacillus megaterium, Escherichia coli, Arobactor arogens, and antifungal activity against Aspergillus awamori using DMF as a solvent at 40 μ g/mL concentration by using cup-plate.

IT648430-57-3P 648430-58-4P 648430-59-5P 648430-60-8P 648430-61-9P 648430-62-0P 648430-63-1P 648430-64-2P 648430-65-3P 648430-66-4P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(syntheses, mol structure, and antimicrobial evaluation of pyrazolines via cyclocondensation of aminophenylpropenone with hydrazine)

RN 648430-57-3 CAPLUS

Benzamide, N-[4-(4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) CN INDEX NAME)

RN648430-58-4 CAPLUS

CN Benzamide, N-[4-[5-(2-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RN 648430-59-5 CAPLUS

CN Benzamide, N-[4-[4,5-dihydro-5-(3-phenyl-2-propenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ NH-C-Ph \\ \\ Ph-CH-CH-CH_2 \end{array}$$

RN 648430-60-8 CAPLUS

CN Benzamide, N-[4-[5-(2-furanylmethyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl](9CI) (CA INDEX NAME)

RN 648430-61-9 CAPLUS

CN Benzamide, N-[4-[4,5-dihydro-5-(2-hydroxyphenyl)-1H-pyrazol-3-yl]phenyl](9CI) (CA INDEX NAME)

RN 648430-62-0 CAPLUS

CN Benzamide, N-[4-[4,5-dihydro-5-(4-hydroxy-3-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 648430-63-1 CAPLUS

CN Benzamide, N-[4-[4,5-dihydro-5-[4-(methylthio)phenyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 648430-64-2 CAPLUS

CN Benzamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RN 648430-65-3 CAPLUS

CN Benzamide, N-[4-[4,5-dihydro-5-(2-nitrophenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RN 648430-66-4 CAPLUS

CN Benzamide, N-[4-[4,5-dihydro-5-(3-phenoxyphenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L25
     ANSWER 13 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2003:591153 CAPLUS
     139:164789
DN
TI
     Preparation of phenylpyrazoles as 5-HT2A serotonin receptor modulators
IN
     Teegarden, Bradley; Drouet, Keith; Jayakumar, Honnappa; Thomsen, William;
     Maffuid, Paul; Elwell, Katie; Foster, Richard; Lawless, Michael; Liu,
     Qian; Smith, Julian; Feichtinger, Konrad
PA
     Arena Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 266 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND
                              DATE
                                              APPLICATION NO.
                                                                DATE
PI
     WO 2003062206
                        A2
                              20030731
                                              WO 2003-US2059
                                                                 20030123
                        A3 /
     WO 2003062206
                              20040108
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
              RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
              NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
             ML, MR, NE, SN, TD, TG
PRAI US 2002-386198P
                              20020123
                       P
     US 2002-386384P
                        Ρ
                              20020605
     US 2002-401467P
                        P
                              20020805
OS
     MARPAT 139:164789
     Title compds. I [wherein R1 = H, halo, NR5R6, OH, or OR7; R2 = H,
AB
     (cyclo)alkyl, or alkenyl; R3 = halo, carboxy, CN, or (un)substituted
     alkoxycarbonyl, (cyclo)alkyl, alkenyl, alkynyl, or (hetero)aryl; R4 =
     (cyclo)alkyl or alkenyl; R5 and R6 = independently H or (un)substituted
     (cyclo)alkyl, alkenyl, aryl(methyl); or NR5R6 = (un)substituted
     heterocyclyl; R7 = H or alkyl; A = C0, CS, or S02; B =
     (NR11)q(CHR12)m(1,2-cyclopropylidene)nQ1 or OQ2; m, n, and q =
     independently 0-1; R11 and R12 = independently H, (cyclo)alkyl, or
     alkenyl; Q = (un)substituted Ph; Q2 = (un)substituted (cyclo)alkyl,
     alkenyl, alkynyl, alkylaryl, or aryl(alkyl); and pharmaceutically
     acceptable salts thereof] were prepared as modulators of the 5-HT2A
     serotonin receptor. For example, reaction of triphosgene with
     3-(3-aminophenyl)-4-bromo-2-methylpyrazole in the presence of TEA in
     CH2C12, followed by addition of 4-(trifluoromethoxy)benzylamine provided the
     N-(pyrazolylphenyl)urea II (68%). The latter exhibited IC50 values of 1.2
     \muM, 0.45 \muM, and 0.0171 \muM for AP-1, WT 5-HT2A, and AP-3, resp.,
     in a competitive binding assay. A number of the compds. of the invention
     evidenced inverse agonist activity against AP-1 (data given). Thus, I and
     pharmaceutical compns. thereof are directed to methods useful in the
     prophylaxis or treatment of reducing platelet aggregation, coronary artery
     disease, myocardial infarction, transient ischemic attack, angina, stroke,
     atrial fibrillation, reducing the risk of blood clot formation, asthma or
     symptoms thereof, agitation or a symptom, behavioral disorders, drug
     induced psychosis, excitative psychosis, Gilles de la Tourette's syndrome,
     manic disorder, organic or NOS psychosis, psychotic disorder, psychosis,
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acute schizophrenia, chronic schizophrenia and NOS schizophrenia, and

related disorders (no data). The present invention also relates to the method of prophylaxis or treatment of 5-HT2A serotonin receptor mediated disorders in combination with a dopamine D2 receptor antagonist such as haloperidol, administered sep. or together.

IT 573711-39-4P 573711-42-9P, N-[3-(4-Bromo-2-methyl-2H pyrazol-3-yl)phenyl]-4-trifluoromethoxyphenylcarboxamide
 573711-43-0P 573711-44-1P, N-[3-(4-Bromo-2-methyl-2H pyrazol-3-yl)phenyl]-2-[4-(trifluoromethoxy)phenyl]acetamide
 573711-45-2P, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(3 fluorophenyl)acetamide 573711-46-3P, N-[3-(4-Bromo-2-methyl-2H pyrazol-3-yl)phenyl]-2-(3-methoxyphenyl)acetamide 573711-47-4P,
 N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(2-fluorophenyl)acetamide
 573711-48-5P, N-[3-(4-Bromo-2-methyl-2H-pyrazol-3-yl)phenyl]-2-(4 nitrophenyl)acetamide 573711-49-6P, N-[3-(4-Bromo-2-methyl-2H pyrazol-3-yl)phenyl]-2-(2-methoxyphenyl)acetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(5-HT2A receptor modulator; preparation of phenylpyrazoles as 5-HT2A serotonin receptor modulators for treatment of heart disease, stroke, psychosis, and other disorders)

RN 573711-39-4 CAPLUS

CN 2-Thiophenecarboxamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-(9CI) (CA INDEX NAME)

RN 573711-42-9 CAPLUS

CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 573711-43-0 CAPLUS

CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-chloro- (9CI) (CA INDEX NAME)

RN 573711-44-1 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 573711-45-2 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-3-fluoro-(9CI) (CA INDEX NAME)

RN 573711-46-3 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-3-methoxy-(9CI) (CA INDEX NAME)

RN 573711-47-4 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-2-fluoro-

(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O \\ \hline N & NH-C-CH_2 \\ \hline Br & \end{array}$$

RN 573711-48-5 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-4-nitro-(9CI) (CA INDEX NAME)

RN 573711-49-6 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-5-yl)phenyl]-2-methoxy-(9CI) (CA INDEX NAME)

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L25
    ANSWER 14 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
    2003:570962 CAPLUS
DN
    139:133578
TI
    Preparation of N-acylbenzenesulfonamide derivatives as acetyl CoA
    carboxylase (ACC) inhibitors
    Suzuki, Nobuyasu; Nihei, Yukio; Ichinose, Hidehiro; Hatanaka, Toshihiro;
ΙN
    Maezono, Katsumi; Ohsumi, Koji; Kondo, Nobuo; Yamamoto, Takashi;
    Nakanishi, Eiji
PA
    Ajinomoto Co., Inc., Japan
    PCT Int. Appl., 53 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    Japanese
LΑ
FAN.CNT 1
                     KIND,
                           DATE
                                          APPLICATION NO.
                                                           DATE
    PATENT NO.
PI
    WO 2003059886
                      Ail
                           20030724
                                          WO 2003-JP99
                                                           20030109
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
            CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
            NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
            ML, MR, NE, SN, TD, TG
PRAI JP 2002-2344
                           20020109
                      Α
    MARPAT 139:133578
OS
    Acyl sulfonamide derivs. represented by the following general formula (I),
AΒ
     its analog or pharmaceutically acceptable salts thereof [wherein R1 = each
     (un) substituted C1-20 alkyl, C2-20 alkenyl, C2-20 alkynyl, aromatic
    hydrocarbyl, aromatic heterocyclyl, NH2, C1-20 alkoxy, C2-20 alkenyloxy,
    C2-20 alkynyloxy, aromatic hydrocarbyloxy, or aromatic heterocyclyloxy; Y =
     (un) substituted CR3:CR4, N:CR3, CR3:N; R3-R6 = each (un) substituted aromatic
    hydrocarbyl, C1-12 alkyl, C2-12 alkynyl, C1-12 alkoxy, C1-12 amino, or
     C1-6 alkylthio, H, OH, SH, NO2, halo, cyano; R7, R8 = groups listed in
     R3-R6, carbonyl, thiocarbonyl, (un)substituted C1-12 alkoxycarbonyl; ring
    A = each (un) substituted aromatic hydrocarbyl, aromatic heterocyclyl, cyclic
     alkenyl, or cyclic alkyl; ring B = each (un)substituted aromatic hydrocarbyl,
     4- to 9-membered heterocyclyl, cyclic alkyl, or cyclic alkenyl; X = Q, Q1,
     Q2; wherein R11-R18 = groups listed in R3-R6; Z = CR9:N, N:CR9, CR9:N, S,
     O; O = CR9:N; R9 = groups listed in R3-R4; ring C = each (un)substituted
     aromatic heterocyclyl, cyclic alkyl, or cyclic alkenyl excluding pyridine,
     furan, or thiophene ring] are prepared having an efficacious ACC activity
     inhibitory effect. Therefore, the above derivs. have an efficacious ACC
     activity inhibitory effect and are efficacious in treating obesity and
     hyperlipemia and fatty liver induced by obesity as well as impaired
     glucose tolerance, diabetes, diabetic complications, hypertension and
     arteriosclerosis. Thus, 777 mg 4-[4-[3,5-bis(trifluoromethyl)phenyl]isoth
     iazol-2-yl]benzoic acid was treated with 2 mL SOC12, stirred at 70°
     for 3 h, distilled to remove SOC12 under reduced pressure, dissolved in 3 mL
     CH2Cl2, treated dropwise with a solution of 320 mg 2-aminobenzenesulfonamide
     in 5 mL pyridine at 0^{\circ}, and stirred at room temperature for 3 h to give,
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after workup, 44% 2-[4-[4-[3,5-bis(trifluoromethyl)phenyl]isothiazol-2-yl]benzoylamino]benzenesulfonamide which (475 mg) was dissolved in 25 mL THF, treated with 195 mg 4-dimethylaminopyridine and 0.134 mL n-hexanoyl

chloride, and stirred at 60° for 1 h to give, 75% N-hexanoyl-2-[4-[4-[3,5-bis(trifluoromethyl)phenyl]isothiazol-2-yl]benzoylamino]benzenesulfonamide (II). II showed IC50 of 0.29 μM against ACC.

IT 566180-97-0P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-acylbenzenesulfonamide derivs. as acetyl CoA carboxylase inhibitors for treating obesity, hyperlipemia, fatty liver, impaired glucose tolerance, diabetes, diabetic complications, hypertension, and arteriosclerosis)

RN 566180-97-0 CAPLUS

Benzamide, N-[2-[[(1-oxohexyl)amino]sulfonyl]phenyl]-4-[5-[3-(trifluoromethyl)phenyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

$$_{\rm F3C}$$
 $_{\rm Me-}$ $_{\rm (CH_2)}$ $_{\rm 4-C-NH}$ $_{\rm O}$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 15 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2003:532647 CAPLUS
DN
     139:101122
TI
     Preparation of 3,4-diarylpyrazoles as inhibitors of heat shock protein 90
     (HSP90) and their use in the therapy of cancer
TN
     Drysdale, Martin James; Dymock, Brian William; Barril-Alonso, Xavier;
     Workman, Paul; Pearl, Laurence Harris; Prodromou, Chrisostomos; MacDonald,
     Ribotargets Limited, UK; Cancer Research Technology Limited; The Institute
PΑ
     of Cancer Research
SO
     PCT Int. Appl., 299 pp.
     CODEN: PIXXD2
DΤ
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND
                              DATE
                                              APPLICATION NO.
                                                                DATE
PΙ
     WO 2003055860
                        Α1
                              20030710
                                             WO 2002-GB5778
                                                                20021219
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
PRAI GB 2001-30733
                              20011221
                        Α
     GB 2002-25688
                              20021104
                        Α
     MARPAT 139:101122
OS
     A method of inhibiting HSP90 comprises administration of title compds. [I;
AΒ
     Ar3, Ar4 = (substituted) C5-20 aryl; R5 = H, halo, OH, ether, formyl,
     acyl, CO2H, ester, acyloxy, oxycarbonyloxy, amido, acylamido,
     aminocarbonyloxy, tetrazolyl, amino, NO2, cyano, N3, sulfhydryl,
     thioether, sulfonamido, C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl; R = H,
     C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl] and pharmaceutically
     acceptable salts, solvates, amides, esters, ethers, chemical protected forms,
     and prodrugs thereof. Thus, 7-hydroxy-3-phenylchromen-4-one and hydrazine
     hydrate were refluxed 45 min. in EtOH to give 4-(4-phenyl-1H-pyrazol-3-
     yl)benzene-1,3-diol. This inhibited HSP90 activity with IC50 = 10-100
IT
     558649-87-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of diarylpyrazoles as inhibitors of heat shock protein 90 and
        their use in the therapy of cancer)
     558649-87-9 CAPLUS
RN
```

Benzamide, 4-[3-(5-chloro-2,4-dihydroxyphenyl)-1H-pyrazol-4-yl]-N-methyl-

CN

(9CI) (CA INDEX NAME)

IT 558645-02-6P 558645-06-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylpyrazoles as inhibitors of heat shock protein 90 and their use in the therapy of cancer)

RN 558645-02-6 CAPLUS

CN Acetamide, N-[3-(4-iodo-5-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 558645-06-0 CAPLUS

CN Acetamide, N-[4-(4-iodo-5-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 16 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
     2003:376833 CAPLUS
ΑN
     138:368880
DN
     Preparation of substituted diphenyl heterocycles for treating HCV
TI
     Singh, Rajinder; Goff, Dane; Lu, Henry; Issankani, Sarkiz D.; Sun, Thomas
IN
     Rigel Pharmaceuticals, Inc., USA
PΑ
     PCT Int. Appl., 103 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                                                             DATE
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                           WO 2002-US35131 20021101
     WO 2003040112
                            20030515
                       A1
PI
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                                           US 2002-286017
                                                             20021101
     US 2003165561
                       Α1
                            20030904
                                           BR 2002-6266
                                                             20021101
     BR 2002006266
                       Α
                            20031230
PRAI US 2001-350107P
                       Р
                            20011102
     US 2002-405472P
                       Ρ
                            20020823
     WO 2002-US35131
                       W
                            20021101
OS
     MARPAT 138:368880
     The title compds. [I; X, Y = N, O, provided that X and Y are not both O; Z
AB
     = N, CH, provided that Z = CH when X and Y are both N; R2-R6, R8-R10, R13
     = H, OH, SH, etc.; R11 = alkyl; R12 = monohalomethyl, dihalomethyl] that
     inhibit replication of HCV virus, were prepared and formulated. Thus,
     reacting 2,6-dichloro-N-hydroxybenzenecarboximidoyl chloride with
     2,2-dichloro-N-(3-ethynylphenyl)acetamide (prepns. given) in the presence
     of Et3N in THF afforded I [X = N; Y = O; Z = CH; R2 = C1; R3-R5 = H; R6 =
     Cl; R8-R11 = H; R12 = CHCl2; R13 = H] which was evaluated for in rats by
     both s.c. and i.v. administration, and doses as high as 30 mg/kg/day were
     well tolerated.
ΙT
     524685-47-0P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
         (preparation of substituted di-Ph heterocycles for treating HCV infection)
RN
     524685-47-0 CAPLUS
     Acetamide, 2,2-dichloro-N-[3-[5-(2,6-dichlorophenyl)-1H-pyrazol-3-
CN
```

yl]phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 17 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:369188 CAPLUS

138:376312 DN

Silver halide emulsion for silver halide photographic materials TI

Yamada, Kosaburo; Maeda, Hideki; Asanuma, Naoki IN

Fuji Photo Film Co., Ltd., Japan PA

Jpn. Kokai Tokkyo Koho, 80 pp. SO

CODEN: JKXXAF

DTPatent

LА Japanese

FAN.CNT 5

PATENT NO. KIND DATE JP 2003140287 A2 20030514 20010821 Α

APPLICATION NO. DATE

JP 2002-188536 20020627

PRAI JP 2001-250679

The title silver halide emulsion contains a compound releasing ≥1 AB electrons after one elèctron oxidation and after a chemical bond formation.

The

PΙ

photog. emulsion provides the silver halide photog. materials of high sensitivity, no fogging, and good storageability.

521749-17-7P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidizable compound in silver halide emulsion)

521749-17-7 CAPLUS RN

Benzamide, N-[3-(3,5-dithioxo-4-pyrazolidinyl)phenyl]-3-[2-(4-pyCN hydroxyphenyl)-2-propenyl]-4-(methylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ & & & \\ & & & \\ &$$

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ANSWER 18 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2003:319886 CAPLUS
DN
     138:338155
TI
     Preparation of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors
     Angell, Richard Martyn; Bamborough, Paul; Cockerill, George Stuart; Smith,
IN
     Kathryn Jane; Walker, Ann Louise
     Glaxo Group Limited, UK
PA
     PCT Int. Appl., 44 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                       KIND
                             DATE
                                             APPLICATION NO.
     PATENT NO.
     WO 2003033482
                             20030424
                                            WO 2002-EP11574
                                                              20021016
PΙ
                       A1
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, ÍN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                             20011017
PRAI GB 2001-24932
                       Α
     MARPAT 138:338155
OS
     The title compds. [I; X = a bond, (un) substituted Ph; R1 = (un) substituted
AΒ
     5-7 membered heterocyclyl, 5-7 membered heteroaryl, fused bicyclyl; R2 =
     H, alkyl, (CH2)pcycloalkyl; or when X = a bond and m and n are both zero,
     NR1R2 = 5-6 membered heterocyclyl optionally containing one addnl. heteroatom
     selected from O and N which can be optionally substituted by alkyl; R3 =
     II (wherein R4 = H, alkyl); U = Me, halo; V, Y = H, Me, halo; m, n = 0-2;
     m + n = 0-4; p = 0-1; r = 0-2; with the provisos], useful as
     pharmaceuticals, particularly as p38 kinase inhibitors, were prepared E.g.,
     a 6-step synthesis of the carboxamide III, starting from
     3-bromo-4-methylbenzoic acid, was given.
ΙT
     515143-65-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of oxadiazolyl-biphenylcarboxamides as p38 kinase inhibitors)
RN
     515143-65-4 CAPLUS
     [1,1'-Biphenyl]-4-carboxamide, 2'-methyl-5'-(5-methyl-1,3,4-oxadiazol-2-
CN
     yl)-N-[3-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)
```

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

```
ANSWER 19 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
    2003:261813 CAPLUS
ΑN
DN
     138:287667
     Preparation of 1-[2-(aryloxy)ethyl]-1H-pyrazoles useful in the treatment
ΤI
    of hyper-proliferative disorders
     Khire, Uday; Zhang, Chengzhi; Kluender, Harold C. E.; Mugge, Ingo; Hong,
IN
     Zhenqiu; Shao, Jianxing; Bifulco, Neil; Trail, Pamela A.; Dumas, Jacques;
     Lavoie, Rico C.; Liu, Xiao-Gao; Agarwal, Veena; Verma, Sharad K.; Wang,
PA
     Bayer Corporation, USA
SO
     PCT Int. Appl., 121 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                                           APPLICATION NO.
                                                             DATE
     PATENT NO.
                      KIND
                            DATE
                       A1
                                           WO 2002-US29958 20020920
    WO 2003027074
                            20030403
PI
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                            20010925
PRAI US 2001-324573P
    MARPAT 138:287667
     Title compds. I and II [wherein R1 = H, halo, or CN; R2 = H, CN, COR6,
AB
     halo, or alkyl; R3 = CF3 or (un) substituted alkyl, Ph, furyl, thienyl,
     isoxazolyl, pyridyl, or benzodioxolyl; R4 = H, alkyl, halo, or CN; X = O
     or NH; R5 = (un)substituted alkyl; R6 = H or alkyl; R7 = alkoxy, Br, C1,
     F, CF3, CN, CO2H, NHCOR14, or (un) substituted alkyl, Ph, thienyl, pyridyl,
     pyrimidyl, pyrrolyl, furyl, oxazolyl, benzothienyl, benzofuryl,
     morpholinyl, pyrrolidinyl, piperidinyl, naphthyl, or benzodioxolyl; Y = H,
     alkyl, alkoxy, CN, or halo; R8 = (un)substituted Ph; R9 = H, alkyl, Br,
     Cl, or F; R10 = (un) substituted alkyl; R14 = alkyl; n = 0-2; or
     pharmaceutically acceptable salts thereof] were prepared as angiogenesis
     inhibitors. For example, etherification of 1,6-dibromo-2-naphthol with
     dibromoethane gave the bromoethoxy derivative (93%). Addition of NH2NH2•H2O
     in 2N HCl and CH2Cl2 provided 1-[2-[(1,6-dibromo-2-
     naphthyl)oxy]ethyl]hydrazine•HCl (78%). Cyclization of the hydrazine
     with Et benzoylacetate afforded the pyrazolone (39%), which was treated
     with 1,1'-(azodicarbonyl)dipiperidine, PBu3, and EtOH to give III (78%).
     In an in vivo tumor model assay using human colon tumor HCT-116 cells
     implanted in mice, I and II significantly inhibited tumor growth compared
     to controls. All treatments were well tolerated with no lethality or weight
     loss in any group. Thus, I and II are useful for the treatment of
     hyper-proliferative disorders and angiogenesis dependent disorders, especially
     colon, breast, and lung cancer. 503815-37-0P, 3-[1-[2-[2-Chloro-4-(4-methyl-2-
     thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-(4-
     morpholinylmethyl)benzamide 503815-38-1P, 3-[1-[2-[2-Chloro-4-(4-
     methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-
     [(diethylamino)methyl]benzamide 503815-39-2P,
```

3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-[(dimethylamino)methyl]benzamide 503815-40-5P,

3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-

3-yl]-N-(2-methoxyethyl)benzamide 503815-41-6P,

3-[1-[2-[2-Chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-propylbenzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anticancer agent; preparation of [(aryloxy)ethyl]pyrazoles for treatment of hyper-proliferative disorders)

RN 503815-37-0 CAPLUS

CN

Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-(4-morpholinylmethyl)- (9CI) (CA INDEX NAME)

RN 503815-38-1 CAPLUS

CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-[(diethylamino)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} & \\ & \text{O}-\text{CH}_2-\text{CH}_2-\text{N} \\ & \text{EtO} & \\ & \text{O} & \\ & \text{Me} & \\ \end{array}$$

RN 503815-39-2 CAPLUS

CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-[(dimethylamino)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{O} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{C} \\ \text{NH} \\ \text{CH}_2 \\ \text{NMe}_2 \\ \text{NH} \\ \text{O} \\$$

RN 503815-40-5 CAPLUS

CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-

1H-pyrazol-3-yl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

RN 503815-41-6 CAPLUS

CN Benzamide, 3-[1-[2-[2-chloro-4-(4-methyl-2-thienyl)phenoxy]ethyl]-5-ethoxy-1H-pyrazol-3-yl]-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{C1} & \\ & \text{O-CH}_2\text{-CH}_2\text{-N} & \\ & \text{EtO} & \\ & \text{O} & \\ & \text{Me} & \\ \end{array}$$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 20 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
     2003:261667 CAPLUS
ΑN
DN
     138:287976
ΤT
     Preparation of pyrazole amino acid derivatives for increasing endogenous
     testosterone levels
IN
     Brondyk, William H.; McKenna, Sean; Arkinstall, Stephen J.
     Applied Research Systems ARS Holding N.V., Neth. Antilles
PA
SO
     PCT Int. Appl., 76 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                             DATE
     PATENT NO.
                       KINDA
                                             APPLICATION NO. DATE
     WO 2003026649
                       A1
                             20030403
                                            WO 2002-US30801 20020927
PI
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                             20010927
PRAI US 2001-325470P
                       Ρ
OS
     MARPAT 138:287976
     Pyrazole compds., e.g., I [R1 = (un)substituted alk(en)(yn)yl, carbocyclic
AB
     aryl, aralkyl, heteroaryl, heteroalicyclyl, heteroaralkyl, or
     heteroalicyclylalkyl; R2, R3 = H, (un)substituted alk(en)(yn)yl, alkoxy,
     alkylthio, alkylsulfinyl, alkylsulfonyl, or ring groups defined for R1; X
     = (hetero)alk(en)(yn)ylene or ring groups defined for R1; Y =
     (un) substituted amino or methylene, CO, SO2; Z = optionally-substituted
     alkylamino, an amino acid, or a glycine; m, n = 0 or 1] or their
     pharmaceutically-acceptable salts were prepared for treatment of conditions,
     disorders or diseases which would benefit patients by increasing
     endogenous testosterone levels. Thus, in vivo testosterone induction
     activities for regioisomeric 5-[2-(4-tert-butylphenyl)-5-pyridin-3(or
     4)-yl-2H-pyrazol-3-yl]pentanoic acid [1-carbamoyl-2-(4-
     hydroxyphenyl)ethyl]amide are shown in bar graphs.
IT
     373607-52-4P 373607-56-8P 373607-67-1P
     373607-69-3P 503862-37-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of pyrazole amino acid derivs. for increasing endogenous
        testosterone levels)
RN
     373607-52-4 CAPLUS
CN
     Benzenepropanamide, \alpha - [[3-[1-[4-(1,1-dimethylethyl)phenyl]methyl]-3-
     (4-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (\alpha S)- (9CI)
       (CA INDEX NAME)
```

Absolute stereochemistry.

RN 373607-56-8 CAPLUS

CN Benzenepropanamide, α -[[4-[1-butyl-3-(2-furanyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 373607-67-1 CAPLUS

CN Benzenebutanamide, $\alpha-[[4-[3-(2-furanyl)-1-(2-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)$

PAGE 1-A

PAGE 2-A

RN 373607-69-3 CAPLUS

CN Benzenepropanamide, $\alpha - [[4-[3-[3-(dimethylamino)phenyl]-1-(2-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\frac{1}{N}$$
 $\frac{1}{N}$ $\frac{1}$

RN 503862-37-1 CAPLUS

CN Benzenepropanamide, $\alpha-[[3-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-(3-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 21 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:254172 CAPLUS

DN 138:281081

TI Drug screening with non-endogenous, constitutively activated human serotonin receptors and small molecule modulators thereof

IN Behan, Dominic P.; Chalmers, Derek T.; Liaw, Chen W.; Russo, Joseph F.; Thomsen, William J.

PA Arena Pharmaceuticals, Inc., USA

SO U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 60,188. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 16

. 10				
ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		X)		
6541209	В1	20030401 / \	US 1999-292072	19990414
6140509	Α	20001031	US 1999-292069	19990414
6420541	В1	20020716	us 2000-767013	20001222
5 2003224442	A 1	20031204	US 2002-55555	20020123
3 2003153004	A 1	20030814	US 2002-176255	20020619
3 1997-839449	B2	19970414	- × 1	
5 1998-60188	A2	19980414	a dia	0158 A
5 1998-90783P	P	19980626	Does mi does	O and a
5 1998-112909P	P	19981218		Coupes.
5 1999-123000P	P	19990305		· V
5 1998-90793P	P	19980625		
5 1999-292072	A3	19990414		
5 2000-767013	A 3	20001222		
	ATENT NO. 5 6541209 5 6140509 5 6420541 5 2003224442 5 2003153004 5 1997-839449 5 1998-60188 5 1998-90783P 5 1998-112909P 5 1999-123000P 5 1998-90793P 5 1999-292072	ATENT NO. KIND 5 6541209 B1 6 6140509 A 6 6420541 B1 6 2003224442 A1 6 2003153004 A1 6 1997-839449 B2 6 1998-60188 A2 6 1998-90783P P 6 1998-112909P P 6 1999-123000P P 6 1999-292072 A3	ATENT NO. KIND DATE 5 6541209 B1 20030401 6 6140509 A 20001031 6 6420541 B1 20020716 6 2003224442 A1 20031204 6 2003153004 A1 20030814 6 1997-839449 B2 19970414 6 1998-60188 A2 19980414 6 1998-90783P P 19980626 6 1998-112909P P 19981218 6 1999-123000P P 19990305 6 1998-90793P P 19980625 6 1999-292072 A3 19990414	ATENT NO. KIND DATE 5 6541209 6 6140509 7 A 20001031 8 2003224442 8 A 20031204 8 2003153004 8 A 20031204 8 2003153004 8 A 20030814 8 1997-839449 8 19970414 8 1998-60188 8 A 2 19980414 8 1998-90783P 9 19980626 8 1998-112909P 9 19981218 8 1999-123000P 9 19980625 8 1999-292072 8 1999-292072 8 19990414

AB Disclosed herein are non-endogenous, constitutively activated forms of the human 5-HT2A and human 5-HT2C receptors and uses of such receptors to screen candidate compds. Further disclosed herein are candidate compds. identified by the screening method which act at the 5HT2A receptors. Yet further disclosed is a new class of compds. which act at the 5HT2A receptors.

IT 247037-94-1P 247037-95-2P 247037-97-4P 247037-98-5P 247037-99-6P 247038-00-2P

247038-01-3P 247038-02-4P 247038-03-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug screening with non-endogenous, constitutively activated human serotonin receptors and small mol. modulators thereof)

RN 247037-94-1 CAPLUS

CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 247037-95-2 CAPLUS

CN 2-Thiophenecarboxamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 247037-97-4 CAPLUS

CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-chloro- (9CI) (CA INDEX NAME)

RN 247037-98-5 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 247037-99-6 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-fluoro-(9CI) (CA INDEX NAME)

Me NH-C-CH₂
$$\stackrel{\circ}{\parallel}$$
 $\stackrel{\circ}{\parallel}$

RN 247038-00-2 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-methoxy-(9CI) (CA INDEX NAME)

RN 247038-01-3 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 247038-02-4 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-nitro-(9CI) (CA INDEX NAME)

RN 247038-03-5 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-methoxy-(9CI) (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L25
          ANSWER 22 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
           2002:754333 CAPLUS
DN
           137:279214
ΤI
           Preparation of benzoic acid derivatives as nuclear factor \kappa B
           inhibitors
           Suzuki, Kenji; Nunokawa, Youichi; Ogou, Naohisa
IN
           Suntory Limited, Japan; Suntory Biomedical Research Limited
PA
           PCT Int. Appl., 243 pp.
SO
           CODEN: PIXXD2
DT
           Patent
LΑ
           Japanese
FAN.CNT 1
           PATENT NO.
                                                    KIND
                                                                   DATE
                                                                                                       APPLICATION NO.
                                                                                                                                               DATE
PΙ
           WO 2002076918
                                                      A1
                                                                   20021003
                                                                                                       WO 2002-JP3017
                                                                                                                                               20020327
           WO 2002076918
                                                      C1
                                                                   20021031
                     W: BR, CA, CN, HŮ
                                                                     JP, KR,
                     RW: AT, BE, CH, CY
                                                                     DE, DK, EŞ, FI, FR, GB, GR, IE, IT, LU, MC, NL,
                              PT, SE, TR
           BR 2002004678
                                                                   20030429
                                                                                                       BR 2002-4678
                                                                                                                                               20020327
                                                      А
           EP 1314712
                                                                   20030528
                                                                                                       EP 2002-708696
                                                      A1
                                                                                                                                               20020327
                                                                    DK, ES,
                                                                                                  GB, GR, IT, LI, LU, NL, SE, MC, PT,
                             AT, BE, CH, DE
                              IE, FI, CY,
                                                           ŤR
PRAI JP 2001-91003
                                                                   200<del>1</del>0327
                                                      Α
           WO 2002-JP3017
                                                      TΑΤ
                                                                   20020327
OS
           MARPAT 137:279214
           The title compds. I [R] = (1,4-benzoquinon-2-yl)methyl (with substituents selected from H, alkyl, etc.) (generic structure given), etc.; <math>R2 = H,
AΒ
            (un) substituted alkyl, etc.; X = carboxyl (which may esterified or
           amidated)] are prepared In an in vitro test for nuclear factor \kappa B
           inhibiting activity, N-[5-(5,6-dimethoxy-3-methyl-1,4-benzoquinon-2-
           yl)methyl-2-hydroxybenzoyl]-4-aminobenzoic acid Et ester showed IC50 value
           of 3 \mug/mL.
TΤ
           464215-68-7P
           RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
           preparation); THU (Therapeutic use); BIOL (Biological study); PREP
            (Preparation); RACT (Reactant or reagent); USES (Uses)
                    (preparation of benzoic acid derivs. as nuclear factor \kappa B inhibitors)
RN
            464215-68-7 CAPLUS
CN
           Benzamide, 2-(acetyloxy)-5-[(4,5-dimethoxy-2-methyl-3,6-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,4-dioxo-1,
```

IT 464215-69-8P

NAME)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

cyclohexadien-1-yl)methyl]-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX

(preparation of benzoic acid derivs. as nuclear factor κB inhibitors) 464215-69-8 CAPLUS

Benzamide, 5-[(4,5-dimethoxy-2-methyl-3,6-dioxo-1,4-cyclohexadien-1-yl)methyl]-2-hydroxy-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN

CN

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L25 ANSWER 23 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
      2002:275753 CAPLUS
AN
      136:309843
DN
      Preparation of thiophenes as phosphate transport inhibitors
TI
      Weinstock, Joseph; Franz, Robert G.
IN
      Smithkline Beecham Corporation, USA
PA
      PCT Int. Appl., 66 pp.
SO
      CODEN: PIXXD2
      Patent
DT
LΑ
      English
FAN.CNT 1
      PATENT NO.
                           KIND
                                   DÁTE
                                                      APPLICATION NO.
                                                                            DATE
                                                      ______
                                                      WO 2001-US31318 20011005
      WO 2002028353
                                  (20020411
                             A2
PΙ
                                   20020711
      WO 2002028353
                             A3
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                      AU 2002-13048
                             A5
                                   20020415
      AU 2002013048
                                   20001005
PRAI US 2000-238068P
                             Þ
                                   20011005
                             W
      WO 2001-US31318
OS
      MARPAT 136:309843
      The title compds. [I-III; X = S, O; R1 = H, alkyl, aryl, etc.; R2, R3 =
AB
      alkyl, haloalkyl, alky; interrupted by one or more 0 or S atoms, etc.; n =
      0-3], useful for treatment of chronic renal failure and uremic bone
      disease, were prepared E.g., a 4-step synthesis of I [X = S; R1 = H; R2 =
      4-FC6H4; R3 = Ph], starting with Me 3-aminothiophene-2-carboxylate, was
      presented. Biol. data were given.
      409363-05-9P
IT
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
       (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
       (Uses)
           (preparation of thiophenes as phosphate transport inhibitors)
      409363-05-9 CAPLUS
RN
      2-Thiophenecarboxamide, N-[3-(1-methyl-1H-pyrazol-3-yl)phenyl]-3-
CN
       [(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)
```

```
ANSWER 24 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
     2002:107327 CAPLUS
AN
     136:167394
DN
     Preparation of carboxamide compounds and their use as antagonists of a
TI
     human 11CBY receptor
     Johnson, Christopher Norbert; Jones, Martin; O'Toole, Catherine Anne;
ΙN
     Stemp, Geoffrey; Thewlis, Kevin Michael; Witty, David
     Smithkline Beecham P.L.C., UK
PA
     PCT Int. Appl., 77 pp.
SO
     CODEN: PIXXD2
DT
     Patent
T.A
     English
FAN.CNT 1
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     PATENT NO.
                                            APPLICATION NO.
                                                              DATE
                            /DATE
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                             20020207
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                                                              20010726
     WO 2002010146
PΙ
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                             20030502
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     EP 1305304
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             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                             20030701
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                                            JP 2002-515877
                                                              20010726
                        T2
                             20040219
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                             20030328
     NO 2003000471
                        Α
                                                              20030130
                                            BG 2003-107510
                             20030930
     BG 107510
                        Α
                                                              20030930
                                            US 2003-343424
                             20040401
     US 2004063686
                        A1.
                             20000731
PRAI GB 2000-18758
                        Α
     GB 2001-12544
                        Α
                             20010523
     WO 2001-EP8637
                        W
                             20010726
OS
     MARPAT 136:167394
     Title compds. [I; A = H, C1-6alkyl optionally substituted by hydroxyl,
AΒ
     C1-6alkoxy, C1-6alkenyl, C1-6 acyl, halogeno, OH, CN, CF3; R3 = H, CH3,
     CH3CH2; R4 = aromatic carbocycle, heterocycle; Z = O, S, NH, CH2, single
     bond, at the 3 or 4 position of R4 relative to the carbonyl group; R5 =
     aromatic carbocycle, heterocycle; Q = XYNR1R2; X = O, S; Y = C2-4 alkylene,
     C5-6 cycloalkylene; R1, R2 independently = C1-6 alkyl, phenyl-C1-6 alkyl;
     R1R2 = 5-, 6-, 7-membered ring optionally containing one or more heteroatom
     selected from O, S, N; etc.], pharmaceutically acceptable salts, and
     solvate are prepared and as antagonists of a human 11CBY receptor. Title
     compds. and pharmaceutical composition are useful in the treatment and/or
     prophylaxis of one or more of the disorder, such as, major depression,
     manic depression, anxiety, etc. Thus, the title compound II was prepared from
     2'-methyl-biphenyl-4-carboxylic acid and 4-(2-diisopropylamino-ethoxy)-3-
     methoxy-phenylamine in DMF in the presence of 1-(3-dimethylaminopropyl)-3-
     Et carbodiimide hydrochloride and 1-hydroxy-7-azabenzotriazole.
IT
     395677-26-6P 395679-10-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of carboxamide compds. as antagonists of human 11CBY receptor)
     395677-26-6 CAPLUS
```

RN

CN Benzamide, N-[4-[2-[bis(1-methylethyl)amino]ethoxy]-3-methoxyphenyl]-4-(1-methyl-1H-pyrazol-4-yl)- (9CI) (CA INDEX NAME)

RN 395679-10-4 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-[2-[bis(1-methylethyl)amino]ethoxy]-3-methoxyphenyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{Me} \\ \text{(i-Pr)}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{O} & \text{O} \\ & \text{NH}-\text{C} & \text{S} \\ & \text{N} \\ & \text{CF}_3 \end{array}$$

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/773,736

L25 ANSWER 25 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:71213 CAPLUS

DN 136:401679

TI Regioselective synthesis of pyrazoles via the ring cleavage of 3-substituted N-alkylated 3-hydroxyisoindolin-1-ones

AU Chang, Kyu-Tae; Choi, Yong Hyun; Kim, Seung-Ho; Yoon, Yong-Jin; Lee, Woo Song

CS Proteome Research Laboratory, Korea Research Institute of Bioscience and Biotechnology, Taejon, 305-333, S. Korea

Journal of the Chemical Society, Perkin Transactions 1 (2002), (2), 207-210

CODEN: JCSPCE; ISSN: 1472-7781

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 136:401679

N-Alkyl (Me, Et, iPr, tBu)-substituted phthalimides I (R = Me, Et, i-Pr, t-Bu) were easily transformed to mono-, di-, or tri-substituted pyrazoles, e.g., II via a one-pot addition-decyclization-cyclocondensation process. The regiochem. of the pyrazole ring was determined by X-ray crystallog. anal. and 1H NOE expts.

IT 431877-75-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of pyrazoles from N-alkylphthalimides via addition of lithium alkylacetylides, ring cleavage of intermediate N-alkylhydroxyisoindolinones and subsequent regioselective cyclocondensation with hydrazines)

RN 431877-75-7 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-2-(1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

IT 431877-69-9P 431877-70-2P 431877-71-3P 431877-72-4P 431877-73-5P 431877-74-6P 431877-76-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazoles from N-alkylphthalimides via addition of lithium alkylacetylides, ring cleavage of intermediate N-alkylhydroxyisoindolinones and subsequent regioselective cyclocondensation with hydrazines)

RN 431877-69-9 CAPLUS

CN Benzamide, N-methyl-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 431877-70-2 CAPLUS
CN Benzamide, N-methyl-2-[5-(4-methylphenyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 431877-71-3 CAPLUS CN Benzamide, N-ethyl-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 431877-72-4 CAPLUS CN Benzamide, N-(1-methylethyl)-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 431877-73-5 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RN 431877-74-6 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-2-(1-methyl-3-phenyl-1H-pyrazol-5-yl)-(9CI) (CA INDEX NAME)

RN 431877-76-8 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-2-[5-(4-methylphenyl)-1H-pyrazol-3-yl]-(9CI) (CA INDEX NAME)

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 26 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
     2001:850926 CAPLUS
AN
     135:371991
DN
     Preparation of pyrazole compounds for treatment of infertility
TI
     Shroff, Hitesh; Reddy, Adulla P.; El Tayar, Nabil; Brugger, Nadia;
ΙN
     Jorand-Lebrun, Catherine
     Serono Reproductive Biology Institute, Inc., USA
PA
SO
     PCT Int. Appl., 90 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                      KIND
     PATENT NO.
                            DATE
                                           APPLICATION NO.
                                                             DATE
                                           WO 2001-US16189
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PΙ
     WO 2001087287
     WO 2001087287
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            AE, AG, AL, AM, AT, AV, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CF, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                       A1 // 20020919
                                           US 2001-860658
                                                             20010519
     US 2002132844
                       A2// 20030212
                                           EP 2001-939143
                                                             20010519
     EP 1282418
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                       T2
                            20040115
                                           JP 2001-583755
                                                             20010519
     JP 2004501100
                            20000519
PRAI US 2000-205814P
                       Ρ
     WO 2001-US16189
                       W
                            20010519
OS
     MARPAT 135:371991
     Substituted pyrazole compds. I/[R1 is H, optionally substituted alkyl,
AB
     alkenyl, alkynyl, carbocyclic/aryl, aralkyl, heteroaryl,
     heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; R2, R3 are H,
     halo, optionally substituted alkyl, alkenyl, alkynyl, alkoxy, alkylthio,
     alkylsulfinyl, alkylsulfonyl, carbocyclic aryl, aralkyl, heteroaryl,
     heteroalicycloalkyl, heteroaralkyl or heteroalicycloalkyl; X is optionally
     substituted alkylene, alkenylene, alkynylene, heteroalkylene,
     heteroalkenylene, heteroalkynynylene, alicyclyl, carbocyclic aryl,
     heteroalicycloalkyl, heteroaryl, heteroaralkyl, or heteroalicycloalkyl; Y
     is optionally substituted amino or methylene, carbonyl, sulfonyl; Z is an
     optionally substituted alkylamine, an amino acid or a glycine; m, n are 0
     or 1] or their pharmaceutically acceptable salts were prepared for treatment
     of mammalian infertility. Thus, tyrosinamide II was prepared by the
     solid-phase method and shown to be human FSH receptor specific in tests on
     untransfected CHO parental cells.
ΙT
     373607-43-3P 373607-52-4P 373607-56-8P
     373607-67-1P 373607-69-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of pyrazole compds. for treatment of infertility)
     373607-43-3 CAPLUS
RN
     Benzenepropanamide, \alpha-[[4-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-
CN
     (3-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (αS)- (9CI)
```

(CA INDEX NAME)

Absolute stereochemistry.

RN 373607-52-4 CAPLUS

CN Benzenepropanamide, $\alpha-[[3-[1-[[4-(1,1-dimethylethyl)phenyl]methyl]-3-(4-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 373607-56-8 CAPLUS

CN Benzenepropanamide, $\alpha-[[4-[1-butyl-3-(2-furanyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 373607-67-1 CAPLUS

CN Benzenebutanamide, $\alpha-[[4-[3-(2-furanyl)-1-(2-pyridinyl)-1H-pyrazol-5-yl]$ benzoyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 373607-69-3 CAPLUS

CN Benzenepropanamide, $\alpha-[[4-[3-[3-(dimethylamino)phenyl]-1-(2-pyridinyl)-1H-pyrazol-5-yl]benzoyl]amino]-4-hydroxy-, (<math>\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
L25
     ANSWER 27 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
     2001:816458 CAPLUS
AN
     135:344479
DN
TΙ
     Preparation of pyrazoles and pyrazolones as RNA polymerase inhibitors and
     antibacterial agent
     Li, Leping; Chen, Xiaoqi; Cutler, Serena T.
IN
     Tularik Inc., USA
PA
SO
     PCT Int. Appl., 61 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                            /DATE
     PATENT NO.
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                                            APPLICATION NO.
     WO 2001082930
                                            WO 2001-US14439 20010502
                       A1
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PΙ
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             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG,/SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES,/FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                            20020425
                                            US 2001-847962 20010502
     US 2002049205
                             20040106
     US 6673923
                             20000503
PRAI US 2000-201988P
os
     MARPAT 135:344479
     Pyrazoles I and pyrazolones II [R1 = H, OH, alkoxy, (un) substituted NH2;
AB
     R2, R3 = (un)substituted aryl, heteroaryl, alkyl, heteroalkyl,
     heteroaralkyl, heteroarylheteroalkyl, arylheteroalkyl] were prepared for use
     as RNA polymerase inhibitors and antimicrobial agents. Thus,
     3-F3CC6H4CH2CN was treated with PhCHO and cyclized with Me3SiCN2 to give I
     [R1 = H, R2 = Ph, R3 = 3-F3CC6H4], which had min. inhibitory concns.
     against Staphylococcus aureus and Escherichia coli of <500 μM.
IT
     371254-04-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of pyrazoles and pyrazolones as RNA polymerase inhibitors and
        antibacterial agents)
     371254-04-5 CAPLUS
RN
     Acetamide, N-[3-[3-[4-fluoro-3-(trifluoromethyl)phenyl]-1H-pyrazol-4-
CN
```

yl]phenyl]-2-phenoxy- (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 28 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
ΑN
     2001:581873 CAPLUS
DN
     135:152802
     Preparation of 4-(1H-pyrazol-3-yl)-1H-pyrrole-2-carboxylic acid
TI
     derivatives as inhibitors of ERK
     Green, Jeremy; Cao, Jingrong; Hale, Michael; Baker, Christopher; Maltais,
IN
     Francois; Janetka, James; Mullican, Michael; Bemis, Guy; Xie, Xiaoling;
     Straub, Judith; Tang, Qing; Mashall, Robert
     Vertex Pharmaceuticals Incorporated, USA
PA
     PCT Int. Appl., 72 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 3
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                                                              DATE
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                       A2
                                            WO 2001-US3911
                                                              20010205
                            20010809
     WO 2001057022
PΙ
                       АЗ
                            20020307
     WO 2001057022
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             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            AU 2001-36723
     AU 2001036723
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                                            BR 2001-4424
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                                            TR 2001-20010378720010205
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     NO 2001004837
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                                                              20011017
     LT 4981
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                            20030127
                                            BG 2001-106054
     BG 106054
                       A
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                                            US 2002-225719
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     US 6593357
                                            US 2003-335793
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     US 2004102506
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PRAI US 2000-180506P
                       Ρ
                             20000205
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     US 2001-971533
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     US 2001-972437
                             20011005
                       A3
     US 2002-225719
                             20020822
                       A3
     US 2003-335793
                       A3
                             20030102
OS
     MARPAT 135:152802
     The title compds. [I; R1 = R, halo, OR, etc.; T = a bond, linker group; R
AΒ
     = H, alkyl; R2 = H, CN, halo, etc.; R3 = R, OH, OR, etc.; Q = a bond, CO,
     CO2, etc.; R4 = NH2, NHR5, R5, etc.; R5 = alkyl, aryl, aralkyl, etc.],
     useful as protein kinase inhibitors (such as ERK2, JAK, JNK, Aurora-2,
     GSK-3, KDR or ATK), were prepared E.g., a 4-step synthesis of I [R1 = H; T]
```

= a bond; R2 = Ph; R3 = H; Q = CO; R4 = NHCH2Ph] which showed Ki of < 1 μM in ERK2 inhibition assay, was given. The compds. I are useful for treating disease states in mammals that are alleviated by a protein kinase inhibitor, particularly diseases such as cancer, inflammatory disorders, restenosis, and cardiovascular disease.

IT 353252-11-6P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(1H-pyrazol-3-yl)-1H-pyrrole-2-carboxylic acid derivs. as inhibitors of ERK)

RN 353252-11-6 CAPLUS

1H-Pyrrole-2-carboxamide, 4-[4-[3-[(ethylamino)carbonyl]phenyl]-1H-pyrazol-3-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O \\
 & \parallel \\
 & C-NH-CH_2-Ph \\
 & N \\
 & H
\end{array}$$
EtNH-C

```
ANSWER 29 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
     2001:545674 CAPLUS
AN
     135:137516
DN
TI
     Synthesis of heteroarylbenzamides and analogs used for inhibiting protein
     Bender, Steven Lee; Bhumralkar, Dilip; Collins, Michael Raymond; Cripps,
IN
     Stephan James; Deal, Judith Gail; Nambu, Mitchell David; Palmer, Cynthia
     Louise; Peng, Zhengwei; Varney, Michael David; Jia, Lei
     Agouron Pharmaceuticals, Inc., USA
PΑ
SO
     PCT Int. Appl., 237 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                            DATE
                                            APPLICATION NO.
                                                             DATE
     PATENT NO.
                      KIND/
                            20010726
                                           WO 2001-US1723
                                                             20010119
     WO 2001053274
                       A1
PI
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, ĎE, DK, ĎM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, Sb, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, F_{1}/FR, GB, G_{1}R, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CT, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                       A1 //
                                           US 2001-764306
                                                             20010119
     US 2002103203
                           20020801
     US 6635641
                       B2//
                            20031021
                                                             20010119
                            20021030
                                            EP 2001-906592
     EP 1252146
                       A1
                                         GB, GR, IT, LI, LU, NL, SE, MC, PT,
             AT, BE, CH, DE, DK, ES, FR,
             IE, SI, LT, LV, FI, RO, MK,
                                         CY, AL, TR
                                                             20010119
     BR 2001008025
                       A
                            20021105
                                            BR 2001-8025
                       T2
     JP 2003529558
                            20031007
                                            JP 2001-553276
                                                             20010119
     US 2004092747
                       A1
                            20040513
                                            US 2003-621979
                                                             20030717
PRAI US 2000-177059P
                       Ρ
                            20000121
     US 2001-764306
                       А3
                            20010119
                            20010119,
     WO 2001-US1723
     MARPAT 135:137516
OS
     Title compds. I [Z = CH, NH; Q = moiety such that ring A is
AB
     (un) substituted mono- or bicyclic heteroaryl which has at least 2 carbon
     atoms in the heteroaryl ring system; X = CH2, O, S, NH; Y = CH2, O, S,
     provided at least one of X and Y = CH2 or X and Y form a cyclopropyl ring;
     R2-3 = H, Me, halo, CF3, CN; R4 = CONHR5, NHCOR6; where R5 =
     (un) substituted aryl, heteroaryl, cycloalkyl, etc.; R6 = (un) substituted
     aryl, heteroaryl, cycloalkyl, etc] are prepared Examples include synthetic
     procedures for over 150 compds., 11 biol. assays and 3 sample
     formulations. For instance, 3-mercaptobenzoic acid was treated with
     α-chloro-N-methoxy-N-methylacetamide followed by carbodiimide
     coupling to 2-methyl-6-aminoquinoline to give II. II was converted to a
     \beta-thiono-ketone with thioacetanilide/n-BuLi followed by treatment
     with hydrazine to give pyrazole III. III gave 85% inhibition of an lck
     protein tyrosine kinase at 5 \mu M and had Ki = 2.21 nM for
     VEGF-R2Δ50. Treatment of cancer as well as other disease states
     associated with unwanted angiogenesis and/or cellular proliferation, such as
     diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and
     psoriasis are claimed uses of the invention.
IT
```

351319-33-0P 351319-45-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351319-33-0 CAPLUS

CN Benzamide, N-[4-(5-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-[(pyrazinylthio)methyl]- (9CI) (CA INDEX NAME)

RN 351319-45-4 CAPLUS

CN Benzamide, N-[4-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]- (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 30 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     2001:416929 CAPLUS
DN
     135:33475
     Preparation of heterocyclo-alkylsulfonyl pyrazole derivatives as
TI
     anti-inflammatory/analgesic agents
IN
     Cheng, Hengmiao; Li, Jin; Lundy, Kristin Marie; Minich, Martha Lou; Sakya,
     Subas Man; Uchida, Chikara
PA
     Pfizer Products Inc., USA
SO
     PCT Int. Appl., 130 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                            DATE
     PATENT NO.
                      KIND
                                                             DATE
                                            APPLICATION NO.
                                            -----
     WO 2001040216
                            20010607
                                                             20001124
PΙ
                       Α1
                                           \WO 2000-IB1748
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, ĎE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, /IN, IS, JP, KE, KG)
                                             KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KÉ, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     BR 2000016031
                            20020723
                                            BR 2000-16031
                       Α
                                                             20001124
     EP 1233959
                      A1
                            20020828
                                            EP 2000-974741
                                                             20001124
                     CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             AT, BE,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2003517475
                       T2
                            20030527
                                           JP 2001-541900
                                                             20001124
     US 6531492
                       В1
                            20030311
                                            US 2000-724446
                                                             20001128
     BG 106694
                       Α
                            20030331
                                            BG 2002-106694
                                                             20020513
     ZA 2002004285
                            20030529
                                            ZA 2002-4285
                       Α
                                                             20020529
     NO 2002002624
                       Α
                            20020730
                                            NO 2002-2624
                                                             20020603
     US 2003236258
                       A1
                            20031225
                                            US 2003-342666
                                                             20030114
PRAI US 1999-168701P
                       Ρ
                            19991203
     WO 2000-IB1748
                       W
                            20001124,
     US 2000-724446
                       ŘΆ
                            20001128
OS
     MARPAT 135:33475
     The title compds. [I; A = II-IV (wherein m = 0-2; X = CR8, N; R4 = alkyl
AΒ
     optionally substituted by halo; R5 = H, halo, SH, etc.; R8 = H, halo, OH,
     etc.), etc.; R2 = H, halo, alkyl, etc.; R3 = H, halo, alkyl, etc.; R6 =
     (un) substituted Ph, Ph fused to (un) saturated 5-7 membered aromatic ring,
etc.],
     useful in the treatment or alleviation of inflammation and other
     inflammation associated disorders, such as osteoarthritis, rheumatoid
     arthritis, colon cancer and Alzheimer's disease, in mammals (preferably
    humans, dogs, cats and livestock), were prepared and formulated.
     reacting 5-hydrazino-2-(methylsulfonyl)pyridine.HCl with
     3-phenyl-2-propynal in trifluoroethanol afforded the pyrazole V.
     data for compds. I were given.
TΤ
     343628-60-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of heterocyclo-alkylsulfonyl pyrazole derivs. as
        anti-inflammatory/analgesic agents)
```

RN

343628-60-4 CAPLUS

CN Benzamide, N-methyl-4-[1-[5-(methylsulfonyl)-2-pyridinyl]-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 31 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
    2001:338350 CAPLUS
AN
     134:326537
DN
     Preparation of acylazole derivatives as kainic acid neurocytotoxicity
TI
     Shishikura, Jun-ichi; Inami, Hiroshi; Kaku, Hidetaka; Tsutsumi, Rie;
ΙN
     Yamashita, Hiroshi; Ohno, Kazushige
     Yamanouchi Pharmaceutical Co., Ltd., Japan
PΑ
SO
     PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LΑ
FAN.CNT 1
     PATENT NO.
                      KIŅĎ
                            DATE
                                           APPLICATION NO. DATE
                       Å1
                           20010510
                                                           20001027
                                           WO 2000-JP7572
    WO 2001032173
PΤ
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, ŠK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                            19991029/
PRAI JP 1999-310035
     MARPAT 134:326537
     Kainic acid neurocytotoxicity/inhibitors containing as the active ingredient
AΒ
     acyl-nitrogen-containing~5=mémbered heterocycle derivs. or pharmaceutically
     acceptable salts thereof [I; A = O, S; X, Y = C, CH, N; Z = C, CH, N, O; n
     = 0.1; the solid line accompanied with a dotted line represents a single
     or double bond; R1, R3, R4 = each (un)substituted lower alkyl, alkenyl,
     hydrocarbyl, heterocyclyl, aryl-lower alkyl, heteroaryl-lower alkyl,
     aryl-lower alkenyl, or heteroaryl-lower alkenyl; R2 = H, (un)substituted
     lower alkyl; R5, R6 = absent, H, (un)substituted lower alkyl; or R3 and R5
     or R6, or R4 and R6 are united to form an (un)substituted cycloalkane,
     cycloalkene, heterocycloalkane, or heterocycloalkene] are prepared Also
     prepared are 1-acyl-2-pyrazoline derivs. [II; A, n, R1, R2 = same as above;
     one of R3 and R4 = (un)substituted pyridyl or pyrazyl and the other = each
     (un) substituted lower alkyl, alkenyl, hydrocarbyl, heterocyclyl,
     aryl-lower alkyl, heteroaryl-lower alkyl, aryl-lower alkenyl, or
     heteroaryl-lower alkenyl; or R3 and R5 or R6 are united to form an
     (un) substituted cycloalkane, cycloalkene, heterocycloalkane, or
     heterocycloalkene and R4 = each (un) substituted lower alkyl, alkenyl,
     hydrocarbyl, heterocyclyl, aryl-lower alkyl, heteroaryl-lower alkyl,
     aryl-lower alkenyl, or heteroaryl-lower alkenyl] or pharmaceutically
     acceptable salts thereof. These compds. also possess noncompetitive
     antagonism against AMPA (2-amino-3-(3-hydroxy-5-methyl-4-
     isoxazolyl)propionic acid) receptor and are useful as nerve cell
     protectants or therapeutics for epilepsy. Thus, a mixture of chalcone,
     hydrazine monohydrate, and ethanol was refluxed for 1 h to give
     1-benzoyl-4,5-dihydro-3,5-diphenyl-1H-pyrazole (III). III and
     (+)-3-(1-benzoyl-5-phenyl-4,5-dihydro-1H-pyrazol-3-yl)pyridine showed IC50
     of 2.6 and 1.3 \muM, resp., for inhibiting the.
ΙT
     336795-99-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
```

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acylazole derivs. as kainic acid neurocytotoxicity inhibitors, nerve cell protectants, and antiepileptics)

336795-99-4 CAPLUS

RN

CN

Acetamide, N-[4-[1-benzoyl-4,5-dihydro-3-(3-pyridinyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L25
     ANSWER 32 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2001:31473 CAPLUS
     134:100864
DN
TI
     Indazole compounds and pharmaceutical compositions for inhibiting protein
     kinases, and methods for their use
IN
     Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza,
     John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson,
     Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich,
     Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas,
     Christine; Varney, Michael David; Wallace, Michael Brennan
PA
     Agouron Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 439 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                       KIND/
                             /DATE
                                              APPLICATION NO.
                                                                DATE
                        A2
                             20010111
                                             WO 2000-US18263 20000630
PΙ
     WO 2001002369
         W: AE, AG, AL, AM, AT, AU, AZ/BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EÉ, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
              SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     BR 2000012352
                             20020514
                                             BR 2000-12352
                                                                20000630
                        Α
                                                                20000630
                        A2
                             20020703
                                             EP 2000-943375
     EP 1218348
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
         R:
              IE, SI, LT,/LV, FI, RO, MK, CY, AL
                                              JP 2001-507809
                                                                20000630
     JP 2003503481
                             20030128
                        Ţ2
                             20030926
                                              NZ 2000-516676
                                                                20000630
     NZ 516676
     US 6531491
                        В1
                             20030311
                                              ŲS 2001−983786
                                                                20011025
                                              ψs 2001-983783
     US 6534524
                        В1
                             20030318
                                                                20011025
     NO 2001005797
                              20020301
                                              NO 2001-5797
                                                                20011128
                        Α
                        Α
                              20030206
                                              ZA 2001-10061
     ZA 2001010061
                                                                20011206
     BG 106380
                                             BG 2002-106380
                        A
                             20020930
                                                                20020201
PRAI US 1999-142130P
                        P
                             19990702
                              20000630
     US 2000-609335
                        B3
     WO 2000-US18263
                              20000630
OS
     MARPAT 134:100864
     Indazole compds. I \sqrt{R1} = substituted or unsubstituted aryl or heteroaryl,
AB
     R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X;
     R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl,
     heterocycloalkyl, ar\dot{y}l_{x} heteroaryl; Y = 0, S, C(:CH2), CO, SO, SO2,
     alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl,
     heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl),
     NH(heteroaryl), NH(alkoxy), NH(dialkylamide)} and their pharmaceutically
     acceptable prodrugs, active metabolites, and salts are disclosed. The
     compds. modulate and/or inhibit the activity of certain protein kinases.
     In particular, I and pharmaceutical compns. containing them are capable of
     mediating tyrosine kinase signal transduction, and thereby modulate and/or
     inhibit unwanted cell proliferation. The invention is also directed to
     the therapeutic or prophylactic use of pharmaceutical compns. containing such
     compds., and to methods of treating cancer and other disease states
     associated with unwanted angiogenesis and/or cellular proliferation, such as
```

diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepared from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixture with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphoni um bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

IT 319469-02-8P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of combinatorial libraries of aryl-substituted indazole derivs. as modulators and inhibitors of protein kinases in the treatment of tumor growth, cellular proliferation, and angiogenesis)

RN 319469-02-8 CAPLUS

Benzamide, N-[3-(1H-pyrazol-3-yl)phenyl]-2-[[3-[(1E)-2-(2-pyridinyl)ethenyl]-1H-indazol-6-yl]thio]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L25 ANSWER 33 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:847300 CAPLUS

DN 134:147535

TI Synthesis of 1-hydroxy-substituted pyrazolo[3,4-c]- and pyrazolo[4,3-c]quinolines and -isoquinolines from 4- and 5-aryl-Substituted 1-benzyloxypyrazoles

AU Pawlas, Jan; Vedso, Per; Jakobsen, Palle; Huusfeldt, Per Olaf; Begtrup,

CS Department of Medicinal Chemistry, The Royal Danish School of Pharmacy, Copenhagen, DK-2100, Den.

SO Journal of Organic Chemistry (2000), 65(26), 9001-9006 CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 134:147535

AB 1-Hydroxypyrazolo[3,4-c]quinoline (I), 1-hydroxypyrazolo[4,3-c]quinoline (II), 1-hydroxypyrazolo[3,4-c]isoquinoline (III), and 1hydroxypyrazolo[4,3-c]isoquinoline (IV) were prepared from 1-benzyloxypyrazole, establishing the pyridine B-ring in the terminal step. The pyridine ring of the 1-benzyloxy derivative of pyrazoloquinolines II and I was formed via cyclization of a formyl group at C-4 or C-5 and an amino group of a 2-aminophenyl substituent at C-5 or C-4 in 1-benzyloxypyrazole. The pyridine ring of 1-benzyloxy derivs. of pyrazoloisoquinolines III and IV was created via cyclization of a formyl group in a 2-formylphenyl substituent at C-4 or C-5 with an iminophosphorane group installed at C-5 or C-4 of 1-benzyloxypyrazole by lithiation followed by reaction with tosyl azide and then with tributylphoshine utilizing the Staudinger/aza-Wittig protocol. 2-aminophenyl and the 2-formylphenyl substituent were introduced at C-5 or C-4 by regioselective metalation followed by transmetalation to the pyrazolylzinc halide and subsequent palladium-catalyzed cross-coupling with 2-iodoaniline or 2-bromobenzaldehyde. The order of reactions and use of protecting groups in the individual sequences have been optimized. The 1-benzyloxy-substituted pyrazoloquinolines and isoquinolines thus obtained were debenzylated by strong acid to the corresponding 1-hydroxysubstituted pyrazologuinolines and isoguinolines I-IV.

IT 323582-82-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of hydroxy pyrazoloquinolines and -isoquinolines via cyclization of arylbenzyloxypyrazoles)

RN 323582-82-7 CAPLUS

CN Propanamide, N-[2-[4-iodo-1-(phenylmethoxy)-1H-pyrazol-5-yl]phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD

```
ANSWER 34 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2000:553562 CAPLUS
DN
     133:164049
ΤI
     Preparation of pyrazolylbenzamides as antianemic agents
IN
     Stoltefuss, Jurgen; Braunlich, Gabriele; Hinzen, Berthold; Kramer, Thomas;
     Pernerstorfer, Josef; Studemann, Thomas; Nielsch, Ulrich; Bechem, Martin;
     Lohrmann, Emanuel; Gerdes, Christoph; Sperzel, Michael; Lustig, Klemens;
     Mayr, Lorenz
PA
     Bayer Aktiengesellschaft, Germany
SO
     PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     German
FAN.CNT 1
     PATENT NO.
                      KIND
                             DATE
                                            APPLICATION NO.
                                                              DATE
PI
     WO 2000046207
                       Α1
                             20000810
                                            WO 2000-EP504
                                                              20000124
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                            20000810
     DE 19904391
                       A1
                                            DE 1999-19904391 19990204
PRAI DE 1999-19904391
                             19990204
                      Α
     MARPAT 133:164049
OS
AB
     Title compds. (I; R = R3NHCOZ)[II; R1 = H or alkyl, R2 = (hetero)aryl; R3
     = (cyclo)alkyl; Z = (un)substituted 1,4-phenylene] were prepared Thus,
     aminoresin-bound 4-(HO2C)C6H4COMe was condensed with 4-(F3C)C6H4CO2Me and
     the product cyclocondensed with MeNHNH2 to give, after N-methylation and
     cleavage, II [R1 = R3 = Me, R2 = C6H4(CF3)-4, Z = 1,4-phenylene]. Data
     for biol. activity of 1 prepared I were given.
ΙT
     287936-37-2P 287936-41-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of pyrazolylbenzamides as antianemic agents)
RN
     287936-37-2 CAPLUS
CN
     Benzamide, N-methyl-4-[1-methyl-5-[4-(trifluoromethyl)phenyl]-1H-pyrazol-3-
     yl]- (9CI) (CA INDEX NAME)
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RN 287936-41-8 CAPLUS
CN Benzamide, N-methyl-4-(1-methyl-5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L25
    ANSWER 35 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
     2000:260283 CAPLUS
ΑN
     132:293757
DN
TI
     Preparation of novel 4,5-dihydroisoxazole derivatives and their use as
     pharmaceuticals for T cell-mediated diseases
     Freyne, Eddy Jean Edgard; Andres-Gil, Jose Ignacio; Deroose, Frederik
ΙN
     Dirk; Petit, Davy Petrus Franciscus Maria; Matesanz-Ballesteros, Maria
     Encarnacion; Alvarez Escobar, Rosa Maria
PΑ
     Janssen Pharmaceutica N.V., Belg.
     PCT Int. Appl., 108 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                           DATE
                      KIND
                                            APPLICATION NO.
                                                             DATE
     PATENT NO.
                       A1 //20000420
     WO 2000021959
                                           WO 1999-EP7803
                                                             19991007
PI
         W: AE, AL, AM, A^{\alpha}, AU, AZ, BA, BB, B, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, ĎM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                            20000420
                                           CA 1999-2346396 19991007
     CA 2346396
                       AA
     EP 1119568
                       A1
                            20010801
                                            EP 1999-953847
                                                             19991007
                            20040218
     EP 1119568
                       В1
             AT, BE, GH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                            JP 2000-575865
     JP 2002527438
                       Т2
                            20020827
                                                             19991007
     AU 763460
                       B2
                            20030724
                                           AU 2000-10393
                                                             19991007
     AT 259803
                       Ε
                            20040315
                                           AT 1999-953847
                                                             19991007
     US 6583141
                       B1
                            20030624
                                           US 2001-807149
                                                             20010406
     US 2004019059
                       Ά1
                            20040129
                                           US 2003-403543
                                                             20030331
PRAI EP 1998-203394
                            19981009
     WO 1999-EP7803
                            19991007
                            20010406
     US 2001-807149
OS
     MARPAT 132:293757
     The invention concerns title compds. I and their N-oxides,
AB
     pharmaceutically acceptable addition salts, quaternary ammonium salts, and
     stereochem. isomeric forms [wherein m, n, p = 0 or 1; R1 = (un) substituted
     pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl or phenyl; B = amide,
     ketone, or oxadiazole; D = (un)substituted aryl or heterocyclyl; Q = bond,
     CO, (un) substituted NH, CONH, CH2, CH(:CH2), C(:NH), SO, SO, 3-oxobutenyl,
     pyrazole, isoxazole, or thiazole nucleus; L = (un)substituted aryl or
     heteroaryl; R2, R3 = H, halo, C1-6 alkyloxy, or (un)substituted C1-6
     alkyl]. Also disclosed is a process for their preparation, compns. comprising
     them, and their medical use. The compds. show growth inhibitory activity
     against T cell blasts and keratinocytes in vitro. The compds. are claimed
     for use in the treatment of prevention of rheumatic, arthritic, and
     inflammatory diseases, psoriasis, T cell leukemia, transplant rejection,
     and graft-vs.-host disease. For instance, base-catalyzed cycloaddn. of
     N-hydroxy-3-pyridinecarboximidoyl chloride with Me 2-propenoate gave 98%
     Me 4,5-dihydro-3-(3-pyridinyl)-5-isoxazolecarboxylate, which was amidated
     with (4-aminophenyl)phenylmethanone to give 58% title compound II. At a
     concentration of 10-6 M, II gave 81% inhibition of T cell blast formation in
```

human whole blood.

IT 264605-72-3P 264605-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of dihydroisoxazole derivs. as antiproliferatives and immunomodulators)

RN 264605-72-3 CAPLUS

CN 5-Isoxazolecarboxamide, N-[4-(4,5-dihydro-1-methyl-3-phenyl-1H-pyrazol-5-yl)phenyl]-4,5-dihydro-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 264605-73-4 CAPLUS

CN 5-Isoxazolecarboxamide, N-[4-(4,5-dihydro-1-methyl-5-phenyl-1H-pyrazol-3-yl)phenyl]-4,5-dihydro-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 36 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:247417 CAPLUS

DN 132:265193

ΤI Preparation of phenylpyrazoles and hypolipidemic agents

Yamada, Hiroichi; Mochizuki, Nobuo; Uchida, Seiichi; Umeda, Nobihiro IN

Nippon Soda Co., Ltd., Japan PΑ

SO Jpn. Kokai Tokkyo Koho, 19 pp.

CODEN: JKXXAF

DTPatent

LA Japanese

FAN.CNT 1

PΙ

DATE PATENT NO. KINI 20000418 JP 2000109465 A2 19980805

APPLICATION NO. DATE JP 1999-221791 19990804

PRAI JP 1998-222159

CASREACT 132:265193; MARPAT 132:265193

AB Title compds. I [R1 = $\frac{1}{1}$, C1-6 alkyl; X = CO, SO2; A = (CR3R2)p(CR4:CR5)q; B = (CR6R7)r; R2, R3, R6, R7-= H, cyano, OH, halo, C1-6 alkyl, C1-6 alkoxy etc.; R4, R5 = H, C1-6 alkyl, C1-6 haloalkyl, (un) substituted benzyl; p, r = 0-6; q = 0-1; Y = O, S, SO, SO2, CO, etc.; n = 0-1; D = (un)substituted Ph; naphthyl, tetrahydronaphthyl, indanyl; R11 = halo, C1-6 alkyl, C1-6 alkoxy; m = 0-2; R12 = H, C1-6 alkyl] or their pharmaceutically acceptable salts are prepared by dehydration of pyrazoles II (R1, R11, R12, m = same as I) with HO2CAY1BD (A, B, Y, D, n = same as I). 5-(4-Aminophenyl)pyrazole (1.59 g) was reacted with 3.09 g benzoyl chloride in the presence of NEt3 in DMF at room temperature for 20 h to give 1.31 g phenyl-N-[4-(pyrazol-5yl)phenyl]carboxamide showing in vivo good hypolipidemic activity.

TT 263257-72-3P 263257-75-6P 263257-76-7P 263257-77-8P 263257-78-9P 263257-79-0P 263257-80-3P 263257-81-4P 263257-82-5P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylpyrazoles by dehydration of aminophenylpyrazoles and carboxylic acids)

RN263257-72-3 CAPLUS

CN Benzamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 263257-75-6 CAPLUS

Benzamide, 4-chloro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME) CN

RN 263257-76-7 CAPLUS

CN Benzamide, 4-fluoro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ \hline \\ HN & \\ \end{array}$$

RN 263257-77-8 CAPLUS

CN Benzamide, 2,4-dichloro-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 263257-78-9 CAPLUS

CN Acetamide, 2-phenoxy-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 263257-79-0 CAPLUS

CN Propanamide, 2-(4-chlorophenoxy)-2-methyl-N-[4-(1H-pyrazol-3-yl)phenyl]-(9CI) (CA INDEX NAME)

RN 263257-80-3 CAPLUS

CN Benzamide, N-[4-(1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 263257-81-4 CAPLUS

CN Benzamide, N-[4-(1-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 263257-82-5 CAPLUS

CN Benzamide, N-[4-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

```
ANSWER 37 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     2000:98525
                CAPLUS
DN
     132:137396
ΤI
     Phenylazole compounds, process for producing the same and drugs for
     hyperlipemia
IN
     Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayuki;
     Yamada, Hirokazu; Ito, Kunihito; Horikoshi, Hiromi
     Nippon Soda Co., Ltd., Japan
PA
SO
     PCT Int. Appl., 92 pp.
     CODEN: PIXXD2
DT
     Patent
LА
     Japanese
FAN.CNT 1
                            DATE
     PATENT NO.
                      KIND
                                            APPLICATION NO.
                                                             DATE
                            /20000210
PΙ
     WO 2000006550
                       A1
                                            WO 1999-JP4070
                                                              19990729
            AE, AL, AM, AȚ, AU, AZ, BA,
                                          BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD,
                                          GE, GH, GM, HR, HU, ID, IL, IN, IS,
             KE, KG, KP, KR, KZ, LC, LK,
                                          LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2339123
                       AA
                            20000210
                                            CA 1999-2339123
                                                             19990729
     AU 9949297
                            20000221
                                            AU 1999-49297
                                                              19990729
                       A1
     AU 753360
                       B2
                            20021017
     EP 1101759
                       A1
                            20010523
                                            EP 1999-933152
                                                             19990729
            AT, BE, CH, DE, DK, ES, FR,
                                         GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                            CN 1999-809019
     CN 1131217
                            20031217
                                                              19990729
                       В
     JP 2000290280
                       A2
                                            JP 1999-216581
                            20001017
                                                              19990730
     JP 2000281656
                            20001010
                                            JP 1999-221789
                                                             19990804
     JP 2000281658
                            20001010
                                            JP 1999-221790
                                                             19990804
                       B1
     US 6342516
                            20020129
                                            US 2001-744786
                                                             20010126
PRAI JP 1998-218316
                       Αl
                            19980731
     JP 1998-222157
                       Α
                            19980805
     JP 1999-16846
                            19990126
                       Α
     JP 1999-19670
                            19990128
                       Α
     JP 1999-24318
                       Α
                            19990201
     WO 1999-JP4070
                            19990729
OS
     MARPAT 132:137396
     Phenylpyrazole and phenylimidazole compds. represented by general formula
AΒ
     (I; wherein A represents (un) substituted imidazolyl or pyrazolyl; B
     represents (un) substituted (CH2)k or (CH:CH)k; Y = bond, O, S, SO2, CO,
     OCH2, C1-5 alkyl-(un) substituted NHCO or NH; Z = (un) substituted and saturated
     or unsatd. heterocycle containing 1 to 4 N, O or S atoms, (un)substituted
     benzoquinonyl or naphthoquinonyl) or pharmaceutically acceptable salts
     thereof are prepared Claimed are drugs for hyperlipemia which contain these
     compds. I as the active ingredient. Among all, compds. wherein Z is
     substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect
     of inhibiting the formation of lipid peroxides too. Thus,
     6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid,
     1-(4-aminophenyl)imidazole 4.0, 1-(3-dimethylaminopropyl)-3-
     ethylcarbodiimide hydrochloride 2.82, 1-hydroxybenzotriazole 2.72 g, and
     2.5\ \mathrm{mL} Et3N were added to 30 mL DMF and stirred at room temperature for 20 h to
```

give title compound (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-

pyrrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation containing I was prepared

IT 256660-56-7P 256660-90-9P 256660-94-3P

256660-96-5P 256660-98-7P 256661-19-5P

256661-45-7P 256661-55-9P 256661-65-1P

256661-66-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)

RN 256660-56-7 CAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 256660-90-9 CAPLUS

CN Naphtho[1,2-b]furan-2-carboxamide, 5-(acetyloxy)-2,3-dihydro-2,4-dimethyl-N-[5-oxo-5-[[4-(1H-pyrazol-3-yl)phenyl]amino]pentyl]- (9CI) (CA INDEX NAME)

RN 256660-94-3 CAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-N-[4-(1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 256660-96-5 CAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-N-[4-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 256660-98-7 CAPLUS

CN 1,3-Benzoxathiole-2-propanamide, 6-hydroxy-4,5,7-trimethyl-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{HO} \\ \text{Me} \\ \end{array}$$

RN 256661-19-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 256661-45-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 256661-55-9 CAPLUS

CN 1H-Pyrrole-2-carboxamide, 1-methyl-N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI)

(CA INDEX NAME)

$$\begin{array}{c|c} & Me \\ & N \\ & N \\ \end{array}$$

RN 256661-65-1 CAPLUS

CN 2-Furancarboxamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 256661-66-2 CAPLUS

CN 2-Furancarboxamide, N-[4-(1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

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L25
    ANSWER 38 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     1999:672842 CAPLUS
DN
     131:317743
     Drug screening with non-endogenous, constitutively activated human
ΤI
     serotonin receptors and small molecule modulators thereof
TN
     Behan, Dominic P.; Chalmers, Derek T.; Foster, Richard J.; Glen, Robert
     C.; Lawless, Michael S.; Liaw, Chen W.; Liu, Qian; Russo, Joseph F.;
     Smith, Julian R.; Thomsen, William J.
PA
     Arena Pharmaceuticals, Inc., USA; Tripos, Inc.
     PCT Int. Appl., 142 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 16
                                         APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
PΙ
     WO 9952927
                      A1
                            19991021
                                         WO 1999-US8168 19990414
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZW
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
                                           CA 1999-2325559 19990414
     CA 2325559
                       AΑ
                            19991021
     AU 9937466
                      A1
                            19991101
                                          AU 1999-37466
                                                            19990414
    AU 764766
US 6107324
                      B2
                            20030828
                           20000822
                      A
                                          US 1999-292071
                                                            19990414
     US 6140509
                      Α
                            20001031
                                          US 1999-292069
                                                            19990414
     EP 1071701
                      A1
                           20010131
                                          EP 1999-919835
                                                            19990414
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     JP 2003514763
                      Т2
                           20030422
                                           JP 2000-543483
                                                            19990414
PRAI US 1998-60188
                      Α
                           19980414
    US 1998-90783P
                      P
                            19980626
     US 1998-112909P
                      Ρ
                           19981218
     US 1999-123000P
                      P
                           19990305
    WO 1999-US8168
                      W
                           19990414
OS
    MARPAT 131:317743
AΒ
     Disclosed herein are non-endogenous, constitutively activated forms of the
     human 5-HT2A and human 5-HT2C receptors and uses of such receptors to
     scréen candidate compds. Further disclosed herein are candidate compds.
     identified by the screening method which act at the 5HT2A receptors.
     further disclosed is a new class of compds. which act at the 5HT2A
     receptors.
IT
     247037-94-1P 247037-95-2P 247037-97-4P
     247037-98-5P 247037-99-6P 247038-00-2P
     247038-01-3P 247038-02-4P 247038-03-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (drug screening with non-endogenous, constitutively activated human
        serotonin receptors and small mol. modulators thereof)
RN
     247037-94-1 CAPLUS
CN
     Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-
     (trifluoromethoxy) - (9CI) (CA INDEX NAME)
```

RN 247037-95-2 CAPLUS

CN 2-Thiophenecarboxamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & N & O & S \\ \hline NH-C & S & S \\ \hline \end{array}$$

RN 247037-97-4 CAPLUS

CN Benzamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-chloro- (9CI) (CA INDEX NAME)

RN 247037-98-5 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

RN 247037-99-6 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-fluoro-(9CI) (CA INDEX NAME)

RN 247038-00-2 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-3-methoxy-(9CI) (CA INDEX NAME)

RN 247038-01-3 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-fluoro-(9CI) (CA INDEX NAME)

RN 247038-02-4 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-4-nitro-(9CI) (CA INDEX NAME)

RN 247038-03-5 CAPLUS

CN Benzeneacetamide, N-[3-(4-bromo-1-methyl-1H-pyrazol-3-yl)phenyl]-2-methoxy-(9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 39 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
AN
     1999:271338 CAPLUS
DN
     130:311815
     Preparation of pyrazole derivatives as calcium release-dependent calcium
TI
     channel inhibitors and inhibitors of interleukin-2 (IL-2) production
     Kubota, Hirokazu; Yonetoku, Yasuhiro; Sugasawa, Keizou; Funatsu, Masashi;
ΙN
     Kawazoe, Souichirou; Toyoshima, Akira; Okamoto, Yoshinori; Ishikawa, Jun;
     Takeuchi, Makoto
PA
     Yamanouchi Pharmaceutical Co., Ltd., Japan
                                                             Appl. Pa
     PCT Int. Appl., 54 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO.
                                                            DATE
PΙ
    WO 9919303
                           19990422
                                          WO 1998-JP4583 19981012
                     A1
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             GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI,
             SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                            20000516
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                      C2
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                                          CA 1998-2304979 19981012
     CA 2304979
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                      Α1
                            19990503
                                          AU 1998-94593
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                                          EP 1998-947818
                                                            19981012
     EP 1024138
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                            19990602
                                          CN 1998-121354
                                                            19981013
     CN 1107671
                      В
                            20030507
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                                           JP 1998-290734
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                                                            20000407
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                            20000609
                                          NO 2000-1907
                                                            20000412
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                                                            20010202
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                      Α1
                            20010802
                                          US 2001-773736
PRAI JP 1997-279093
                            19971013
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     WO 1998-JP4583
                      W
                            19981012
                            20000407
     US 2000-529131
                      A3
OS
    MARPAT 130:311815
     Pyrazole derivs. represented by general formula [I; ring D = pyrazolyl
AB
     optionally substituted by 1-3 substituents selected from alkyl, lower
     alkenyl, lower alkynyl, lower haloalkyl, cycloalkylalkyl, alkoxyalkyl,
```

cycloalkyl, alkoxy, CO2H, alkoxycarbonyl, and halo; ring B = phenylene, a nitrogen-containing, divalent, saturated ring group, or an optionally alkylated,

monocyclic, divalent heteroarom. ring group; X = -NR1-CR2R3-, -CR2R3-NR1-, -NR1-SO2-, -SO2-NR1- or -CR4:CR5-; wherein R1 = H, OH, alkyl, alkoxy, alkylcarbonyl; R2, R3 = H or alkyl or R2R3 = O or S; R4, R5 = H, halo, lower haloalkyl; A = (1) Ph optionally having one or more substituents, (2) mono-, di- or tricyclic fused heteroaryl optionally having one or more substituents, (3) cycloalkyl optionally having one or more substituents, (4) a nitrogen-containing, saturated ring group optionally having one or more substituents, (5) lower alkenyl optionally having one or more substituents, (6) lower alkynyl optionally having one or more substituents, or (7) alkyl optionally having one or more substituents; or A and X are combined together to represent 1-pyrrolidinylcarbonyl, pyrazolidinylcarbonyl, piperidinocarbonyl, piperazinylcarbonyl, morpholinocarbonyl, 3,4-2H-1,4-benzoxazin-4-ylcarbonyl, or indolylcarbonyl] are prepared Also claimed are medicinal compns., in particular, calcium release-dependent calcium channel inhibitors, IL-2 production inhibitors, and therapeutics or preventives for allergies, inflammations, or autoimmune diseases, bronchial asthma, or rheumatoid arthritis for containing the above compds. I as the active ingredients. Thus, 4-methylthiazole-5-carboxylic acid was condensed with 4-[3,5bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline using 1-ethyl-3-(3dimethylaminopropyl)carbodiimide hydrochloride in 1,2-dichloroethane at room temperature overnight to give the title compound, 4'-pyrazolylthiazole-5carboxanilide derivative (II). II in vitro showed IC50 of $\leq 1~\mu M$ $\mu g/mL$ for inhibiting the production of IL-2 in Jurkat cells.

IT 223499-51-2P 223499-52-3P 223499-53-4P 223499-54-5P 223499-55-6P 223499-62-5P 223499-67-8P 223499-65-8P 223499-68-1P 223499-69-2P 223499-70-5P 223499-71-6P 223499-72-7P 223499-73-8P 223499-74-9P 223499-75-0P 223499-76-1P 223499-77-2P 223499-88-3P 223499-84-1P 223499-85-2P 223499-86-3P 223499-87-4P 223499-85-2P 223499-90-9P 223499-91-0P 223499-92-1P 223499-93-2P 223499-95-4P 223499-96-5P 223499-98-7P 223500-02-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as calcium release-dependent calcium channel inhibitors and inhibitors of interleukin-2 production for treatment and prevention of diseases)

RN 223499-51-2 CAPLUS

CN

2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1,4-dimethyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 223499-52-3 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1,4-dimethyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-53-4 CAPLUS

CN 2-Thiophenecarboxamide, N-(2-chlorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-54-5 CAPLUS

CN 2-Thiophenecarboxamide, N-(1-methyl-1H-pyrrol-2-yl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-55-6 CAPLUS

CN 2-Thiophenecarboxamide, N-ethyl-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-56-7 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-

2-thiazolyl- (9CI) (CA INDEX NAME)

RN 223499-57-8 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-3-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 223499-58-9 CAPLUS

CN Benzamide, N-(4-chlorophenyl)-3-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O \\ \hline N & C-NH \\ \hline \end{array}$$

RN 223499-62-5 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 223499-64-7 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1-(1-methylethyl)-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 223499-65-8 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-68-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-4-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HÇl

RN 223499-69-2 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-hydroxyphenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-70-5 CAPLUS

CN 2-Thiophenecarboxamide, N-(2-methylphenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-71-6 CAPLUS

CN 2-Thiophenecarboxamide, N-(2,4-dichlorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & O & Me \\ & & NH-C & N \\ & & N \\ & & CF_3 \end{array}$$

RN 223499-72-7 CAPLUS

CN 2-Thiophenecarboxamide, N-(2-fluorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-73-8 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-

phenyl- (9CI) (CA INDEX NAME)

RN 223499-74-9 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-fluorophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-75-0 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-bromophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-76-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

RN 223499-77-2 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-2-thienyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & O \\ N & N & S & C-NH & S \\ \end{array}$$

RN 223499-78-3 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-3-thienyl- (9CI) (CA INDEX NAME)

RN 223499-79-4 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-N-4H-1,2,4-triazol-4-yl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & O \\ N & N & C-NH-N & N \\ \hline \\ F_3C & & \end{array}$$

RN 223499-81-8 CAPLUS

CN 2-Thiophenecarboxamide, N-(3-chlorophenyl)-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

$$C1$$
 $NH-C$
 S
 N
 N
 Me
 $CF3$

RN 223499-82-9 CAPLUS

CN 2-Thiophenecarboxamide, N-[(4-chlorophenyl)methyl)-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

$$CH_2-NH-C$$
 S
 N
 N
 Me
 CF_3

RN 223499-83-0 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RN 223499-84-1 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 223499-85-2 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-(dimethylamino)phenyl]-5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N} & \text{O} & \text{S} & \text{N} & \text{Me} \\ \hline & \text{NH-C} & & \text{S} & \text{N} & \text{N} & \text{Me} \\ \hline & \text{CF}_3 & & \text{CF}_3 & & \text{CF}_3 \\ \end{array}$$

● HCl

RN 223499-86-3 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

RN 223499-87-4 CAPLUS

CN 2-Thiophenecarboxamide, 5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-N-1H-pyrrol-1-yl- (9CI) (CA INDEX NAME)

RN 223499-88-5 CAPLUS

CN 1,2,3-Thiadiazole-5-carboxamide, 4-methyl-N-[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-thienyl]- (9CI) (CA INDEX NAME)

RN 223499-90-9 CAPLUS

CN Benzamide, 4-chloro-N-[5-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]-2-thienyl]- (9CI) (CA INDEX NAME)

RN 223499-91-0 CAPLUS

CN Benzamide, 4-chloro-N-[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-thienyl]- (9CI) (CA INDEX NAME)

RN 223499-92-1 CAPLUS

CN Benzamide, 4-chloro-N-[4-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 223499-93-2 CAPLUS

CN 1,2,3-Thiadiazole-5-carboxamide, 4-methyl-N-[4-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 223499-95-4 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-(1,3-dimethyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

RN 223499-96-5 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-(1-methyl-1H-pyrazol-5-yl)-(9CI) (CA INDEX NAME)

RN 223499-98-7 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-(1-methylethyl)phenyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223499-99-8 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-cyanophenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223500-00-3 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-aminophenyl)-5-(1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223500-01-4 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-methoxyphenyl)-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 223500-02-5 CAPLUS

CN Benzoic acid, 4-[[[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-thienyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Me \\ \hline \\ EtO-C & O & NH-C \\ \hline \\ & NH-C & N \\ \end{array}$$

IT 223500-11-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazole derivs. as calcium release-dependent calcium channel inhibitors and inhibitors of interleukin-2 production for treatment and prevention of diseases)

RN 223500-11-6 CAPLUS

CN 2-Thiophenecarboxamide, N-(4-chlorophenyl)-5-[4-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L25
     ANSWER 40 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     1998:745030 CAPLUS
DN
     130:13915
TΙ
     Indole derivatives having combined 5HT1A, 5HT1B, and 5HT1D receptor
     antagonist activity
     Gaster, Laramie Mary; Rami, Harshad Kantilal; Wyman, Paul Adrian
IN
PA
     Smithkline Beecham PLC, UK
     PCT Int. Appl., 119 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                       KIND
                              DATE
                                             APPLICATION NO.
                                                               DATE
     PATENT NO.
                        A1/
                            19981112
                                             WO 1998-EP2262
                                                               19980414
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     WO 9850358
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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,

CM, GA, GN, ML, MR, NE, SN, TD, TG
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                                             AU 1998-74310
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                                             EP 1998-921462
                                                               19980414
     EP 975593
                        Α1
                      CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             AT, BE,
         R:
             IE, SI,
                      FI
                             20000621
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                                                               19980414
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                                             JP 1998-547660
     JP 2001524116
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                             20011127
                                                                19980414
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     ZA 9803242
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                             19991015
                                             NO 1999-5065
                                                               19991015
     MX 9909583
                        Α
                             20000331
                                             MX 1999-9583
                                                               19991018
PRAI GB 1997-7829
                             19970418
                        Α
     GB 1998-1882
                        Α
                             19980129
     WO 1998-EP2262
                        W
                             19980414
OS
     MARPAT 130:13915
     The title compds. I\[Ra is a group of formula Q, in which Pl is Ph,
AB
     bicyclic aryl, a 5- t0 7-membéred heterocyclic ring containing 1 to 3
     heteroatoms selected from-oxygen, nitrogen and sulfur, or a bicyclic
     heterocyclic ring containing 1 to 3 heteroatoms selected from oxygen, nitrogen
     and sulfur; R1 = H, halo, C1-6alkyl, C3-6cycloalkyl, C0C1-6alkyl,
     C1-6alkoxy, hydroxy, hydroxyC1-6alkyl, hydroxyC1-6alkoxy,
     C1-6alkoxyC1-6alkoxy, C1-6alkanoyl, nitro, trifluoromethyl, cyano, SR9,
     SOR9, SO2R9, SO2NR1OR11, CO2R10, CONR1OR11, CO2NR1OR11,
     CONR10(CH2)cCO2R11, (CH2)cNR10R11, (CH2)cCONR10R11, (CH2)cNR10COR11,
     (CH2)cCO2C1-6alkyl, CO2(CH2)cOR10, NR10R11, NR10CO2R11, NR10CONR10R11,
     CR10:NOR11, NR10COOR11, CNR10:NOR11, where R10 and R11 are independently
     hydrogen or C1-6alkyl and c is 1 to 4; R2 = H, halo, C1-6alkyl,
     C3-6cycloalkyl, C3-6cycloalkenyl, C1-6alkoxy, acyl, aryl, acyloxy,
     hydroxy, nitro, trifluoromethyl, cyano, CO2R10, CONR10R11, NR10R11 where
     R10 and R11 are as defined for R1; a is 1, 2 or 3; or Ra is a group containing
     bridged rings; Y = NH, alkylamino, CH2, O; V = O, S; D = N, C, CH; W =
     (CR16R17)t where t = 2-4 and R16 and R17 = H, alkyl, etc.; Rb = H, halo,
     OH, etc.; Rc = H, alkyl] were prepared and their 5HT1A,, 5HT1B, and 5HT1D
     receptor binding determined E.g., 5-methoxy-6-(4-methylpiperazin-1-yl)indole
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was treated with KOCMe3, then with 4-bromo-3-methylphenyl isocyanate to give 1-[(4-bromo-3-methylphenyl)aminocarbonyl]-5-methoxy-6-(4-methylpiperazin-1-yl)indole.

IT 216059-23-3P 216059-24-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. having combined 5HT1A, 5HT1B, and 5HT1D receptor antagonist activity)

RN 216059-23-3 CAPLUS

CN 1H-Indole-1-carboxamide, 5-chloro-2,3-dihydro-6-(4-methyl-1-piperazinyl)-N-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 216059-24-4 CAPLUS

CN 1H-Indole-1-carboxamide, 5-bromo-2,3-dihydro-6-(4-methyl-1-piperazinyl)-N-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L25
     ANSWER 41 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
ΑN
     1998:604906 CAPLUS
DN
     129:216422
TI
     Preparation of N-(ar)alkyl-4-(hetero)arylbenzamides and analogs as class
     III antiarrhythmic agents
IN
     Lloyd, John; Rovnyak, George C.; Stein, Philip D.; Ahmad, Saleem; Atwal,
     Karnail S.; Caulfield, Thomas J.; Poss, Michael A.
     Bristol-Myers Squibb Co., USA
PA
SO
     PCT Int. Appl., 143 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
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                      ____
                            -----
                                            ______
PI
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                      A1
                            19980827
                                           WO 1998-US2364
                                                             19980206
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             SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
             FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
             GA, GN, ML, MR, NE, SN, TD, TG
     AU 9863209 -
                       A1
                            19980909
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                                                              19980206
     US 2002137968
                       A1
                            20020926
                                            US 2001-973826
                                                              20011010
     US 6624309
                       В1
                            20030923
                                            US 2002-254398
                                                              20020925
PRAI US 1997-38811P
                       Ρ
                            19970221
     US 1998-8825
                       В1
                            19980120
     WO 1998-US2364
                       W
                            19980206
     US 1999-468648
                       A1
                            19991221
     US 2001-973826
                       В1
                            20011010
OS
     MARPAT 129:216422
AΒ
     R2ZC(:X)NHR1 [R1 = (cyclo)alkyl, heterocyclyl, aryl, etc.; R2 =
     heterocyclyl, aryl; X = O, S, (alkyl)imino, NCN, etc.; Z = bond, C:C
     (sic), NH] were prepared as class III antiarrhythmic agents (no data).
     Thus, 2,2-dimethylcyclopentanone was treated with 4-MeC6H4SO2CH2NC and the
     reduced product amidated by 4-(BuCH2CH2O)C6H4COCl to give
     4-(BuCH2CH2O)C6H4CONHR1 (R1 = 2,2-dimethylcyclopentylmethyl).
ΙT
     212379-92-5P 212380-07-9P 212381-41-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of N-(ar)alkyl-4-(hetero)arylbenzamides and analogs as class
        III antiarrhythmic agents)
RN
     212379-92-5 CAPLUS
CN
     2-Thiophenecarboxamide, N-(3,3-dimethylbutyl)-5-[1-methyl-3-
     (trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c} Me & 0 \\ N & S & C-NH-CH_2-CH_2-CMe_3 \end{array}$$

RN 212380-07-9 CAPLUS

CN 2-Thiophenecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF}_3 & \text{Me} \\ \text{O} & \text{S} & \text{N} \\ \text{F}_3\text{C} & \text{CH}_2-\text{NH}-\text{C} & \text{S} & \text{N} \\ \end{array}$$

RN 212381-41-4 CAPLUS

CN Benzamide, 4-(5-butyl-1H-pyrazol-3-yl)-N-[(2,2-dimethylcyclopentyl)methyl]-(9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 42 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     1998:603272 CAPLUS
     129:230732
DN
     Preparation of N-(2-heterocyclylphenyl) amides as herbicides
TI
IN
     Andree, Roland; Drewes, Mark Wilhelm; Findeisen, Kurt; Kluth, Joachim;
     Linker, Karl-Heinz; Mueller, Klaus-Helmut; Schallner, Otto; Dollinger,
     Markus
PA
     Bayer A.-G., Germany
     Ger. Offen., 70 pp.
SO
     CODEN: GWXXBX
DT
     Patent
LА
     German
FAN.CNT 1
     PATENT NO.
                      KIND/
                            -DATE
                                            APPLICATION NO.
                                                              DATE
                                             ______
PΙ
     DE 19708928
                       A1
                             19980910
                                            DE 1997-19708928 19970305
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             KP, KR, KZ, LC, LK, LR, LS,
                                          LT,
                                              SG, SI, SK, SL, TJ, TM, TR, TT, AZ, BY, KG, KZ, MD, RU, TJ, TM
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             UA, UG,
         RW: GH, GM,
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                                              ZW, AT, BE, CH, DE, DK, ES, FI,
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                     GR, IE, IT, LU, MC, NL,
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                     ML, MR, NE, SN, TD, TG
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                       A1
                             19980922
     AU 731129
                        B2
                             20010322
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     EP 973752
                       A1
                                             ÉP 1998-908103
                                                              19980220
         R: BE, CH,
                     DE, DK, ES, FR, GB, IT, LI, NL
     BR 9808200
                             20000516
                                            BR 1998-8200
                                                              19980220
                       А
                       T2
                             20010904
                                            JP 1998-538103
                                                              19980220
     JP 2001513785
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                             20000131
                                            MX 1999-8144
                                                              19990903
                       А
     US 6602826
                        В1
                             20030805
                                            US 1999-367476
                                                              19990920
     US 6686318
                       В1
                             20040203
                                            US 2003-420203
                                                              20030422
PRAI DE 1997-19708928
                       Α
                             19970305
     WO 1998-EP972
                       W
                             19980220
     US 1999-367476
                       A3
                             19990920
OS
     MARPAT 129:230732
     RZNR1R2 [I; R = heterocyclyl; R1/= H, OH, alkyl, (di)(alkyl)amino, acyl,
AB
     etc.; R2 = alkanoyl\(\) aroyl, alkoxycarbonyl, alkylsulfonyl, etc.; Z =
     (un) substituted 1,2-phenylene] were prepared Thus, 5,2-Cl(O2N)C6H3NH2 was
     treated successively With CLCO2CC13 and EtOH and the product
     cyclocondensed with F3CC(NH2): CHCO2Et to give phenylpyrimidinedione II (R3
     = NO2) which was converted in 2 steps to II (R3 = NHCOCMe3). Data for
     biol. activity of I were given.
IT
     212903-85-0P 212903-87-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of N-(2-heterocyclylphenyl)amides as herbicides)
RN
     212903-85-0 CAPLUS
CN
     Benzamide, N-[2-[1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]phenyl]-
```

(9CI) (CA INDEX NAME)

RN 212903-87-2 CAPLUS

CN Benzamide, N-[2-[4-chloro-1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 43 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:269994 CAPLUS

DN 128:278647

TI New Azole Antifungals. 2. Synthesis and Antifungal Activity of Heterocyclecarboxamide Derivatives of 3-Amino-2-aryl-1-azolyl-2-butanol

AU Bartroli, Javier; Turmo, Enric; Alguero, Monica; Boncompte, Eulalia; Vericat, Maria L.; Conte, Lourdes; Ramis, Joaquim; Merlos, Manuel; Garcia-Rafanell, Julian; Forn, Javier

Garcia-Rafanell, Julian; Forn, Javier CS Research Center, J. Uriach Cia. S.A., Barcelona, 08026, Spain

SO Journal of Medicinal Chemistry (1998), 41(11), 1855-1868 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AΒ A series of 92 azole antifungals containing an amido alc. unit was synthesized. The nature and substitution of the amide portion was systematically modified in search of improved antifungal activity, especially against filamentous fungi. The compds. were tested in vitro against a variety of clin. important pathogens and in vivo (po) in a murine candidosis model. Thiazole and thiophene carboxamides carrying both a substituted Ph ring and a small alkyl group were best suited for activity against filamentous fungi. In a subset of these compds., the amide portion was conformationally locked by means of a pyrimidone ring and it was proven that only an orthogonal orientation of the Ph ring yields bioactive products. A tendency to display long plasma elimination half-lives was observed in both series. Two compds., I and 107, representative of the open and cyclic amides, resp., were chosen for further studies. Both candidates showed excellent activity in in vivo murine models of candidosis and aspergillosis, but their long elimination rates and high toxicities were still unsatisfactory. This work describes the SARs found within this series. The next paper displays the results obtained in a related series of compds., the quinazolinones.

IT 187997-93-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antifungal activity of heterocyclecarboxamide derivs. of 3-amino-2-aryl-1-azolyl-2-butanol)

RN 187997-93-9 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

```
L25
     ANSWER 44 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
     1997:752936 CAPLUS
AN
DN
     128:34690
TI
     Preparation of N-(acylaminobutyl)tetrahydroisoquinoline derivatives as
     modulators of dopamine D3 receptors.
IN
     Stemp, Geoffrey; Johns, Amanda
     Smithkline Beecham P.L.C., UK; Stemp, Geoffrey; Johns, Amanda
PA
     PCT Int. Appl., 53 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                             DATE
                                            APPLICATION NO.
                                                              DATE
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                             19971120
                                            WO 1997-EP2434
                                                              19970506
PI
     WO 9743262
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             EE, ES, FI, GB,
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                                          MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             LK, LR, LS, LT,
                             LU, LV, MD,
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             RO, RU, SD, SE, SG, SI, SK,
                                          RU, TJ, TM
             YU, AM, AZ, B¦Ý, KG, KZ, MD,
         RW: GH, KE, LS, MW, SD, SZ, UG,
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             GR, IE, IT,
                         LU, MC, NL, PT,
                                          SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
     AU 9728974
                             19971205
                                            AU 1997-28974
                                                              19970506
                       A1
     EP 917530
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     EP 917530
                       В1
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                                            NZ 1997-332477
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                                                              19970506
                                            ZA 1997-4026
     ZA 9704026
                       А
                             19981125
                                                              19970509
     US 6046210
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                             20000404
                                            US 1998-180156
                                                              19981103
     NO 9805242
                             19981209
                                            NO 1998-5242
                                                              19981110
                                            KR 1998-709052
     KR 2000010905
                             20000225
                                                              19981110
PRAI GB 1996-9888
                             19960511
     GB 1996-17189
                       A'
                             19960816
     GB 1997-4490
                       Α
                             19970305
                             19970506
     WO 1997-EP2434
                       W
OS
     MARPAT 128:34690
     Title compds. [I; R1 = H, halo, OH, cyano, NO2, CF3, OCF3, F3CSO2O, alkyl,
AΒ
     alkoxy, aralkoxy, alkylthio, alkoxyalkyl, cycloalkylalkoxy, alkanoyl,
     alkoxycarbonyl, alkylsulfonyl, alkylsulfonyloxy, alkylsulfonylalkyl,
     arylsulfonyl, arylsulfonyloxy, arysulfonylalkyl, alkylsulfonamido,
     alkylamido, alkylsulfonamidoalkyl, alkylamidoalkyl, arylsulfonamido,
     arylcarboxamido, arylsulfonamidoalkyl, arylcarboxamidoalkyl, aroyl,
     aroylalkyl, arylalkanoyl, etc.; R2 = H, alkyl; q = 1, 2; Ar, Ar1 =
     (substituted) Ph, 5-6 membered aromatic heterocyclyl; Y = bond, NHCO, CONH,
     CH2, (CH2)mY1(CH2)n; Y1 = 0, S, SO2, CO; m, n = 0, 1; m+n = 0, 1], were
     prepared as, e.g., antipsychotics (no data). Thus, sodium
     triacetoxyborohydride, 4-(4-phenylbenzoylamino)butyraldehyde, and
     7-methoxy-1,2,3,4-tetrahydroisoquinoline were stirred 16 h in
     1,2-dichloroethane to give 83% 7-methoxy-N-[4-(4-phenylbenzoylamino)butyl]-
     1,2,3,4-tetrahydroisoguinoline.
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IT 199677-02-6P

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(acylaminobutyl)tetrahydroisoquinoline derivs. as modulators of dopamine D3 receptors)

199677-02-6 CAPLUS

CN Methanesulfonic acid, trifluoro-, 1,2,3,4-tetrahydro-2-[4-[[4-(1-methyl-1H-pyrazol-4-yl)benzoyl]amino]butyl]-7-isoquinolinyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 45 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:698412 CAPLUS

DN 127:332777

TI Synthesis of some new pyrazolines from 4-amino-4-methoxybenzalacetophenone as dyestuffs intermediates

AU Sayed, A. Z.; Eman, H. A.; Selim, M. R.

CS Chemistry Department, Faculty of Science, Al-Azhar University, Nasr City, Egypt

SO Pakistan Journal of Scientific and Industrial Research (1996), 39(1-4), 14-17

CODEN: PSIRAA; ISSN: 0030-9885

PB Pakistan Council of Scientific and Industrial Research

DT Journal

LA English

AB New aminopyrazoline derivs. (I), where R = H, COCH3; R' = H, Ph, SO2R", R" = Ph, 4-tolyl, 2,5-(NHCOCH3)(CH3)C6H3 has been obtained by the reaction of new benzalacetophenone derivs. with hydrazine hydrate and their derivs. Further reactions were carried out to prepare other pyrazolines. The structural determination of the prepared compds. has been confirmed using elemental

anal., chemical reactions and spectral data.

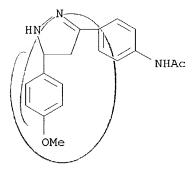
IT 85791-58-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of some new pyrazolines from 4-amino-4-methoxybenzalacetophenone as dyestuffs intermediates)

RN 85791-58-8 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)



IT 85791-61-3P 188291-90-9P 188291-91-0P

188291-92-1P 188291-93-2P 188291-94-3P

188291-95-4P 188291-96-5P 188291-97-6P

197960-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of some new pyrazolines from 4-amino-4-methoxybenzalacetophenone as dyestuffs intermediates)

RN 85791-61-3 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-90-9 CAPLUS

CN Acetamide, N-[4-[1-formyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-91-0 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopropyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-92-1 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxobutyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-93-2 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(2-methyl-1-oxopropyl)1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-94-3 CAPLUS
CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopentyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-95-4 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxohexyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-96-5 CAPLUS

CN Acetamide, N-[4-[1-benzoyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-97-6 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(4-nitrobenzoyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 197960-91-1 CAPLUS

CN Acetamide, N-[4-[1-(4-ethenylbenzoyl)-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

09/773,736

L25 ANSWER 46 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:576862 CAPLUS

DN 127:293164

 ${\tt TI}$ Functionalized pyrazoles through a facile one-pot procedure from N-tolyl-N-propargylhydrazine and aryl iodides or vinyl triflates

AU Cacchi, Sandro; Fabrizi, Giancarlo; Carangio, Antonella

CS Dipartimento Studi Chimica Tecnologia Sostanze Biologicamente Attive, Universita "La Sapienza", Rome, I-00185, Italy

SO Synlett (1997), (8), 959-961 CODEN: SYNLES; ISSN: 0936-5214

PB Thieme

DT Journal

LA English

OS CASREACT 127:293164

AB 3-Substituted pyrazoles were prepared in good overall yield through a facile one-pot procedure. The synthesis includes the Pd-catalyzed coupling of readily available N-tosyl-N-propargylhydrazine with aryl iodides or vinyl triflates, the Pd-catalyzed annulation of the resulting N-tosyl-N-(1-aryl/vinyl-1-propyn-3-yl)hydrazines, and subsequent treatment with KOCMe3.

IT 197093-24-6P 197093-26-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of pyrazoles from tolylpropargylhydrazine and aryl iodides or vinyl triflates)

RN 197093-24-6 CAPLUS

CN Acetamide, N-[3-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 197093-26-8 CAPLUS

CN Acetamide, N-[4-(1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

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L25
     ANSWER 47 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     1997:226815 CAPLUS
     126:212156
DN
TI
     Preparation of heteroarylcarboxamides as agrochemical and medical
     fungicides
TN
     Bartroli, Javier; Turmo, Enric; Anguita, Manuel
     J. Uriach & Cia. S.A., Spain; Bartroli, Javier; Turmo, Enric; Anguita,
PA
     Manuel
     PCT Int. Appl., 84 pp.
SO
     CODEN: PIXXD2
DΤ
     Patent
LА
     English
FAN.CNT 2
                                                               DATE
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
                       ____
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                                             ______
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             SD, SE
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM
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PRAI ES 1995-1564
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                        Α
                             19951020
     ES 1995-2042
                        Α
                             19960802
     WO 1996-EP3419
                        W
     MARPAT 126:212156
OS
     RCH2CR5(OR4)CR1R2NR3COZ1(CH2)mZ2(CH2)qR6 [I; R = imidazolo or
AΒ
     1,2,4-triazo-1-yl; R1 = alkyl; R2 = H or alkyl; R1R2 = alkylene; R3 = H
     (halo)alkyl, Ph, etc.; R4 = H; R3R4 = CH2, CH2CH2, CH(OH)CH2, COCH2; R5 =
     (halo- or CF3-substituted) Ph; R6 = (un)substituted Ph, -heterocyclyl; Z1
     = (un)substituted phenylene or -heterocyclyene; Z2 = bond, O, S00-2, NR6;
     m,q = 0-2) were prepared Thus, (2R,3R)-3-amino-2-(2,4-difluoropheny1)-1-(1H-
     1,2,4-triazol-1-yl)-2-butanol was amidated by 1-(4-chlorophenyl)-1H-
     pyrazole-4-carboxylic acid (preparation given) to give title compound (R,R)-II.
     Data for biol. activity of I were given.
IT
     187997-93-9P
     RL: AGR (Agricultural use); BAC (Biological activity or effector, except
     adverse); BSU (Biological study, unclassified); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
         (preparation of heteroarylcarboxamides as agrochem. and medical fungicides)
RN
     187997-93-9 CAPLUS
     2-Thiophenecarboxamide, N-[2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-
CN
     1,2,4-triazol-1-yl)propyl]-5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-
     y1]-, [R-(R^*,R^*)]- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry. Rotation (-).

L25 ANSWER 48 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:194068 CAPLUS

DN 126:225248

TI Synthesis of new pyrazolines from aminomethoxychalcones

AU Sayed, A. Z.; Emam, H. A.; Selim, M. R.

CS Chemistry Department, Faculty of Science, Al-Azhar University, Nasr, Egypt

SO Al-Azhar Journal of Pharmaceutical Sciences (1996), 17, 107-115 CODEN: AAJPFT; ISSN: 1110-1644

PB Al-Azhar University, Faculty of Pharmacy

DT Journal

LA English

AB Pyrazolines I (R = 4-aminophenyl, 4-acetamidophenyl; R1 = H, Ph arylsulfonyl, acyl, etc.) were prepared from 4-RCOCH:CHC6H4OMe,

TT 85791-61-3P 188291-90-9P 188291-91-0P 188291-92-1P 188291-93-2P 188291-94-3P 188291-95-4P 188292-02-6P 188292-03-7P 188292-04-8P 188292-05-9P 188292-06-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 85791-61-3 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-90-9 CAPLUS

CN Acetamide, N-[4-[1-formyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-91-0 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopropyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-92-1 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxobutyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-93-2 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(2-methyl-1-oxopropyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-94-3 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxopentyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-95-4 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxohexyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188292-02-6 CAPLUS

CN 1H-Pyrazole-1-carboxamide, 3-[4-(acetylamino)phenyl]-4,5-dihydro-5-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 188292-03-7 CAPLUS

CN 1H-Pyrazole-1-carboxamide, 3-[4-(acetylamino)phenyl]-4,5-dihydro-5-(4-methoxyphenyl)-N-phenyl- (9CI) (CA INDEX NAME)

RN 188292-04-8 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1[(methylamino)thioxomethyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188292-05-9 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1[(phenylamino)thioxomethyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188292-06-0 CAPLUS

CN Benzamide, N-[[3-[4-(acetylamino)phenyl]-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-1-yl]thioxomethyl]- (9CI) (CA INDEX NAME)

IT 85791-58-8P 188291-66-9P 188291-68-1P 188291-71-6P 188291-96-5P 188291-97-6P 188291-98-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolines)

RN 85791-58-8 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RN 188291-66-9 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(phenylsulfonyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-68-1 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-[(4-methylphenyl)sulfonyl]-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-71-6 CAPLUS

CN Acetamide, N-[4-[1-[[2-(acetylamino)-5-methylphenyl]sulfonyl]-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-96-5 CAPLUS

CN Acetamide, N-[4-[1-benzoyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-

yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-97-6 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(4-nitrobenzoyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 188291-98-7 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1-(1-oxo-3-phenyl-2-propenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

```
L25
    ANSWER 49 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
     1996:462227 CAPLUS
DN
     125:115150
     Cyclic hexapeptides having antibiotic activity
TΙ
IN
     Ohki, Hidenori; Tomishima, Masaki; Yamada, Akira; Takasugi, Hisashi
     Fujisawa Pharmaceutical Co., Ltd., Japan
PA
SO
     PCT Int. Appl., 273 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                                            APPLICATION NO.
                                                              DATE
     PATENT NO.
                      KIND DATE
                                            _____
                      ____
                            _____
                                                              19950929
                             19960418
                                            WO 1995-JP1983
ΡI
     WO 9611210
                       A1
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     CA 2202058
                                            CA 1995-2202058 19950929
                       AA
                             19960418
     AU 9535780
                       A1
                             19960502
                                            AU 1995-35780
                                                              19950929
     AU 696949
                       B2
                             19980924
     EP 788511
                       A1
                             19970813
                                            EP 1995-932935
                                                              19950929
     EP 788511
                       В1
                             20021211
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                             19971224
                                            CN 1995-196643
                                                              19950929
     CN 1168675
                       Α
                             19980714
                                             JP 1995-512472
                                                              19950929
     JP 10507174
                       T2
                             19990531
     JP 2897427
                       B2
     HU 77736
                                            HU 1998-338
                                                              19950929
                       A2
                             19980728
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                             19981208
                                            JP 1998-136756
                                                              19950929
     JP 3518665
                       B2
                             20040412
                                            RU 1997-107338
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     RU 2165423
                       C2
                             20010420
     AT 229541
                                            AT 1995-932935
                                                              19950929
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                             20021215
                                            PT 1995-932935
                                                              19950929
     PT 788511
                       Т
                             20030430
     ES 2187575
                       Т3
                             20030616
                                            ES 1995-932935
                                                              19950929
     IL 115484
                       A1
                             20000716
                                            IL 1995-115484
                                                              19951002
     ZA 9508458
                             19960507
                                            ZA 1995-8458
                                                              19951006
                       Α
                             19961022
                                            BR 1995-4791
                                                              19951006
     BR 9504791
                       Α
     FI 9701397
                       Α
                             19970527
                                            FI 1997-1397
                                                              19970404
                                            NO 1997-1544
                                                              19970404
     NO 9701544
                       Α
                             19970604
                                                             . 19970521
                                            US 1997-809723
     US 6107458
                       Α
                            20000822
                       В1
                            20010724
                                            US 1999-248267
                                                              19990211
     US 6265536
PRAI GB 1994-20425
                       Α
                            19941007
     GB 1995-8745
                       Α
                             19950428
     JP 1996-512472
                             19950929
                       Α3
     WO 1995-JP1983
                             19950929
                       W
     US 1997-809723
                       Α3
                             19970521
OS
     MARPAT 125:115150
AB
     The invention relates to new cyclic polypeptide derivs. I [R1 = variety of
     substituted acyl groups] and their pharmaceutically acceptable salts. The
     compds. have antimicrobial activities (especially, antifungal activities) and
     inhibitory activity on \beta-1,3-glucan synthase (no data), and are
     useful for prophylactic and/or therapeutic treatment of infectious
     diseases including Pneumocystis carinii infection (e.g., P. carinii
     pneumonia). Examples include 124 compds. I, plus 346 precursor prepns.
     For instance, reaction of the precursor I.Na [R1 = H] with
     1-[6-[(octyloxy)methyl]picolinoyl]benzotriazole 3-oxide in DMF in the
```

albicans FP-633 in vitro, I [R1 = Q2] had MIC of 0.2 μ g/mL.

179166-01-9P 179166-34-8P 179166-54-2P

IT

presence of DMAP gave title compound I [R1 = Q1]. In a test against Candida

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyclic hexapeptides active against fungi and Pneumocystis carinii)

179166-01-9 CAPLUS

RN

CN

Proline, 4,5-dihydroxy-N2-[4-[5-[4-(pentyloxy)phenyl]-1H-pyrazol-3-yl]benzoyl]ornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6-1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

Na

RN 179166-34-8 CAPLUS

CN Proline, N2-[4-[5-[4-(hexyloxy)phenyl]-1H-pyrazol-3-yl]benzoyl]-4,5-dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3-(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic (6-1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Na

RN 179166-54-2 CAPLUS
CN Proline, N2-[4-(1-heptyl-1H-pyrazol-4-yl)benzoyl]-4,5dihydroxyornithylthreonyl-4-hydroxyprolyl-4-hydroxy-4-[4-hydroxy-3(sulfooxy)phenyl]threonyl-3-hydroxyglutaminyl-3-hydroxy-4-methyl-, cyclic
(6+1)-peptide, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

Na

L25 ANSWER 50 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:451675 CAPLUS

DN 125:100003

Image formation method of silver halide photographic photoreceptor TΙ

IN Suzuki, Keiichi; Hirano, Shigeo

Fuji Photo Film Co Ltd, Japan PA

SO Jpn. Kokai Tokkyo Koho, 52 pp.

CODEN: JKXXAF

DТ Patent

LAJapanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
					
PI	JP 08095208	A2	19960412	JP 1994-256062	19940927
PRAI	JP 1994-256062		19940927		

AΒ A photog. photoreceptor composed of a ≥1 photosensitive Ag halide emulsion layer formed on a support is exposed to light and developed, wherein (A) the Ag halide photoreceptor containing ≥1 compound shown as I (21 = nonmetallic atom. group which is necessary for formation of6-membered N-containing aromatic hetero ring with N and X1; X1 = N, CR12, R12 = same as R11; R1 = alkyl, alkenyl, alkynyl, aryl, hetero ring; R11 = H, halo, substitution group which bond to ring via C, O, N, and S; m1 = 0, integral number equal or less than the maximum possible substitution no; when

m1are ≥2, R11 may be same or different, maybe bonded to each other to form ring; 2 radicals, which are formed by loosing 1 H from I, may be bonded to form bis-type structure; Y1 = ion pair for charge balance; n1 = required number for charge balance) are contained in the emulsion layer and/or other hydrophilic colloidal layer, (B) a solid disperse dye are contained in the photoreceptor, and (C) the developer liquid containing a main agent are shown as II [P, Q = OH, hydroxyalkyl, carboxyl, carboxyalkyl, sulfo, sulfoalkyl, amino, aminoalkyl, alkyl, alkoxy, mercapto; P and Q may be an atom. group which may be bonded to each other to form 5-7-membered ring with 2 vinyl C whose R1 and R2 are substituted and C whose Y is substituted; examples of the ring structures may be formed with O, CR4R5, CR6, C(:O), NR7, N:; R4-7 = H, OH, carboxyl, C1-10 alkyl which may be substituted with OH, carboxyl, sulfo].

IT165126-08-9P

RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(image formation method of silver halide photog. photoreceptor)

165126-08-9 CAPLUS RN

Acetamide, N-[4-[4-[5-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-CN pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

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L25
     ANSWER 51 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
     1996:121332 CAPLUS
AN
DN
     124:289529
ΤI
     3-[4-(Methylsulfonyl)phenyl]-1H-pyrazoles and 4-(1H-pyrazol-3-
     yl)benzenesulfonamides as selective inhibitors of cyclooxygenase II useful
     as inflammation inhibitors
IN
     Lee, Len F.; Penning, Thomas D.; Kramer, Steven W.
     G. D. Searle and Co., USA
PA
SO
     U.S., 40 pp.
     CODEN: USXXAM
DT
     Patent
LΑ
     English
FAN.CNT 2
     PATENT NO.
                       KIND
                              DATE
                                              APPLICATION NO.
                                                                DATE
                       ____
                              _____
                                              _____
PI
     US 5486534
                        Α
                              19960123
                                              US 1994-278297
                                                                19940721
     CA 2195123
                        AA
                              19960208
                                              CA 1995-2195123
                                                                19950720
     WO 9603385
                        A1
                              19960208
                                              WO 1995-US8788
                                                                19950720
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             TM, TT
         RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
              LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
              SN, TD, TG
     AU 9531267
                              19960222
                                              AU 1995-31267
                        Α1
                                                                19950720
     EP 772597
                                              EP 1995-927154
                        A1
                              19970514
                                                                19950720
     EP 772597
                        В1
                              20011212
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                                                19950720
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                        T2
                              19980324
                                              JP 1995-505781
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                                              EP 2001-112883
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     AT 210648
                              20011215
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     PT 772597
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     ES 2169760
                        Т3
                                              ES 1995-927154
                              20020716
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     JP 3490716
                        В2
                              20040126
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                                                                19950720
     US 5580985
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                              19961203
                                             US 1995-535688
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                              19980526
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                                             US 1996-721787
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                                             US 1997-776090
                        Α
                              20000222
                                                                19970609
PRAI US 1994-278297
                              19940721
                        Α
     EP 1995-927154
                        Α3
                              19950720
     WO 1995-US8788
                        W
                              19950720
OS
     MARPAT 124:289529
AB
     A class of pyrazolyl compds. is described for use in treating inflammation
     and inflammation-related disorders and is defined by formula I wherein R1
     is a radical selected from hydrido, alkyl, alkenyl, alkynyl, haloalkyl,
     aralkyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, aminoalkyl,
     alkylaminoalkyl, carboxyalkyl, alkoxycarbonylalkyl,
     alkylaminocarbonylalkyl, N-hydroxyaminocarbonylalkyl, N-hydroxy-N-alkyl-
     aminocarbonylalkyl, arylaminocarbonylalkyl and aminocarbonylalkyl; wherein
     R2 is aryl substituted at a substitutable position with a radical selected
     from alkylsulfonyl and sulfamyl; wherein R3 is selected from aryl,
     cycloalkyl, and cycloalkenyl; wherein R3 is optionally substituted at a
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arylaminocarbonyl, haloalkyl, hydroxyl, alkoxy, hydroxyalkyl, haloalkoxy, amino, alkylamino, arylamino, heterocyclo and nitro; and wherein R4 is

substitutable position with one or more radicals selected from halo, alkylthio, alkylsulfinyl, alkyl, cyano, carboxyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, N-alkyl-N-

selected from hydrido, alkyl, haloalkyl, carboxyalkyl, alkoxycarbonylalkyl, aralkoxycarbonylalkyl, aminocarbonylalkyl, hydroxyalkyl and aralkoxyalkyl; or a pharmaceutically-acceptable salt thereof. Thus, e.g., acylation of thioanisole with 4-fluorophenylacetic acid afforded 2-(4-fluorophenyl)-1-[4-(methylthio)phenyl]ethanone; acylation of the latter with 1-trifluoroacetylimidazole followed by heterocyclization with hydrazine afforded 4-(4-fluorophenyl)-3-[4-(methylthio)phenyl]-5-(trifluoromethyl)-1H-pyrazole; oxidation of latter to the 4-methylsulfonyl derivative followed by 1-ethylation afforded 1-ethyl-4-(4-fluorophenyl)-3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazole (II) which exhibited selective inhibition of cyclooxygenase II: ID50 = >10 $\mu \rm M$ for COX I, and <0.1 $\mu \rm M$ for COX II.

IT 175677-39-1P 175677-40-4P 175677-74-4P 175677-75-5P 175678-89-4P 175678-90-7P 175679-25-1P 175679-26-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(3-[4-(methylsulfonyl)phenyl]-1H-pyrazoles and 4-(1H-pyrazol-3-yl)benzenesulfonamides as selective inhibitors of cyclooxygenase II useful as inflammation inhibitors)

RN 175677-39-1 CAPLUS

CN

Acetamide, N-[4-[3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 175677-40-4 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[4-[3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 175677-74-4 CAPLUS

CN Acetamide, N-[4-[3-[4-(methylsulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 175677-75-5 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[4-[3-[4-(methylsulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 175678-89-4 CAPLUS

CN Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} R \\ \\ R \\ \\$$

PAGE 2-A

RN 175678-90-7 CAPLUS CN Acetamide, N-[4-[3-[4

Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

$$0 = S - NH_2$$

RN 175679-25-1 CAPLUS

CN Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)

$$0 = S - NH_2$$

RN 175679-26-2 CAPLUS

CN Acetamide, N-[4-[3-[4-(aminosulfonyl)phenyl]-1H-pyrazol-4-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
NH-C-CF_3 \\
0 \\
0 \\
0
\end{array}$$

L25 ANSWER 52 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

ΑN 1996:119090 CAPLUS

DN 124:170374

TΙ Potentially active antimicrobial agents from 2-benzenesulfonyloxyphenyl-3,1-benzoxazine-4-one derivative

Habib, O.M.; Moawad, E.B.; Girges, M.M.; El-Shafei, A.M. ΑU

CS Chemistry Department, Mansoura Faculty of Science, Mansoura, Egypt

SO Bollettino Chimico Farmaceutico (1995), 134(9), 503-8 CODEN: BCFAAI; ISSN: 0006-6648

Societa Editoriale Farmaceutica PB

DTJournal

LΑ English

Fourteen of nitrogeneous heterocyclic compds. that accommodate the AB sulfonate-ester moiety were synthesized through interaction of 2-benzenesulfonylo xyphenyl-3,1-benzoxazine-4-one with some nucleophilic reagents. The assigned structures for the prepared new compds. were confirmed on the basis of elemental and spectral data. Evaluation of the antimicrobial activity of these products, relative to standard antibiotics was tested and discussed.

TT173984-93-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation)

(potentially active antimicrobial agents from 2-

benzenesulfonyloxyphenyl-3,1-benzoxazine-4-one derivative)

RN 173984-93-5 CAPLUS

Benzenesulfonic acid, 4-[[[2-[[[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-CN pyrazol-3-yl]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, phenyl ester (9CI) (CA INDEX NAME)

PAGE 2-A

Cl

L25 ANSWER 53 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:61279 CAPLUS

DN 124:131438

TI High contrast silver halide photographic material with excellent storage stability

IN Suzuki, Keiichi; Sakurai, Seiya

PA Fuji Photo Film Co Ltd, Japan

SO Jpn. Kokai Tokkyo Koho, 81 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI PRAI	JP 07295131 JP 1994-110200	A2	19951110 19940427	JP 1994-110200	19940427	

AB The title material contains a hydrazine derivative(s), R1NA1NA2G1R2 [R1 = aliphatic, aromatic; R2 = H, alkyl, aryl, unsatd. heterocyclyl, alkoxy, aryloxy,

amino, hydrazino; G1 = CO, SO2, SO, POR3, COCO, thiocarbonyl, iminomethylene; A1, A2 = H, alkylsulfonyl, arylsulfonyl, acyl; R3 = H, alkyl, aryl, unsatd. heterocyclyl, alkoxy, aryloxy, amino, hydrazino], and a surfactant(s), OP(Q1R1)(Q2R2)(Q3LZ)[R1 = aliphatic, alicyclic, aromatic, heterocyclyl; R2 = aliphatic, alicyclic, aromatic, heterocyclyl, LZ; Q1-3 = single bond, O, S, NR3, NR3CO; R3 = H, aliphatic, alicyclic, aromatic, heterocyclyl, LZ; L = divalent connecting group; Z = ionic group] in a photog. emulsion layer(s) and/or hydrophilic colloidal layer(s), and dye solid dispersions.

IT 173063-40-6

RL: DEV (Device component use); USES (Uses) (high contrast silver halide photog. material with excellent storage stability containing)

RN 173063-40-6 CAPLUS

CN Acetamide, N-[4-[4-[5-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-4,5-dihydro-5-oxo-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



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09/773,736
L25
    ANSWER 54 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
    1995:835463 CAPLUS
DN
    123:256771
TI
    Guanidine derivatives as inhibitors of Na+/H+ exchange in cells
IN
    Kuno, Atsushi; Inoue, Yoshikazu; Takasugi, Hisashi; Mizuno, Hiroaki;
    Yamasaki, Kumi
     Fujisawa Pharmaceutical Co., Ltd., Japan
PA
SO
    PCT Int. Appl., 212 pp.
    CODEN: PIXXD2
DT
    Patent
LА
    English
FAN.CNT 1
    PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
                     ____
                                           ______
PΙ
    WO 9426709
                      A1
                            19941124
                                           WO 1994-JP786
                                                            19940512
        W: AU, CA, CN, HU, JP, KR, RU, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL,
                                                                      PT.
                                                                          SE
    TW 393487
                            20000611
                                           TW 1994-83104223 19940510
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    CA 2163004
                                           CA 1994-2163004
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                            19941124
                                                            19940512
    AU 9466912
                                           AU 1994-66912
                       Α1
                            19941212
                                                            19940512
    AU 685457
                       В2
                            19980122
    HU 70206
                       A2
                            19950928
                                           HU 1994-3233
                                                            19940512
    EP 699185
                       A1
                            19960306
                                           EP 1994-914623
                                                            19940512
    EP 699185
                      В1.
                            20010905
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    CN 1123545
                            19960529
                                           CN 1994-192121
                                                            19940512
                      А
    CN 1080257
                       В
                            20020306
```

WO 1994-JP786 MARPAT 123:256771 OS

GB 1993-25268

JP 08511243

RU 2141946

AT 205191

PT 699185

ZA 9403388

US 5824691

GR 3036549

PRAI GB 1993-10074

ES 2159558

T2

C1

F.

Т3

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Α

Α

Α

Α

W

Т3

19961126

19991127

20010915

20011016

20020130

19950123

19981020

20011231

19930517

19931210

19940512

AΒ The N-benzoylguanidine derivs. or N-(heteroaroyl)guanidine derivs. I (X, Y, Z = nitrogen, methine; R2 = H, aryl, etc.; R3 = H, alkoxy, hydroxy, etc.) and pharmaceutically acceptable salts thereof were disclosed as pharmaceuticals. I inhibit the sodium/hydrogen exchange in cells and are hence useful for the treatment of cardiovascular diseases, cerebrovascular diseases, renal diseases, arteriosclerosis or shock. A claimed example compound is N-[3-(1H-pyrrol-1-yl)benzoyl]quanidine [i.e., N-(aminoiminomethyl)-3-(1H-pyrrol-1-yl)benzamide] (II).

JP 1994-525245

RU 1995-122558

AT 1994-914623

ES 1994-914623

PT 1994-914623

US 1995-532804

GR 2001-401402

ZA 1994-3388

19940512

19940512

19940512

19940512

19940512

19940517

19951109

20010906

IT 168620-95-9P 168621-61-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(aroyl)guanidine derivs. as sodium exchange inhibitors)

RN 168620-95-9 CAPLUS

Benzamide, N-(aminoiminomethyl)-3-(1H-pyrazol-3-yl)- (9CI) (CA INDEX CN NAME)

RN 168621-61-2 CAPLUS

CN Benzamide, N-(aminoiminomethyl)-3-(1H-pyrazol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

● HCl

L25 ANSWER 55 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:686962 CAPLUS

DN 123:70241

Formation of high-contrast images with safe photographic developer ΤI

ΙN Yamamoto, Seiichi; Inoe, Nobuaki; Yasuda, Shoji

Fuji Photo Film Co Ltd, Japan PA

Jpn. Kokai Tokkyo Koho, 68 pp. SO CODEN: JKXXAF

DΤ Patent.

Japanese LΑ

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07114152	A2	19950502	JP 1993-282117	19931018
DDAT TD 1003_292117		10021010		

PRAI JP 1993-282117

19931018

The title image formation includes exposing a photog. material that has an emulsion layer containing ≥90 mol% AgCl and ≥1x10-6 mol Rh, Ru, Re, Os complex per mol Ag, and a hydrazine compound in the emulsion layer or other hydrophilic colloid layer, and then developing with a developer solution containing no dihydroxy benzene or its derivs. but ascorbic acid or

its

isomers or derivs.

ΙT 165126-08-9

> RL: DEV (Device component use); USES (Uses) (solid dispersing dye contained in photog. material for image formation)

RN 165126-08-9 CAPLUS

CNAcetamide, N-[4-[4-[5-[3-[4-(acetylamino)]phenyl]-1,5-dihydro-5-oxo-4Hpyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

- L25 ANSWER 56 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1995:677719 CAPLUS
- DN 123:183350
- TI Silver halide photographic material containing solid dispersion of oxonol dye
- IN Yabuki, Yoshiharu; Suzuki, Keiichi
- PA Fuji Photo Film Co Ltd, Japan
- SO Jpn. Kokai Tokkyo Koho, 14 pp.
- CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	JP 07084339	A2	19950331	JP 1993-228397	19930914
	JP 3074550	В2	20000807		
	US 5441859	Α	19950815	US 1994-305451	19940913
PRAI	JP 1993-228397	Α	19930914		

- AB The material has a supported hydrophilic colloid layer containing a dispersion of solid particles of the dye I (R = alkyl, aryl, amino, alkoxy, etc.; Ll, L2, L3 = methyne; Y = H, alkyl, alkoxy, halo; R and Y may form a ring0. Advantages include non-diffusibility throughout manufacturing stages and before processing, and easy wash-off property.
- IT 167409-33-8 167409-34-9
 - RL: DEV (Device component use); USES (Uses)
 - (Ag halide photog. material containing solid dispersion of oxonol dye)
- RN 167409-33-8 CAPLUS
- CN Acetamide, N-[4-[4-[[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]methyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

- RN 167409-34-9 CAPLUS
- CN Acetamide, N-[4-[4-[3-[3-[4-(acetylamino)pheny1]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1-propenyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

IT 165126-08-9P

RL: DEV (Device component use); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses)

(Ag halide photog. material containing solid dispersion of oxonol dye)

RN 165126-08-9 CAPLUS

CN Acetamide, N-[4-[4-[5-[3-[4-(acetylamino)phenyl]-1,5-dihydro-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

IT 99844-13-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of oxonol photog. dye)

RN 99844-13-0 CAPLUS

CN Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 57 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:432039 CAPLUS

DN 122:314473

TI Convenient synthesis of some heterocyclic compounds bearing a succinimido moiety

AU Essawy, S. A.; Wasfy, A. A. F.

CS Faculty Science, Benha University, Benha, Egypt

SO Egyptian Journal of Chemistry (1994), 37(3), 283-93 CODEN: EGJCA3; ISSN: 0367-0422

PB National Information and Documentation Centre

DT Journal

LA English

OS CASREACT 122:314473

AB The incorporation of the succinimido moiety into isoxazoline, pyrazoline, pyran, etc., derivs., is described. E.g., reaction of I with semicarbazide/NaOAc, followed by thionyl chloride, gave thiadiazole II.

IT 163487-76-1P 163487-83-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 163487-76-1 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]-2,5-dioxo- (9CI) (CA INDEX NAME)

RN 163487-83-0 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-4-hydroxy-1H-pyrazol-3-yl]phenyl]-2,5-dioxo-(9CI) (CA INDEX NAME)

L25 ANSWER 58 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:417141 CAPLUS

DN 117:17141

TISilver halide photographic material containing pyrazolone dye

IN Usagawa, Yasushi; Kawashima, Yasuhiko; Kagawa, Nobuaki

PA Konica Co., Japan

Jpn. Kokai Tokkyo Koho, 16 pp. SO CODEN: JKXXAF

DTPatent

LA Japanese

FAN.	CNT 1				
PATENT NO.		KIND	DATE	APPLICATION NO.	DATE /
					\/ /
PΙ	JP 03208043	A2	19910911	JP 1990-2212	19900109
PRAI	JP 1990-2212		19900109		
_ ~	117 17141				7

MARPAT 117:17141 OS

A silver halide photog. material has on a support at least one photog. AΒ layer containing a solid microparticle dispersion of a pyrazolone dye (I; Rl, R2 = CO2H or group having CO2H; R3, R4 = H, a substituent without CO2H; L1-L3 = methine; n = 0-2; when n = 2, L2 and L3 are same or different). The photog. material shows improved image quality, storage stability, and sharpness with little reduction in sensitivity.

IT 141795-79-1 141795-80-4

RL: USES (Uses)

(photog. films containing, for improved image quality and storage stability)

RN 141795-79-1 CAPLUS

Butanoic acid, 4-[[3-[4-[3-[3-[3-[(3-carboxy-1-oxopropyl)amino]phenyl]-1,5-CN dihydro-1-(2-hydroxyethyl)-5-oxo-4H-pyrazol-4-ylidene]-1-propenyl]-5hydroxy-1-(2-hydroxyethyl)-1H-pyrazol-3-yl]phenyl]amino]-4-oxo- (9CI) INDEX NAME)

RN141795-80-4 CAPLUS

Butanoic acid, 4-[[3-[4-[5-[3-[3-[(3-carboxy-1-oxopropyl)amino]phenyl]-1,5-CN

dihydro-1-(2-hydroxyethyl)-5-oxo-4H-pyrazol-4-ylidene]-1,3-pentadienyl]-5-hydroxy-1-(2-hydroxyethyl)-1H-pyrazol-3-yl]phenyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{CH}_2-\text{CH}_2-\text{OH} \\ \\ \text{N} \\ \text{O} \\ \\ \text{CH} \\$$

PAGE 2-A

- L25 ANSWER 59 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1992:106219 CAPLUS
- DN 116:106219
- TI Synthesis of some heterocyclic compounds containing the phthalimido moiety
- AU Essawy, S. A.
- CS Fac. Sci., Benha Univ., Benha, Egypt
- SO Bulletin of the Faculty of Pharmacy (Cairo University) (1991), 29(2), 49-52
 CODEN: BFPHA8; ISSN: 0575-1373
- DT Journal
- LA English
- AB Cyclocondensation of RCOCH:CHC6H4Cl-4 (R = Q) with R1NHNH2 (R1 = H, Ph) and H2NCXNH2 (X = S, O, NH) gave pyrazoles I and pyrimidines II, resp. Condensing RCOMe with H2NCONHNH2 gave RCMe:NNHCONH2 which cyclized with SeO2 and SOCl2 to give selena- and thiadiazole III (Z = Se, S).
- IT 139054-00-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
- RN 139054-00-5 CAPLUS
- CN 2H-Isoindole-2-acetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]-1,3-dihydro-1,3-dioxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

L25 ANSWER 60 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

ΑN 1991:546539 CAPLUS

DN 115:146539

TISilver halide photographic material containing tricyanoethylene dye

IN Kagawa, Nobuaki; Tanaka, Mari; Kawashima, Yasuhiko; Usagawa, Yasushi

PAKonica Co., Japan

Jpn. Kokai Tokkyo Koho, 16 pp. SO

CODEN: JKXXAF

DT Patent

Japanese LΑ

FAN. CNT 1

L 1 11 0 .	0111 1					
	PATENT NO.	KIND DATE		APPLICATION NO.	DATE	
				/		
ΡI	JP 03031840	A2	19910212	JP 1989-167228	19890628	
PRAI	JP 1989-167228		19890628		\ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	
OS	MARPAT 115:14653	9				

The photog. material has, on a support, ≥1 layer containing dye AB AC(CN):C(CN)2 (I; A = pyrazole or imidazole ring). The dye has good decoloring properties and the material gives clear images without fog. Thus, a Ag(Br,Cl) emulsion containing I (A = II) was coated on a film base to make a photog. film.

IT 135716-51-7 RL: USES (Uses)

(dye, photog. film containing)

135716-51-7 CAPLUS RN

Octanamide, N-[4-[4,5-dihydro-5-oxo-4-(tricyanoethenyl)-1H-pyrazol-3-CN yl]phenyl]- (9CI) (CA INDEX NAME)

- L25 ANSWER 61 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN1991:228909 CAPLUS
- DN 114:228909
- TIPreparation of pesticidal N-aryl-3-aryl-4,5-dihydro-1H-pyrazole-1carboxamides
- IN Jacobson, Richard M.
- PΑ Rohm and Haas Co., USA
- U.S., 72 pp. Cont.-in-part of U.S. 4,663,341. SO
 - CODEN: USXXAM
- DTPatent
- LΑ English

FAN.	CNT 2					
	PATE	NT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 48	863947	A	19890905	US 1986-894981	19860811
	US 40	663341	Α	19870505	US 1985-689671	19850111/
	CA 12	275289	A 1	19901016	CA 1985-473376	19850201
	IL 7	4305	A1	19890731	IL 1985-74305	19850211
	ZA 85	501044	A	19860326	ZA 1985-1044	19850212
	NO 85	500545	Α	19850819	NO 1985-545	19850213
	NO 1	71364	В	19921123		
	NO 1	71364	С	19930303		
	AU 8	538674	A1	19850822	AU 1985-38674	19850213
	AU 5	88796	B2	19890928		
	BR 8	500673	Α	19851001	BR 1985-673	
	ES 5	40366	A1	19860516	ES 1985-540366	
	FI 8	500620	A	19850817	FI 1985-620	19850214
	FI 9		В	19940114		
	FI 9	0975	С	19940425		
	DK 8	500682	A	19850817	DK 1985-682	19850214
		0190765	A2	19850928	JP 1985-25369	19850214
	JP 0	7059556	B4	19950628		
		6346	A2	19850930	HU 1985-553	19850214
	HU 2	06248	В	19921028		
		5101497	Α	19860716	CN 1985-101497	19850401
	CN 1	030682	В	19960117		
	DD 2	41845	A 5	19870107	DD 1985-279716	19850815
		53029	A 5	19880106	DD 1985-299114	
		53028	A 5	19880106	DD 1987-299113	19870106
PRAI	US 1	984-580963		19840216		
	US 1	985-689671		19850111		

OS MARPAT 114:228909

The title compds. I [A, B = (substituted) aryl; U = O, S, etc.; V = C3-6AΒ cycloalkyl, RQ; Y = (substituted) alkyl, aryl, etc.; Q = H, halo, cyano, NO2, etc.; R = (CR1R2)n; R1,R2 = H, halo, cyano, NO2, OH, etc.; n = 0-10] were prepared A solution of N,3-bis(4-chlorophenyl)-4-phenyl-4,5-dihydro-1Hpyrazole-1-carboxamide in THF was added to a mixture of (Me2CH2NH and BuLi in hexane. The resulting mixture was stirred for 15 min. To this solution was added MeI and, after 15 min, AcOH was added. The reaction mixture was worked up to give pyrazole II. At 600 ppm, II gave 100% kill of Mexican bean beetle.

131824-88-9P 131824-89-0P 131825-13-3P ΙT

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pesticide)

131824-88-9 CAPLUS RN

1H-Pyrazole-4-carboxylic acid, 3-[4-(acetylamino)phenyl]-4,5-dihydro-4-CN

methyl-1-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-, methyl ester (9CI)
 (CA INDEX NAME)

RN 131824-89-0 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[4-[(4-chlorobenzoyl)amino]phenyl]-4,5-dihydro-4-methyl-1-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 131825-13-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 4,5-dihydro-4-methyl-3-[4- [(trifluoroacetyl)amino]phenyl]-1-[[[4-(trifluoromethyl)phenyl]amino]carbo nyl]-, methyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 62 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1991:23918 CAPLUS

DN 114:23918

TI Synthesis and some reactions of pyrimidine-2-thione derivatives

AU Mahmoud, M. R.; Soliman, A. Y.; Bakeer, H. M.

CS Fac. Sci., Ain Shams Univ., Cairo, Egypt

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1990), 29B(9), 830-5

CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 114:23918

AB Cyclization of p-H2NC6H4COCO:CHR1 (R1 = Ph, p-ClC6H4) with H2NC(S)NH2 gave 42-53% I (R = 4). Cyclization of I with ClCH2CO2H in Ac2O-AcOH gave 36-46% II. Alkylation of I with BrCH2CO2Et and H2C:CHCN gave 32-46% I (R = CH2CO2Et, CH2CH2CN, resp.).

IT 131138-89-1P 131138-90-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and dehydrogenation of)

RN 131138-89-1 CAPLUS

CN Acetamide, N-[4-(4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 131138-90-4 CAPLUS

CN Acetamide, N-[4-[5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

IT 131138-91-5P 131138-92-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 131138-91-5 CAPLUS

CN Acetamide, N-[4-(5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 131138-92-6 CAPLUS

CN Acetamide, N-[4-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 63 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:431810 CAPLUS

DN 113:31810

Silver halide color photographic material containing azole compound as TΙ cyan coupler

Fukunaga, Hiroo; Yamakawa, Kazuyoshi; Furusawa, Genichi IN

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 44 pp.

CODEN: JKXXAF

DTPatent

Japanese LΑ

FP

FAN.CNT 1								
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE /				
				/				
PI JP 01250949	A2	19891005	JP 1988-76403	19880331				
PRAI JP 1988-76403		19880331						

MARPAT 113:31810 OS

A Ag halide color photog. material having excellent color reproducibility AB contains an azole compound [I; R = H, blocking group; Z, Z1, Z2 = (substituted) methine, N, but the substituent ≠ OH, acyloxy, sulfonyloxy] as a cyan coupler. A color photog. film having II as a cyan coupler was processed to give images showing excellent color reproducibility and colorfastness on storage at 60° and 70%relative humidity.

IT127828-91-5 127828-92-6

> RL: TEM (Technical or engineered material use); USES (Uses) (cyan photog. coupler)

RN127828-91-5 CAPLUS

Benzamide, 3-chloro-N-[2-[3-chloro-5-(2-methylpropyl)-1H-pyrazol-4-CN yl]phenyl]-4-[(dodecylsulfonyl)amino]- (9CI) (CA INDEX NAME)

127828-92-6 CAPLUS RN

CNTetradecanamide, 2-[2-chloro-4-[(3-chloro-4-hydroxyphenyl)sulfonyl]phenoxy]-N-[2-(3-chloro-5-ethyl-1H-pyrazol-4-yl)phenyl]- (9CI) (CA INDEX NAME)

C1

$$(CH_2)_{11}-Me$$
 OH
 OH
 OH
 OH
 OH
 OH
 OH

- L25 ANSWER 64 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1990:158243 CAPLUS
- DN 112:158243
- TI Preparation of antiarrhythmic 1H-pyrazole-1-alkanamides
- IN Bailey, Denis M.
- PA Sterling Drug Inc., USA
- SO U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 206,246. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4870095	A	19890926	US 1989-327226	19890322
	IL 86926	A 1	19920715	IL 1988-86926	19880630
	AU 8818930	A 1	19890119	AU 1988-18930	19880711
	AU 599251	B2	19900712		
	ZA 8804976	Α	19890222	ZA 1988-4976	19880711
	ES 2039514	Т3	19931001	ES 1988-111051	19880711
	FI 8803315	Α	19890114	FI 1988-3315	19880712
	DK 8803881	A	19890116	DK 1988-3881	19880712
	NO 8803114	Α	19890116	NO 1988-3114	19880712
	JP 01063573	A2	19890309	JP 1988-174823	19880713
PRAI	US 1987-72490		19870713		
	us 1988-206246		19880613		



OS CASREACT 112:158243; MARPAT 112:158243

AB The title compds. [I, II; R1 = H, alkyl; R2, R3 = H, OH, alkyl, alkoxy, alkylamino, alkylamido, alkylsulfonamido, NO2, NH2, cyano, halo; R4, R5 = H, (hydroxy)alkyl; or R4R5 = straight or branched C2-6 alkylene; R6 = H, OH; R7, R8 = H, OH, alkyl, alkoxy, halo; m = 1,2; A = CH2CH(OH)CH2, (CH2)n; n = 2-8], useful for the treatment of cardiac arrhythmias, are prepared Thus, a solution of 15g Et 4,5-diphenyl-1H-pyrazole-1-acetate in 17 mL 1-(3-aminopropyl)-2-pipecoline was stirred 5 h on a steam bath to give 17.0 g I [R1-R3 = R6-R8 = H, NR4R5 = 2-methyl-1-piperidinyl, A = (CH2)3, m = 1] (III). III at 30 mg/kg i.v. in anesthetized guinea pigs delayed the onset of aconitine HCl-induced arrhythmia including premature ventricular contraction (PVC) 25.9, sustained ventricular contraction (VTACH) 50.5, and sustained ventricular fibrillation (VFIB) 60.0 min vs. control values of 0.97, 1.48, and 3.96 min, resp.

IT 126053-36-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antiarrhythmic)

RN 126053-36-9 CAPLUS

CN 1H-Pyrazole-1-acetamide, 4-[4-(acetylamino)phenyl]-N-[3-(diethylamino)propyl]-5-phenyl- (9CI) (CA INDEX NAME)

L25 ANSWER 65 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1990:138120 CAPLUS

DN 112:138120

TI Composition containing phenylpyridazinone derivatives for promoting growth and reducing fat in animals

IN Euler, Klaus; Lechtken, Peter; Kohler, Walter; Hoppe, Peter Paul; Schoener, Franz Josef; Geiss, Karl Heinz; Thyes, Marco

PA BASF A.-G., Fed. Rep. Ger.

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN CNT 1

FAN.		_							/
	PA'	TENT	NO.		KIND	DATE		APPLICATION NO.	DATE /
									/
PI	WO	8903	181		A1	19890420		WO 1988-EP905	19881010
		W:	US						
		RW:	AT,	BE,	CH, DE	, FR, GB,	IT,	LU, NL, SE	
	DE	3735	207		A1	19890427		DE 1987-3735207	19871017
	ΕP	4012	19		A 1	19901212		EP 1988-909318	19881010
		R:	AT,	BE,	CH, DE	, FR, GB,	IT,	LI, NL, SE	

PRAI DE 1987-3735207 19871017 WO 1988-EP905 19881010

AB Phenylpyridazinone compds. [I, II, III, IV; R1-R3, R6-R12 = functional groups (e.g., amino-, hydroxy-, halo-), alkyl, aromatic, heterocyclic, alicyclic. heteroalicyclic; X,Y = C, hetero-atoms; A,B,A + B = functional group; R4 = H, Me, CH2OH; R5 = H; R4 + R5 = C1-2 alkylidene if A = B = H; M = O, S, substituted amine] are used as supplements in feed for mammals, birds, fish and reptiles where they improve feed utilization (weight gain per unit feed), growth rate, and reduce stored fat. Rats were fed on diets containing 21 of these compds. as supplements in the range 0-100 ppm. Feed utilization efficiency was increased by ≤14% with carcass protein-fat ratios improved by ≤40%. Some compds. were ineffective and others had the reverse effect.

IT 125882-67-9

RL: BIOL (Biological study)
(feed supplement, effects on feed utilization and body-fat content of rats with)

RN 125882-67-9 CAPLUS

CN 1-Piperidinepropanamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]-4-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

L25 ANSWER 66 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1989:477898 CAPLUS

DN 111:77898

TI Synthesis of some new β -lactams, 4-thiazolidinones, and pyrazolines

AU Fahmy, A. M.; Hassan, Kh. M.; Khalaf, A. A.; Ahmed, R. A.

CS Fac. Sci., Assiut Univ., Assiut, Egypt

SO Revue Roumaine de Chimie (1988), 33(7), 755-61 CODEN: RRCHAX; ISSN: 0035-3930

DT Journal

LA English

OS CASREACT 111:77898

AB N-Arylidene-p-aminoacetophenones and/or -p-amino-1-chalcones were converted to a series of β -lactams I, 4-thiazolidinones II (R = p-Cl, p-MeO, p-Me, p-HO, o-HO), and pyrazolines III and IV (R1 = H, p-Cl, p-O2N, p-MeO, p-Me, m-O2N), resp. p-ClCH2CONHC6H4COMe gave p-R2CH2CONHC6H4COCH=CHC6H4R-p(R = H, Cl, NO2, OMe; R1 = piperidine, morpholine), which cyclized with N2H4, PhNHNH2, or (NH2)2CS to/give pyrazolines and pyrimidine-2-thiones, resp. II-IV had bactericidal and fungicidal activity, but I was active only against Penicillium notatum.

IT 85791-61-3P 85791-62-4P 115848-69-6P 115848-70-9P 115848-71-0P 115848-72-1P 115848-90-3P 115848-91-4P 115848-92-5P 115848-93-6P 115848-94-7P 115848-95-8P 115848-96-9P 115848-97-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and microbicidal activity)

RN 85791-61-3 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 85791-62-4 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-69-6 CAPLUS

CN Acetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]-(9CI) (CA INDEX NAME)

RN 115848-70-9 CAPLUS

CN Acetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-71-0 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methylphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-72-1 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(3-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-90-3 CAPLUS

CN 1-Piperidineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ac & N & O \\ & || \\ & NH-C-CH_2-N \\ & Ph \end{array}$$

RN 115848-91-4 CAPLUS

CN 1-Piperidineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-92-5 CAPLUS

CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-93-6 CAPLUS

CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-94-7 CAPLUS

CN 4-Morpholineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O & N \\
N & CH_2 - C - NH
\end{array}$$
Ph

RN 115848-95-8 CAPLUS

CN 4-Morpholineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 115848-96-9 CAPLUS

CN 4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 115848-97-0 CAPLUS

CN 4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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L25
       ANSWER 67 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
AN
        1989:407397 CAPLUS
        111:7397
DN
TI
        Preparation of triphenylpyrazolines as insecticides
        Lahm, George Philip
IN
PA
        du Pont de Nemours, E. I., and Co., USA
SO
        Eur. Pat. Appl., 48 pp.
        CODEN: EPXXDW
DT
        Patent
        English
LA
FAN.CNT 1
        PATENT NO.
                                     KIND DATE
                                                                        APPLICATION NO.
                                                                                                      DATE
                                     ____
                                                                        _____
PΙ
        EP 300692
                                               19890125
                                                                        EP 1988-306478
                                                                                                      19880715
                                      Α1
               R: ES, GR
        WO 8900562
                                              19890126
                                                                        WO 1988-US2335
                                                                                                      19880715
                                      A1
               W: AU, BG, BR, DK, HU, JP, KR, SD, SU, US
               RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
                                      A1 19890213
        AU 8819939
                                                                        AU 1988-19939
                                                                                                      19880715
                                             19900516
        EP 367796
                                      A1
                                                                        EP 1988-906671
                                                                                                      19880715
               R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
        JP 02504273
                                      T2
                                               19901206
                                                                        JP 1988-506321
                                                                                                     19880715
        CN 1030755
                                      Α
                                               19890201
                                                                        CN 1988-104451
                                                                                                      19880716
        US 5006524
                                      Α
                                               19910409
                                                                        US 1990-438467
                                                                                                      19900102
PRAI US 1987-74795
                                               19870717
        US 1988-199584
                                               19880603
        WO 1988-US2335
                                               19880715
OS
        MARPAT 111:7397
AΒ
        The title compds. [I; R1-R3 = halo, cyano, N3, thiocyanato, NO2, R7, OR7,
        CO2R7, O2CR7, S(O)qR7, CONR7R8, etc.; when m, n or p = 2, R1, R2 or R3,
        taken together as OCH2O, OCH2CH2O, or CH2CH2O, form an (un)substituted
        benzo-fused 5- or 6-membered ring; R4 = CO2R9, CONR9R10, SO2NR9R10, etc.;
        R5 = H, C1-4 alkyl; R6 = H, Me; R7, R9 = H, (un) substituted C \le 4
        hydrocarbyl, PhCH2; R8, R10 = H, (un)substituted C≤4 hydrocarbyl;
        R7R8, R9R10 = C4-5 alkylene, CH2CH2OCH2CH2; X = O, S; Y = H, CHO,
        C≤6 (alkoxy)alkanoyl, haloalkanoyl, (halo)alkylthio,
        (un) substituted PhS; m, n = 0-5; p = 0-4; q = 0-2] were prepared as
        insecticides from deoxybenzoins II by a 3-step process. Me3SiCN was
        refluxed with 4-ClC6H4CHO in CH2Cl2 in the presence of ZnI2 to give
        4-ClC6H4CH(CN)OSiMe3 which was converted in 3 steps to II (R2n= 4-Cl, R3p
        = H, R4 = 4-CO2Me). The latter was converted in 3 steps to I (R1m = 4-F3C,
        R5 = R6 = Y = H, X = O, R2-R4, R5 = R6 = Y = R6, R5 = R
        gave ≥80% kill of several insect larvae, e.g., Spodoptera
        frugiperda, as well as adult insects, e.g., Anthonomus grandis.
IT
        120986-39-2P 120986-40-5P 120986-41-6P
        120986-42-7P
        RL: AGR (Agricultural use); BAC (Biological activity or effector, except
        adverse); BSU (Biological study, unclassified); SPN (Synthetic
        preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
              (preparation of, as insecticide)
RN
        120986-39-2 CAPLUS
        1H-Pyrazole-1-carboxamide, 3-(4-chlorophenyl)-4,5-dihydro-4-[4-
CN
```

[(methylamino)carbonyl]phenyl]-N-[4-(trifluoromethyl)phenyl]- (9CI)

INDEX NAME)

RN 120986-40-5 CAPLUS

CN 1H-Pyrazole-1-carboxamide, N,3-bis(4-chlorophenyl)-4,5-dihydro-4-[4-[(methylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 120986-41-6 CAPLUS

CN 1H-Pyrazole-1-carboxamide, 3-(4-chlorophenyl)-N-(4-fluorophenyl)-4,5-dihydro-4-[4-[(methylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 120986-42-7 CAPLUS

CN 1H-Pyrazole-1-carboxamide, 3-(4-chlorophenyl)-N-(3,4-dichlorophenyl)-4,5-

dihydro-4-[4-[(methylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 68 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:492871 CAPLUS

DN 109:92871

TI Synthesis of some new β -lactams, 4-thiazolidinones and pyrazolines

AU Fahmy, A. M.; Hassan, K. M.; Khalaf, A. A.; Ahmed, R. A.

CS Fac. Sci., Assiut Univ., Assiut, Egypt

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1987), 26B(9), 884-7 CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 109:92871

Reaction of C1CH2COC1 and HSCH2CO2H with RC6H4CH:NC6H4COMe-4 (R=4-C1, 4-OMe, 4-Me, 4-OH, 2-OH) gave β -lactam I and thiazolidinones FI, resp. 4-R1CH:NC6H4COCH:CHR1 (R1=Ph, 4-C1C6H4, 4-O2NC6H4, 4-MeOC6H4, 4-MeC6H4, 3-O2NC6H4) were converted to pyrazolines, e.g. III (R2=NHAC, N:CHR1). Cyclocondensation of 4-R3CH2CONHC6H4COCH:CHR1 (R1=Ph, 4-C1C6H4, 4-O2NC6H4, 4-MeOC6H4; R3=piperidino, morpholino) with N2H4, PhNHNH2 and thiourea gave pyrazolines IV and V and pyrimidinethiones VI resp. The newly prepared compds. were tested for antibacterial and antifungal activity and were moderately active.

HT 85791-61-3P 85791-62-4P 115848-69-6P 115848-70-9P 115848-71-0P 115848-72-1P 115848-90-3P 115848-91-4P 115848-92-5P 115848-93-6P 115848-94-7P 115848-95-8P 115848-96-9P 115848-97-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antibacterial and antifungal activity of)

RN 85791-61-3 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 85791-62-4 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-69-6 CAPLUS

CN Acetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl](9CI) (CA INDEX NAME)

RN 115848-70-9 CAPLUS

CN Acetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-71-0 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methylphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-72-1 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(3-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-90-3 CAPLUS

CN 1-Piperidineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 115848-91-4 CAPLUS

CN 1-Piperidineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-92-5 CAPLUS

CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-93-6 CAPLUS

CN 1-Piperidineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 115848-94-7 CAPLUS

CN 4-Morpholineacetamide, N-[4-(1-acetyl-4,5-dihydro-5-phenyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 115848-95-8 CAPLUS

CN 4-Morpholineacetamide, N-[4-[1-acetyl-5-(4-chlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 115848-96-9 CAPLUS

CN 4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

115848-97-0 CAPLUS RN CN

4-Morpholineacetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

L25 ANSWER 69 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1987:598165 CAPLUS

DN 107:198165

TI Synthesis and biological testing of some α -thienyl and α -furyl derivatives

AU El-Kerdawy, M. M.; El-Emam, A. A.

CS Fac. Pharm., Univ. Mansoura, Mansoura, Egypt

SO Journal of the Chemical Society of Pakistan (1987), 9(2), 285-93 CODEN: JCSPDF; ISSN: 0253-5106

DT Journal

LA English

OS CASREACT 107:198165

Cyclocondensation of furyl chalcone analogs I (X = O, R = Me, R1 2-thienyl, C6H4NHAc-4) with R2NHNH2 [R2 = H, Ph, 4-O2NC6H4, 2,4-(O2N)2C6H3] gave pyrazolines II in 45-80% yields. Condensation of II (R2 = Ph, R1 = C6H4NH2-4) with aldehydes, e.g. R3C6H4CHO (R3 = /H, 4-MeO, 4-HO, 2-Me2N) gave the corresponding anils, e.g. II (R2 = Ph, /R1 = 4-C6H4N:CHC6H4R3) in 40-75% yields. Cyclocondensation of I (X = O, S, R = H, Me, Br, R1 = 2-thienyl, C6H4NHAc-4) with H2NCOCH2CN gave pyridones III (R4 = CN) in 55-60% yields. Alkylation of III (X = S, R = H, R1 = 2-thienyl, R4 = CN) with Grignard reagents gave III (R4 = Ac, COEt, Bz) in 50-75% yields. II [R1 = 2-thienyl, R2 = 2,4-(O2N)2C6H3; R1 = 4-C6H4N:CHC6H3BrOH-5,2, R2 = Ph] were active against Staphylococcus aureus and Candida albicans, resp., and an LD50 study was carried out for II [R1 = 2-thienyl, R2 = 2,4-(O2N)2C6H3].

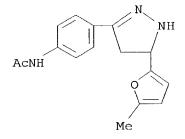
IT 111121-65-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and acylation of, with acetic anhydride)

RN 111121-65-4 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(5-methyl-2-furanyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)



IT 111121-73-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 111121-73-4 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(5-methyl-2-furanyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

- L25 ANSWER 70 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1987:102210 CAPLUS
- DN 106:102210
- Synthesis and some reactions of $2-(\alpha/\beta-naphthyl)-3$, 1-benzoxazin-4(H)-ones and $3-amino-2-(\beta-naphthyl)$ quinazolin-4(3H)-one.
- AU Mohamed, M. M.; El-Khamary, A. A.; El-Nagdy, S.; Shoshaa, S. W.
- CS Fac. Sci., Ain Shams Univ., Cairo, Egypt
- SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(2), 207-11 CODEN: IJSBDB; ISSN: 0376-4699
- DT Journal
- LA English
- OS CASREACT 106:102210
- AB The title benzoxazinones I (R = 1-naphthyl, 2-naphthyl) have been prepared by the reaction of α or β -naphthoyl chloride (2 mol) and anthranilic acid (1 mol) in the presence of a catalytic amount of pyridine, and their reactions with amines, formamide and active methylene compds. have been studied. 3-Aminoquinazolinone II (X = 0) has been synthesized by the action of hydrazine hydrate on I (R = 2-naphthyl) in n-butanol and its reaction with Ac2O, aldehydes, esters, and P2S5 has been investigated. Cleavage of the C:S bond in the thione II (X = S) with N2H4.H2O and copper bronze has been observed
- IT 106696-40-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 106696-40-6 CAPLUS

CN 2-Naphthalenecarboxamide, N-[2-(4,5-dihydro-5-oxo-NH-pyrazol-3-yl)phenyl](9CI) (CA INDEX NAME)

L25 ANSWER 71 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:524288 CAPLUS

DN 105:124288

TI Heat-developable photosensitive material

IN Sato, Kozo; Yabuki, Yoshiharu; Hirai, Hiroyuki; Kawata, Ken

PA Fuji Photo Film Co., Ltd., Japan

SO Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

FAN. CNT 1						
		PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	ΡI	EP 177033	A2	19860409	EP 1985-112479	19851002
		EP 177033	A 3	19861120		1
		EP 177033	B1	19880824		$\backslash \bigcirc$
		R: DE, GB,	NL			$X \rightarrow$
		JP 61084640	A2	19860430	JP 1984-206833	/19841/002
		JP 04013704	B4	19920310		•
		CA 1256733	A1	19890704	CA 1985-491866	19850930
		US 4657848	A	19870414	us 1985−78281/i	19851002
	PRAI	JP 1984-206833		19841002	/	

A heat-developable photosensitive material is described containing a base AΒ precursor of the formula I (R = H, alkyl, cycloalky, alkenyl, alkynyl, aralkyl, aryl, heterocyclyl, alkylene, cycloalkylene, alkenylene, alkynylene, aralkylene, arylene, or a divalent heterocyclic group; R1 = H or alkyl group; R2 = alkyl, alkoxyl, halogen, acylamino, sulfonylamino, alkylamino, dialkylamino, alkylsulfonyl, arylsulfonyl, CN, carbamoyl, sulfamoyl, or alkoxycarbonyl; Z = CO, CO2, NR3CO, SO2, NR3SO2, PO3R4, or POR, where R3 = H or alkyl and R4 = alkyl; M = alkali metal, alkaline earth metal, quaternary ammonium group or an ammonium group represented by BH where B = organic base; l = 0-3; m, n = 1 or 2. The material provides an image of high d., decreased fog, improved stability under high temperature and high humidity, and good photog. performance. Thus a poly(ethylene terephthalate) support film was coated with a composition containing a Ag(Br,I) emulsion 10, a gelatin dispersion of a coupler 3.5, CH3CONH-p-C6H4C.tplbond.CCO2H.(NH)C(NH2)2 base precursor 0.24, 10% aqueous solution of gelatin 5 g, and a solution of 2 g of 2,6-dichloro-p-aminophenol in 17 mL of H2O. The material was imagewise exposed for 5 s to 2000 lx light and heated for 20 s at 150° to obtain a cyan image with min. d. of 0.16 and a maximum d. of 2.15.

IT 99844-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of)

RN 99844-13-0 CAPLUS

CN Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)

- L25 ANSWER 72 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1986:186404 CAPLUS
- DN 104:186404
- TI 2-Heteroaryl-4-aryl-4-pyrazolin-3-ones
- IN Sasse, Klaus; Brandes, Wilhelm; Haenssler, Gerd; Reinecke, Paul; Schmitt, Hans Georg; Paulus, Wilfried
- PA Bayer A.-G., Fed. Rep. Ger.
- SO Eur. Pat. Appl., 66 pp.
- CODEN: EPXXDW
- DT Patent
- LA German
- FAN.CNT 2

FAN.CNT 2					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE /
					
ΡI	EP 165448	A2	19851227	EP 1985-105794	19850511
	EP 165448	A3	19880907		
	EP 165448	В1	19911016	•	
	R: AT, BE,	CH, DE	, FR, GB, IT,	LI, NL	
	DE 3419127	A1	19851128	DE 1984-3419127	19840523
	DE 3430433	A1	19860227	DE 1984-3430433	19840818
	AT 68493	E	19911115	AT 1985-105794	19850511
PRAI	DE 1984-3419127		19840523		
	DE 1984-3430433		19840818		
	EP 1985-105794		19850511		

Fungicidal title compds. [I; R = H, alkyl; R1 = halo, OH, NO2, R3S(O)p, amino, (un)substituted alkyl, alkoxy, condensed carbocycle, heterocycle; R2 = alkoxy, alkylthio, halo, cyano, NO2, CONH2, (un)substituted alkyl, condensed carbocyclo; R3 = (un)substituted alkyl; X, Y, Z = N, CH, CR2; m = 0-5; n = 0-4; p = 0-2] were prepared Thus, HOCH:CPhCO2Et and 2-hydrazinopyrimidine were refluxed in EtOH followed by addition of aqueous

NaOH

and further refluxing to give 63% I (R = H, X = Z = N, Y = CH, m = n = 0). I are more effective fungicides against, e.g., Phytophthora infestans on tomato plants than known agricultural fungicides.

IT 101960-03-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agricultural fungicide)

RN 101960-03-6 CAPLUS

CN Acetamide, N-[4-[2,3-dihydro-3-oxo-2-(2-pyridinyl)-1H-pyrazol-4-yl]phenyl](9CI) (CA INDEX NAME)

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ANSWER 73 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
L25
    1983:575812 CAPLUS
AN
    99:175812
DN
TI
    Herbicidal sulfonamides
    Wolf, Anthony David; Rorer, Morris Padgett
IN
    du Pont de Nemours, E. I., and Co., USA
PA
     Eur. Pat. Appl., 271 pp.
SO
    CODEN: EPXXDW
DT
     Patent
    English
LA
FAN.CNT 2
    PATENT NO.
                    KIND DATE
                                         APPLICATION NO. DATE
                    ____
                          _____
                                         EP 1983-300073
                                                         19830106
PΙ
    EP 83975
                     A2
                          19830720
                     A3
                          19840801
    EP 83975
                    B1 19871119
     EP 83975
       R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE
    US 4465505 A 19840814 US 1982-428806
                                                          19821007
                                                          19821029
                    A 19850416
                                          US 1982-436631
    US 4511392
                                                         19830106
                                          AT 1983-300073
    AT 30915
                    \mathbf{E}
                          19871215
                                          CA 1983-419031
                                                         19830106
     CA 1239929
                    A1 19880802
                    A 19860819
                                         US 1984-685026 19841221
    US 4606755
                                         US 1986-861260 19860509
    US 4695311
                    A 19870922
                                          US 1987-60204
                                                          19870610
    US 4810282
                    A 19890307
PRAI US 1982-337932
                          19820107
    US 1982-337934
                          19820107
     US 1982-428806
                          19821007
     US 1982-436631
                          19821029
     EP 1983-300073
                          19830106
     US 1984-685026
                          19841221
                          19860509
     US 1986-861260
OS
     CASREACT 99:175812
     Benzenesulfonamides I (R = azolyl, azinyl; R1 = H, F, Cl, Br, Me, CF3,
ΑB
     OMe; R2 = H, Me; R3 = \text{substituted pyrimidinyl}, triazinyl; X = O, S) (67)
     compds.) were prepared Thus, 2-O2NC6H4COMe was treated with Me2NCH(OMe)2 to
     give 2-O2NC6H4COCH:CHNMe2, which was cyclized with NH2OH to the isoxazole
     II (R4 = NO2). Reduction of the nitro group, diazotization, and reaction with
     SO2-HCl gave II (R4 = SO2Cl), which was amidated and treated with BuNCO
     and COCl_2 to give II (R4 = SO2NCO). Treatment of the isocyanate with
     2-amino-4,6-dimethoxypyrimidine gave III which, at 0.05 kg/ha
     preemergence, gave total control of e.g., nutsedge.
ΙT
     87488-79-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (preparation and reduction of)
RN
     87488-79-7 CAPLUS
     Acetamide, N-[2-(1-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)
CN
                        Internediate
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L25 ANSWER 74 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1983:438457 CAPLUS

DN 99:38457

TI 1,4-Dihydropyridine derivatives with vasodilating and hypotensive activity

PA Tokyo Tanabe Co. Ltd., Japan

SO Fr. Demande, 81 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN. CNT 1

PATENT NO.		KIND DATE		AP	PLICATION NO.	DATE	
ΡI	FR	2511370	A1	19830218	FR	1982-14008	19820811
	FR	2511370	B1	19861024			
	JΡ	58026882	A2	19830217	JP	1981-125216	19810812
	JР	63021674	B4	19880509			
	JP	58131982	A2	19830806	JP	1982-13398	19820201
	JP	63023193	B4	19880516			
	GB	2108108	A1	19830511	GB	1982-23168	19820811
	GB	2108108	B2	19850807			
	DE	3230400	A1	19830324	DE	1982-3230400	19820812
	DE	3230400	C2	19930225			
	US	4418197	Α	19831129	US	1983-457867	19830113
PRAI	JP	1981-125216		19810812			
	JP	1982-13398		19820201			



OS CASREACT 99:38457

Pyrazoles I [X = (un)substituted (CH2)6; R = O2NC6H4, dihalophenyl; R1 = alkyl, alkoxyalkyl; R2 = (un)substituted alkyl, pyridyl, Ph] (119 compds.) were prepared Thus, I [X = (CH2)6, R = 3-O2NC6H4, R1 = Me, R2 = 5-Me, II] was obtained in 62.4% yield by treating the tosyloxyhexyl dihydropyridinecarboxylate with 3-methyl-5-pyrazolone. At 3 μ g/kg i.v. in dogs II gave a decrease in blood pressure of 14.5 \pm 1.3 mmHg for 46.5 \pm 2.8 min. and a change in heart rate of 0.8 beats/min.

IT 86419-06-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antihypertensive activity of)

RN 86419-06-9 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-, 6-[[5-[4-(acetylamino)phenyl]-1H-pyrazol-3-yl]oxy]hexyl methyl ester (9CI) (CA INDEX NAME)

HN N O- (CH₂)
$$_{6}$$
- O- C NO₂ C-OMe NHAc



L25 ANSWER 75 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1983:197690 CAPLUS

DN 98:197690

TI Syntheses and investigations of amino ketones. V. Reactions of 4'-acetamidochalcones with hydroxylamine and hydrazine

AU Sykulski, Jerzy; Rokita-Trygubowicz, Teresa

CS Inst. Fundam. Chem. Sci., Sch. Med., Lodz, 90-145, Pol.

SO Acta Poloniae Pharmaceutica (1982), 39(1-3), 89-93 CODEN: APPHAX; ISSN: 0001-6837

DT Journal

LA Polish

OS CASREACT 98:197690

AB 4'-Acetamidochalcone refluxed with NH2OH.HCl in EtOH gave I (R = R1 = R2 = H) (II). I (R = Ac, R1 = H, R2 = MeO and NO2) were prepared analogously. II with Ac2O gave I (R = R1 = Ac, R2 = H). A similar acetylation gave rise to the formation of I (R = R1 = Ac, R2 = NO2). Pyrazoline derivs. III (R2 = MeO, NO2, NHAc, R3 = H) were obtained in the reaction of the appropriate aminochalcones with NH2NH2.H2O in EtOH; their subsequent acetylation gave the corresponding III (R3 = Ac).

IT 85791-58-8P 85791-59-9P 85791-60-2P 85791-61-3P 85791-62-4P 85791-63-5P

RN 85791-58-8 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 85791-59-9 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RN 85791-60-2 CAPLUS

CN Acetamide, N,N'-[(4,5-dihydro-lH-pyrazole-3,5-diyl)di-4,1-phenylene]bis-(9CI) (CA INDEX NAME)

RN 85791-61-3 CAPLUS

CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-methoxyphenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 85791-62-4 CAPLUS

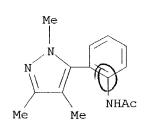
CN Acetamide, N-[4-[1-acetyl-4,5-dihydro-5-(4-nitrophenyl)-1H-pyrazol-3-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 85791-63-5 CAPLUS

CN Acetamide, N,N'-[(1-acetyl-4,5-dihydro-1H-pyrazole-3,5-diyl)di-4,1-

phenylene]bis- (9CI) (CA INDEX NAME)

- L25 ANSWER 76 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1983:179274 CAPLUS
- DN 98:179274
- TI The ring closure and rearrangement of N-(2-aminobenzoyl)-N-methylhydrazones of β -dicarbonyl compounds
- AU Gal, Melinda; Feher, Odon; Tihanyl, Endre; Horvath, Gyula; Jerkovich, Gyula
- CS Inst. Drug Res., Budapest, H-1325, Hung.
- SO Tetrahedron (1982), 38(19), 2933-8 CODEN: TETRAB; ISSN: 0040-4020
- DT Journal
- LA English
- OS CASREACT 98:179274
- N-(2-Aminobenzoyl)-N-methylhydrazones of β -dicarbonyl compds. underwent a variety of cyclization and rearrangement reactions depending on the substituents and the reaction media. MeCOCHRCMe:NNMeCOC6H4NR1R2-o [I; R-R2 = H (II); R = H, R1 = Me, R2 = H, Me] without solvent at 160° or in refluxing tetralin gave the corresponding pyrazoles III. Refluxing II in EtOH/NaOEt gave 79.7% IV. Refluxing I (R = Me, R1 = R2 = H) in EtOH/NaOEt for 1 h gave 24.2% pyrazoloquinolinone V and 40.6% pyrazole VI.
- RN 75075-90-0 CAPLUS
- CN Acetamide, N-[2-(1,3,4-trimethyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



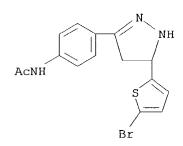


- L25 ANSWER 77 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- 1981:174968 CAPLUS ΑN
- 94:174968 DN
- TΙ Synthesis of some pyrazolines as schistosomicidal agents
- Abou Ouf, A. A.; El-Kerdawy, M. M.; Farghaly, A. M.; Moustafa, M. A. Pharm. Chem. Dep., Mansoura Fac. Pharm., Mansoura, Egypt ΑU
- CS
- Journal of Drug Research (1979), 11(1-2), 73-80 SO CODEN: JDGRAX; ISSN: 0368-1866
- DTJournal
- LA English
- AΒ Condensation of 5-bromo-2-thiophinecarboxaldehyde with RC6H4COMe (R = H, 4-Me, 4-AcNH, 2-HO) gave the chalcones I, which cyclized with N2H4 to give pyrazolines II (R1 = H). Acetylation of II (R1 = H) gave II (R1 = Ac). IR and NMR spectra of these compds. were recorded, but their schistosomicidal activities were not tested.
- IT77345-09-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and acetylation of)

- 77345-09-6 CAPLUS RN
- Acetamide, N-[4-[5-(5-bromo-2-thienyl)-4,5-dihydro-1H-pyrazol-3-yl]phenyl]-CN(9CI) (CA INDEX NAME)





- L25 ANSWER 78 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
- AN 1980:604524 CAPLUS
- DN 93:204524
- TI The ring closure and rearrangement of 1-(2-amino)-benzoyl-1-methylhydrazones of β -dicarbonyl compounds: on the formation and crystal structure of 3a,9a-dihydro-1,3,3a,9a-tetramethyl-4H-pyrazolo[3,4-b]quinolin-4-one
- AU Gal, Melinda; Feher, Odon; Tihanyi, Endre; Horvath, Gyula; Jerkovich, Gyula; Argay, Gyula; Kalman, Alajos
- CS Inst. Drug Res., Budapest, H-1325, Hung.
- SO Tetrahedron Letters (1980), 21(16), 1567-70 CODEN: TELEAY; ISSN: 0040-4039
- DT Journal
- LA English
- OS CASREACT 93:204524
- 2-H2NC6H4CONMeN:CMeCHRCOMe (I; R = H) reacted with NaOEt/EtOH giving pyrazoloquinoline II, whereas on thermolysis pyrazole III (R = COC6H4NH2-2, R1 = Me) was obtained. I (R = Me) reacted with NaOEt/EtOH giving III (R = Me, R1 = C6H4NHAc-2) and the title pyrazoloquinolinone (IV). The structure of IV was determined by x-ray crystallog. anal. The cyclization mechanism is discussed.
- TT 75075-90-0P

 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
- RN 75075-90-0 CAPLUS
- CN Acetamide, N = [2-(1,3,4-trimethyl-1H-pyrazol-5-yl) phenyl] (9CI) (CA INDEX NAME)

ANSWER 79 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

L25

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AN
     1979:474524 CAPLUS
DN
     91:74524
TI
     Syntheses and studies on amino ketones. Part III. Reaction of
     1-(p-acetamidophenyl)butane-1,3-dione with hydrazine
ΑU
     Sykulski, Jerzy; Rokita-Trygubowicz, Teresa
CS
     Dep. Org. Chem., Sch. Med., Lodz, 90145, Pol.
SO
     Polish Journal of Chemistry (1979), 53(2), 395-401
     CODEN: PJCHDQ; ISSN: 0137-5083
DT
     Journal
LA
    English
    CASREACT 91:74524
os
AΒ
    The title reaction gave I (R = Ac) or its tautomer. Deacetylation with
    HCl gave I (R = H) or its tautomer. Several ring-acylated derivs. of I (R
     = Ac, H) were prepared
IT
     70958-36-0P
     RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
        (preparation and mass spectrum of)
     70958-36-0 CAPLUS
RN
CN
     Acetamide, N-[4-[1-(chloroacetyl)-3-methyl-1H-pyrazol-5-yl]phenyl]- (9CI)
     (CA INDEX NAME)
    0
      -CH2Cl
                 NHAc
Me
IT
     70958-31-5P 70958-33-7P 70958-34-8P
     70958-35-9P 70958-37-1P 70958-38-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of)
RN
     70958-31-5 CAPLUS
CN
     Acetamide, N-[4-(5-methyl-1H-pyrazol-3-yl)phenyl]- (9CI) (CA INDEX NAME)
                 NHAc
Me
     70958-33-7 CAPLUS
RN
CN
     Acetamide, N-[4-(1-acetyl-3-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA
     INDEX NAME)
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70958-34-8 CAPLUS RN

Propanamide, N-[4-[3-methyl-1-(1-oxopropyl)-1H-pyrazol-5-yl]phenyl]- (9CI) CN (CA INDEX NAME)

RN

70958-35-9 CAPLUS Acetamide, 2-chloro-N-[4-[1-(chloroacetyl)-3-methyl-1H-pyrazol-5-CNyl]phenyl]- (9CI) (CA INDEX NAME)

RN 70958-37-1 CAPLUS

Acetamide, N-[4-[3-methyl-1-(1-oxopropyl)-1H-pyrazol-5-yl]phenyl]- (9CI) CN (CA INDEX NAME)

RN 70958-38-2 CAPLUS
CN Acetamide, N-[4-(1-benzoyl-3-methyl-1H-pyrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 80 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1973:58410 CAPLUS

DN 78:58410

TI Plant growth regulating 3,5-diphenylpyrazoles

IN Johnson, Alexander Lawrence; Sweetser, Philip Bliss

PA du Pont de Nemours, E. I., and Co.

SO Ger. Offen., 70 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

1	سرا	1
/		\mathcal{I}

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	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡĬ	DE 2219702	Α	19721116	DE 1972-2219702 19720421
	IL 39092	A 1	19760229	IL 1972-39092 19720327
	IT 955155	Α	19730929	IT 1972-22831 19720407
	AU 7241026	A1	19731018	AU 1972-41026 19720412
	ZA 7202576	A	19730131	ZA 1972-2576 19720417
	ES 401879	A1	19760201	ES 1972-401879 19720418
	CA 982588	A1	19760127	CA 1972-140051 19720419
	BR 7202417	A0	19730503	BR 1972-2417 19720420
	NL 7205441	Α	19721024	NL 1972-5441 19720421
	FR 2136595	A5	19721222	FR 1972-14198 19720421
	JP 58011401	В4	19830302	JP 1972-39729 19720421
PRAI	US 1971-136576		19710422	
	US 1972-230508		19720229	

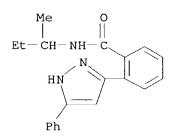
About 30 title compds. (e.g. I, Rn = 4-F, 3-MeO, 4-Me, 3-Br, 2,4,6-Me3, 4-Cl, or 2-MeO; Rl = H or Et; R2 = H, Me, or Ac; R3 = OH, OMe, OEt, OPr, OBu, OCH2CH2OH, COSH, CONH2, or CONHBu; R4 = H or Me) were prepared either from phthalic anhydride (or its 4-methyl derivative) and MeCOC6H5-nRn via 2,4-NaO2CR4C6H3COCHNaCOC6H5-nRn and reaction with R2NHNH2 or (in the case of Rl = R2 = R4 = H) by cleavage of II with R3H and optionally Na. I were used against weeds in culture plant fields, e.g. sugar cane, soybean, peanut, or citrus, and for growth regulation of cotton, soybeans, and peanuts.

IT 39785-15-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 39785-15-4 CAPLUS

CN Benzamide, N-(1-methylpropyl)-2-(5-phenyl-1H-pyrazol-3-yl)- (9CI) (CA INDEX NAME)





L25 ANSWER 81 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1971:498492 CAPLUS

DN 75:98492

TI 3,4,5-Triphenylpyrazoles

AU Comrie, A. M.

CS Sch. Pharm. Sci., Univ. Strathclyde, Glasgow, UK

Journal of the Chemical Society [Section] C: Organic (1971), (16), 2807-10 CODEN: JSOOAX; ISSN: 0022-4952

DT Journal

LA English

AB Benzoin reacted with N2H4.HCl in EtOH to give benzil, benzil azine, deoxybenzoin, BzH, PhCN, BzOH, BzOEt, desylamine-HCl, 3,4,5-triphenylpyrazole (I), and 3,4,5,6-tetraphenylpyridazine and their hydrochlorides. N-Alkyl derivs. of I were prepared from the dialkyl sulfates, and N-acyl and N-sulfonyl derivs. from the acid and sulfonyl chlorides. Nitration of I gave 3,4,5-tris(p-nitrophenyl)pyrazole, and bromination gave a mixture of bromo derivs.

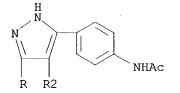
IT 33314-45-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

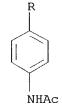
RN 33314-45-3 CAPLUS

CN Acetanilide, 4',4''',4''''-pyrazole-3,4,5-triyltris- (8CI) (CA INDEX NAME)

PAGE 1-A







PAGE 2-A

L25 ANSWER 82 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1971:59354 CAPLUS

DN 74:59354

TI Photographic product containing a chromogenic coupler

IN Barr, Charles R.

PA Eastman Kodak Co.

SO Fr. Demande, 39 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

T 1 11 1	0111 1					
	PATENT NO.	KIND	DATE	APPLICATI	ON NO.	DATE
					-	
PΙ	FR 2011487		19700306			
	GB 1269072			GB		
	US 3620746		19710000	US		
PRAI	US		19680401			
20.00	7. 1 (1 :		E

A photog, product for recording several images consists of a support on AΒ which are coated 2 hydrophilic colloid Ag halide emulsion layers. The 1st layer next to the support is made up of a coarse-grained emulsion sensitive to ≥1 portion of the spectrum which upon exposure and development can form in the presence of the oxidation products of a color developer with primary aromatic groups a colored image. The 2nd layer of hydrophilic colloid contains a Ag halide emulsion with finer grains than those of the 1st layer and which is sensitive to a portion of the spectrum different from that of the 1st layer. The 2nd emulsion contains, in addition, (1) a coupler which reacts with the oxidation products of a primary aromatic amine developer so as to form a colored image, which is preferably of a different color from the image formed in the 1st layer and (2) a nondiffusible hydroquinone derivative of general formula I which liberates a developer inhibitor, where Q and Q1 are H or an acyl group which is eliminated in an alkaline medium; R is a heterocyclic radical such as 5-tetrazolyl, 2-benzoxazolyl, 2-benzothiazolyl, or 2-oxadiazolyl; B is a photog. inert group which renders the compound nondiffusible; Q2 is H, SO3M, or CO2M where M is H or an alkaline metal. Nondiffusible couplers containing methylenic chains, such as the cyanoacetyl derivs. cyanoacetylcoumarone and cyanoacetylbenzoyl, are used.

IT 32180-71-5

RL: USES (Uses)

(photographic coupler)

RN 32180-71-5 CAPLUS

CN Butyranilide, 4-(2,4-di-tert-pentylphenoxy)-4'-(3-ethoxy-5-oxo-2-pyrazolin-4-yl)- (8CI) (CA INDEX NAME)

PAGE 1-A

Ме

PAGE 2-A

L25 ANSWER 83 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1967:46385 CAPLUS

DN 66:46385

TI Synthesis of water soluble hydrazines and 5-pyrazolones

AU Schindler, Wolfgang

Veroeffentlichungen der Wissenschaftlichen Photo-Laboratorien, Wolfen (1965), 10, 277-82 CODEN: VWPWAI; ISSN: 0372-6975

DT Journal

LA German

Butane sultone (28 g.) was added portionwise to 100 g. 60% H2NNH2/H2O AΒ and the exothermic reaction cooled with water gave ω-sulfobutyl / hydrazine (II), m. 163-5°. II (4.2 g.) boiled in 350 ml. alc. 0.5 hr. with 2.6 g. BzH under reflux gave N-(@-sulfobutyl)-N'-benzylidenehydrazine, m. 172-4°. Propane sultone (12.2 g.) was added with cooling and stirring to 50 g. 50% I to give 78% ω sulfopropylhydrazine, m. 195-7°. Thiosemicarbazide (9.1 g.) in 100 ml. 50% MeOH was treated by stirring at room temperature with 14 g. butane sultone. After keeping at least 20 hrs., the solvent was distilled in vacuo. After several days, the clear viscose precipitate was treated with MeOH and boiled briefly to give 53% $N1-\omega$ -(sulfobutyl)thiosemicarbazide, m. 195-7°. II (0.02 mole) and the corresponding β -oxo ester (0.02 mole) boiled under reflux in 10-20 ml. AcOH gave III. The following III were prepared (R, m.p., and % yield given): Me, 200-3°, 85; Ph, 262-5°, 54; p-O2-NC6H4 (IV), 280-5°, 23; p-H2NC6H4 (V), >300°, 34 (prepared from IV by reduction with Raney Ni); C17H35, 115-25°, 22; C6H4OC18H37-p, 290-5°, 45; C6H4NHCOC17H35-p, 238-40°, 35; C6H4NHCOC11H23-p, 226-30°, 81. The last two compds. were prepared by heating V and the corresponding acid chloride 2hrs. in a 2:1 mixture of HC-ONMe2-C5H5N.

IT 14369-11-0P 14369-12-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 14369-11-0 CAPLUS

CN 2-Pyrazoline-1-butanesulfonic acid, 5-oxo-3-(p-stearamidophenyl)- (8CI) (CA INDEX NAME)

RN 14369-12-1 CAPLUS

CN 2-Pyrazoline-1-butanesulfonic acid, 3-(p-lauramidophenyl)-5-oxo- (8CI) (CA INDEX NAME)

$$^{\text{HO}_3\text{S}-\text{(CH}_2)}_{4}$$
 $^{\text{N}}$ $^{\text{O}}$ $^{\text{N}}$ $^{\text{O}}$ $^{\text{N}}$ $^{\text{N}}$ $^{\text{O}}$ $^{\text{N}}$ $^{\text{N}}$ $^{\text{O}}$ $^{\text{N}}$ $^{\text{N}}$ $^{\text{O}}$ $^{\text{O}}$ $^{\text{N}}$ $^{\text{O}}$ $^{\text{O}}$ $^{\text{N}}$ $^{\text{O}}$ $^{\text$

L25 ANSWER 84 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1963:81516 CAPLUS

DN 58:81516

OREF 58:13950g-h,13951a-b

II Interaction and association of bases and nucleosides in aqueous solutions

AU Ts'o, Paul O. P.; Melvin, Ingelore S.; Olson, Alfred C.

CS California Inst. of Technol.

SO Journal of the American Chemical Society (1963), 85, 1289-96 CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA Unavailable

AB The molal osmotic coefficients (ϕ) of aqueous purine, uridine, and cytidine in the concentration range of 0.1 to 1.0 molal, and inosine and caffeine

at 0.1 molal, have been determined by thermoelec. measurements of vapor pressure lowering at 25°. The activity coefficients of purine, uridine, and cytidine were calculated The data indicate that these solutes associate extensively in solution and that the association process does not proceed simply to the dimer stage, but continues to form higher polymers. The results are consistent with a set of association processes which have equal equilibrium consts. for all successive steps. Equilibrium consts. at 25° and standard free energies for association of purine, uridine, and cytidine were found to be 2.1, 0.6, 0.9 molal-1 and -440, 290, 80 cal./mole, resp. The interaction of one base with another base was examined by measuring the increase of solubility of adenine-C14 or thymine-C14 in the presence of varying concns. of a variety of interactants at 25.5° and 38°. The solubility of adenine or thymine was increased by the addition of soluble purine, nucleosides, pyrimidine, or phenol, and was essentially unchanged by the addition of cyclohexanol, adonitol, or urea. The total base-C14 in solution was assumed to be composed of the free base in solution and the H2O-soluble base-interactant complex. Equilibrium consts.

for these

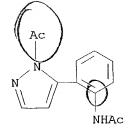
association processes have been estimated, and they agree semiquant. with the results from measurements of ϕ . The tendency for association and interaction of the free bases and nucleosides in solution can be arranged in the series: purine-purine > purine-pyrimidine > pyrimidine-pyrimidine. The relationship of this finding to the vertical-stacking interaction of the bases in nucleic acids is discussed.

IT 93003-25-9, Acetanilide, 2'-(1-acetylpyrazol-5-yl)-

(preparation of)

RN 93003-25-9 CAPLUS

CN Acetanilide, 2'-(1-acetylpyrazol-5-yl)- (7CI) (CA INDEX NAME)





L25 ANSWER 85 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1963:81515 CAPLUS

DN 58:81515

OREF 58:13950f-g

TI Investigations in heterocycles. XII. The synthesis of pyrazolo[1,5-c]quinazolines

AU DeStevens, George; Halamandaris, Angela; Bernier, Marcel; Blatter, Herbert M.

CS CIBA Pharm. Co., Summit, NJ

SO Journal of Organic Chemistry (1963), 28, 1336-9 CODEN: JOCEAH; ISSN: 0022-3263

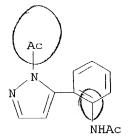
DT Journal

LA Unavailable

AB cf. CA 58, 523f. The facile rearrangement of 4-hydroxyquinoline and its derivs. in the presence of excess N2H4.H2O gives rise to 5-(o-aminophenyl)pyrazoles. These compds. in turn serve as intermediates in the synthesis of some new heterocycles, pyrazolo[1,5-c]quinazolines (I). The chemical and spectral properties of these substances are discussed.

RN 93003-25-9 CAPLUS

CN Acetanilide, 2'-(1-acetylpyrazol-5-yl)- (7CI) (CA INDEX NAME)





L25 ANSWER 86 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN 1959:56397 CAPLUS AN 53:56397 DИ OREF 53:10188b-f New antituberculosis agents. XXXVII. Thiosemicarbazones of oxo acids. 3. Thiosemicarbazones of aroylacetates and their derivatives Belzecki, Czeslaw; Urbanski, Tadeusz ΑU Roczniki Chemii (1958), 32, 779-87 SO CODEN: ROCHAC; ISSN: 0035-7677 Journal DTUnavailable LA p-RC6H4C(:NNHCSNH2)CH2CO2Et were prepared by the method above (R and m.p. AΒ given): NO2 (I), 170-2°; OMe (II), 123-4°; Br (III), 172-3° (all from alc. and all melting with decomposition). 3-C5H4NC(:NNHCSNH2)CH2CO2Et (IV), m. 153°, and 4-C5H4NC(:NNHCSNH2)CH2CO2Et (V), m. $169-70^{\circ}$, were similarly prepared Semicarbazones with R = NH2 (VI), m. 182° , and R = NHAc (VII), m. 152° , were prepared by melting 0.1 mole thiosemicarbazide and 0.1 mole carbonyl compound together, heating at 105-15° until the H2O was driven off, and working up. I-VII were cyclized by the method of B. and U. (ibid. 30, 781(1956)) to give p-R'C6H4C:N.N(CSNH2).CO.CH2 (R' and m.p. given): NO2, 264-8°; NH2, 224-6°; NHAc, 259-60°; OMe, 165°; Br, 251°; 3-pyridyl, 236°; and 4-pyridyl, 222-3°. The aroylacetic esters reacted with hydrazine to yield p-substituted 3-aryl-5-pyrazolones. When the substituents were NO2, NH2, NHAc, OMe, Br, 3-pyridyl, and 4-pyridyl, the m.ps. were 238-9°, 235-6°, 261-3°, 222-3°, 248-9°, 259-60°, and 278-9°, resp. The esters reacted with hydroxylamine to give p-substituted 3-aryl-5-isoxazolones. For the same substituents as above, the corresponding m.ps. were 161-3°, 182°, 190°, 143°, 141-3°, 151-3°, and 199°, resp. The tuberculostatic activity in vitro against M. tuberculosis BCG H37Rv and M. smegmatis was tested for all compds. most efficient p-substituents in the thiosemicarbazones were OMe and Br, those in the thioformamidoarylpyrazolones were p-BrC6H4, and 3-pyridyl. When the thioformamide group was eliminated there was no influence of p-substituents. 99844-13-0, Acetanilide, 4'-(5-oxo-2-pyrazolin-3-yl)-IT 108801-36-1, 2-Pyrazoline-1-carboxamide, 3-(p-acetamidophenyl)-5oxothio-(preparation of) RN 99844-13-0 CAPLUS Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) CN INDEX NAME) NHAc

2-Pyrazoline-1-carboxamide, 3-(p-acetamidophenyl)-5-oxothio- (6CI) (CA

108801-36-1 CAPLUS

INDEX NAME)

RN

CN

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L25 ANSWER 87 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
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1959:9371 CAPLUS AN

DN 53:9371

OREF 53:1747i,1748a-q

Vat dyes TI

Saftien, Karl; Anton, Ernst IN

Badische Anilin- & Soda-Fabrik Akt.-Ges. PA

DTPatent

Unavailable LΑ

FAN.CNT 1

APPLICATION NO. DATE PATENT NO. KIND DATE

DE 923028 19550131 $_{
m DE}$ PI

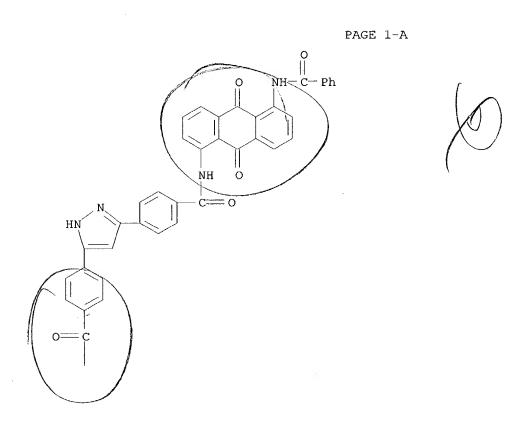
Vat dyes of intensive yellow, orange, red, or blue shades of good fastness AΒ properties and readily dyed in warm or hot vats, are obtained by mixing the chlorides of dicarboxylic acids of the structure HOOC-AXBCOOH, where A and B stand for the same or different aromatic groups and X for a 5- or 6-membered heterocyclic ring with ≥ 2 C atoms, with amino compds. of the anthraquinone series. For example, a mixture of the dichloride (I) of the 2,5-bis(p-carboxyphenyl)-1,3,4-oxadiazole 24.5, 1-aminoanthraquinone (II) 30.8, and dry PhNO2 350 parts is heated at $150\,^{\circ}$ for 3 hrs. with good stirring. After cooling, the product is filtered, washed with PhNO2 and alc., and dried to give yellow needles, soluble in H2SO4, dyeing cotton yellow. I is prepared by oxidation of 2,5-bis(p-toly1)-1,3,4oxadiazole with chromic acid/glacial AcOH, followed by KMnO4, and treatment of the intermediate with SOC12 in PhNO2. Similarly are prepared (components, color of product, and color on cotton given): 1-amino-5-benzamidoanthraquinone (III), I, yellow-red, reddish yellow; 4-amino-1,9-anthrapyrimidine, I, red-yellow, yellow; Na salt of 1-mercapto-2-aminoanthraquinone, I, yellow-brown, yellow (after treatment with alkaline NaOCl); I, 2-(1,4-diaminoanthraquinonyl)anthra[2,3]thiazole 5,10-dione, deep blue, blue; II, 2,5-bis(4-carboxyphenyl)-1,3,4thiadiazole dichloride (IV), yellow, reddish yellow; IV, III, yellow-red, reddish yellow; II, 3,6-bis(4-carboxyphenyl)-1,2,4,5-tetrazine (V) and SOC12, yellow, yellow; II, the dichloride (VI) of 4,5-bis(4-carboxyphenyl)-4-imidazolin-2-one, red-yellow, yellow; II, the dichloride (VII) of 3,5-bis(4-carboxyphenyl)pyrazole, red-yellow, yellow; III, VII, orange, reddish yellow; II, SOC12, and 2,5-bis(4-carboxyphenyl)furan (VIII), orange, red-yellow; VIII, SOC12, III, yellow-red, blue-violet; III, SOC12, and 2-(p-carboxyphenyl)-5-(p-carboxybiphenylyl)-1,3,4-oxadiazole (IX), orange, yellow; III, the m,m'-dicarboxylic acid dichloride isomer (X) of I, orange, yellow; and III, SOC12, and 2,5-bis(4-carboxyphenyl)-1,3,4triazole (XI), red-yellow, yellow. IV is prepared from 2,5-di(p-toly1)-1,3,4-thiadiazole (obtained by treatment of di(p-tolyl)hydrazine with PS5) by oxidation with CrO3 in glacial AcOH, then with KMnO4 in aqueous Na2CO3 solution and by subsequent conversion of the dicarboxylic acid formed into the dichloride with SOC12. V is prepared by converting Me p-cyanobenzoate in Et20 with Et0H and HCl into an intermediate which with H2NNH2.H2SO4 and alkali is changed into a V ester from which V is obtained by saponification with

alc. KOH. VI is prepared by condensing p-toluoin with urea in glacial AcOH to give 4,5-di(p-tolyl)4-imidazolin-2-one which is converted into the dicarboxylic acid of VI by oxidation with Cr03/glacial AcOH and KMn04. Chlorination with SOC12 yields VI. VII is obtained from bis (p-bromobenzoyl) methane which is converted into 3,5-bis (pbromophenyl)pyrazole with H2NNH2.H2O. Conversion with CuCN in pyridine yields 3,5-bis(p-cyanophenyl)pyrazole from which, after saponification with dilute

H2SO4, the dicarboxylic acid is obtained giving VII after treatment with SOC12. VIII is produced by conversion of 2,5-bis(p-bromophenyl)furan with CuCN into 2,5-bis(p-cyanophenyl)furan and saponification with dilute H2SO4.H2NNH2

with 1 mole each of p-toluoyl chloride and p-tolylbenzoyl chloride gives 1-(p-toluoyl)-2-(p-methylphenylbenzoyl)hydrazine which is heated to 300°. The 2-(p-tolyl)-5-(p-methylbiphenylyl)-1,3,4-oxadiazole formed is oxidized with CrO3/glacial AcOH and KMnO4 to IX. X is obtained by oxidation of 2,5-di(m-tolyl)-1,3,4-oxadiazole with CrO3/glacial AcOH, reoxidation with KMnO4, and conversion into the dichloride with SOCl2. XI is produced from di(p-toluoyl)-1,3,4-triazole which is oxidized to XI with CrO3/glacial AcOH and KMnO4.

- 1T 120579-99-9, Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(pphenylenecarbonylimino)]bis[5-benzamido- 122316-74-9,
 Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]di(preparation of)
- RN 120579-99-9 CAPLUS
- CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]bis[5-benzamido- (6CI) (CA INDEX NAME)



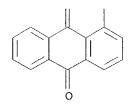
PAGE 2-A

RN 122316-74-9 CAPLUS

CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]di-(6CI) (CA INDEX NAME)

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L25 ANSWER 88 OF 88 CAPLUS COPYRIGHT 2004 ACS on STN
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AN 1958:15814 CAPLUS

DN 52:15814

OREF 52:2866b-h

- TI N- and C-Benzoylation of p-aminoacetophenone with methyl benzoate by sodium amide. Synthesis of $\beta\text{--diketones}$ having p-acylamino and p-hydroxy groups
- AU Hauser, Charles R.; Eby, Charles J.
- CS Duke Univ., Durham, NC
- SO Journal of Organic Chemistry (1957), 22, 909-12 CODEN: JOCEAH; ISSN: 0022-3263
- DT Journal
- LA Unavailable
- OS CASREACT 52:15814
- p-H2NC6H4Ac (I) underwent N-benzoylation with NaNH2 and BzOMe (II) to give ABp-benzoylacetophenone (III) which then underwent C-benzoylation with these reagents to give the corresponding β -diketone amide (IV). IV was cyclized with N2H4 (V) and CO(NH2)2 (VI) to give a pyrazole (VII) and pyrimidol (VIII), resp. Other acylations of ketone amides and the benzoylation of p-HOC6H4Ac (IX) were effected to form the corresponding β -diketones. These condensations furnish a significant extension of the Claisen method of synthesis of β -diketones. The mechanism was considered to involve intermediate dianions. I (13.5 g.) left 0.5 hr. with 0.10, 0.30, or 0.40 mole NaNH2 in 350 ml. liquid NH3, then during 5 min. 0.10, 0.20, or 0.30 mole II added in an equal volume Et20, the mixture stirred 1.5 hr., and the NH3 removed with simultaneous addition of Et2O, the mixture from equivalent amts. filtered, the solids triturated with 6N HCl, and dried gave 21.15 g. III, m. 199-201°; 10% unchanged I was recovered. In the expts. with excess NH2Na and II the crude product was dissolved in hot MeOH and excess saturated aqueous CuAc2 added, and the

precipitate collected to give the Cu chelate of IV, m. 362° (decomposition). The Cu salt dissolved in concentrated H2SO4 and poured on ice gave free IV, m. 184.5-6° (alc.), gave a red test with FeCl3. Evaporation of the mother liquors gave III. Thus, the yields of III and IV for the above reactions were (equivs. NaNH2, equivs. II, and % yield of III and IV given): 1, 1, 89, 0; 3, 2, 46, 32; 4, 3, 21, 54. III (12 g.) left 15 min. with 0.15 mole NaNH2 in 350 ml. NH3, then treated with 13.6 g. II in Et2O during 20 min., and left 1 hr., and worked up as above gave 8.9 g. IV. IV (1.7 g.) in 250 ml. MeOH treated dropwise with 4.8 g. V with heating, the heating continued 0.5 hr., the solution treated with 100 ml. H2O, and cooled gave 1.5 g. 3-p-N-benzamidophenyl-5-phenylpyrazole (VII), m. 247-50°, gave a neg. test with FeCl3. IV (3.43 g.), 70 ml. alc., and 0.96 g. VI refluxed 8 days with 11 ml. alc. 2.1N HCl gave 58% 4-p-benzamido-6-phenylpyrimidol (VIII).HCl, m. 297-9° (MeOH). NaNH2 (0.15 mole) in 350 ml. liquid NH3 with 11.9 g. III treated 20 min. with 10.2 g. EtCO2Me in 50 ml. Et2O, the NH3 immediately replaced with Et20, left 24 hrs. at room temperature, and the product separated gave 2.9 g. 1-p-N-benzamidophenylpentane-1,3-dione, needles, m. 170.5-2.0° (95% alc.). p-N-Acetamidoacetophenone (8.85 g.) added to 0.15 mole NaNH2 in NH3, followed by 13.6 g. II, and the NH3 replaced by Et20 and refluxed 24 hrs. gave 2.85 g. 1-p-N-acetamidophenyl-3phenylpropane-1,3-dione, m. 162-4° (C6H6). Similarly, using EtCO2Me instead of II gave 13% 1-p-N-acetamidophenylpentane-1,3-dione, m. $135-6.5^{\circ}$ (C6H6). Similarly, 8.65 g. IX treated in NaNH2 and NH3 with 17.3 g. II gave 3.30 g. 1-p-hydroxyphenyl-3-phenylpropane-1,3-dione, m. 154-6° (C6H6). Sodioacetophenone failed to undergo acylation with Me p-benzamidobenzoate or p-hydroxybenzoate in the presence of excess NaNH2 under the above conditions.

112248-32-5, Benzanilide, 4'-[5(or 3)-phenylpyrazol-3(or 5)-yl]-IT

RN

(preparation of)
112248-32-5 CAPLUS
Benzanilide, 4'-[5(or 3)-phenylpyrazol-3(or 5)-yl]- (6CI) (CA INDEX NAME) CN

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m L6}
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               QUE L8 AND L6 NOT L7
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L26 ANSWER 1 OF 4 CAOLD COPYRIGHT 2004 ACS on STN

CA58:13950f CAOLD AN

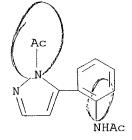
heterocycles - (XII) synthesis of pyrazolo[1,5-c]quinazolines De Stevens, George; Halamandaris, A.; Bernier, M.; Blatter, H. M. ΤI

AU

IT 93003-25-9

RN93003-25-9 CAOLD

Acetanilide, 2'-(1-acetylpyrazol-5-yl)- (7CI) (CA INDEX NAME) CN



L26 ANSWER 2 OF 4 CAOLD COPYRIGHT 2004 ACS on STN

AN CA53:10187i CAOLD

- new antituberculosis agents (XXXVII-XXXVIII) thiosemicarbazones of oxo acids (2) of aroyl fatty acids, (3) of aroylacetates and their derivs. ΤI
- Belzecki, Czeslaw; Urbanski, T. ΑU
- IT 99844-13-0 108801-36-1

RN

99844-13-0 CAOLD Acetamide, N-[4-(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)phenyl]- (9CI) (CA CN

108801-36-1 CAOLD \widetilde{RN}

CN 2-Pyrazoline-1-carboxamide, 3-(p-acetamidophenyl)-5-oxothio- (6CI) (CA INDEX NAME)

$$\begin{array}{c|c} S & \\ H_2N-C & N \\ \hline \\ O & N \\ \end{array}$$
 NHAC

L26 ANSWER 3 OF 4 CAOLD COPYRIGHT 2004 ACS on STN

AN CA53:1747i CAOLD

TI vat dyes

AU Saftien, Karl; Anton, E.

DT Patent

PATENT NO. KIND DATE

PI DE 923028

IT 120579-99-9 122316-74-9

RN 120579-99-9 CAOLD

CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]bis[5-benzamido- (6CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 122316-74-9 CAOLD

CN Anthraquinone, 1,1'-[pyrazole-3,5-diylbis(p-phenylenecarbonylimino)]di-(6CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

L26 ANSWER 4 OF 4 CAOLD COPYRIGHT 2004 ACS on STN

AN CA52:2866b CAOLD

TI $\ensuremath{\text{N}}\text{-}$ and $\ensuremath{\text{C}}\text{-}\text{benzoylation}$ of p-aminoacetophenone with Me benzoate by $\ensuremath{\text{Na}}$ amide-synthesis of β -diketones having p-acylamino and p-hydroxy groups

ΑU Hauser, Charles R.; Eby, C. J.

IT112248-32-5

RN

112248-32-5 CAOLD

Benzanilide, 4'-[5(or 3)-phenylpyrazol-3(or 5)-yl]- (6CI) (CA INDEX NAME) CN

=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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